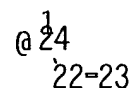
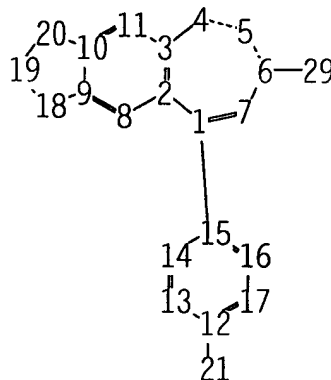
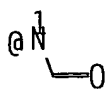
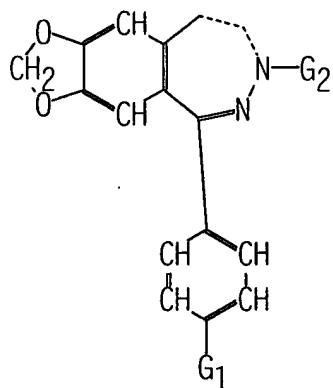


09/485,441

May 1, 2001



chain nodes :

21 22 23 24 29

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20

chain bonds :

1-15 6-29 12-21 22-23 22-24

ring bonds :

1-2 1-7 2-3 2-8 3-4 3-11 4-5 5-6 6-7 8-9 9-10 9-18 10-11
10-20 12-13 12-17 13-14 14-15 15-16 16-17 18-19 19-20

exact/norm bonds :

1-7 4-5 5-6 6-7 6-29 12-21 22-23 22-24

exact bonds :

1-2 1-15 3-4 9-18 10-20 18-19 19-20

normalized bonds :

2-3 2-8 3-11 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16
16-17

isolated ring systems :

containing 1 : 12 :

G1:NH2,NO2, [*1]

G2:H,N,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
18:Atom 19:Atom 20:Atom 21:CLASS 22:CLASS 23:CLASS 24:CLASS
29:CLASS

=> d his

(FILE 'HOME' ENTERED AT 17:58:09 ON 01 MAY 2001)

FILE 'REGISTRY' ENTERED AT 17:58:13 ON 01 MAY 2001

L1 STRUCTURE UPLOADED
L2 QUE L1
L3 41 S L2
L4 STRUCTURE UPLOADED
L5 QUE L4
L6 37 S L5

FILE 'STNGUIDE' ENTERED AT 18:04:19 ON 01 MAY 2001

FILE 'REGISTRY' ENTERED AT 18:06:44 ON 01 MAY 2001

L7 STRUCTURE UPLOADED
L8 QUE L7
L9 31 S L8
L10 STRUCTURE UPLOADED
L11 QUE L10
L12 29 S L11
L13 405 S L11 SSS FUL

FILE 'CAPLUS' ENTERED AT 18:10:54 ON 01 MAY 2001

L14 209 S L13

FILE 'STNGUIDE' ENTERED AT 18:11:11 ON 01 MAY 2001

FILE 'REGISTRY' ENTERED AT 18:14:03 ON 01 MAY 2001

L15 STRUCTURE UPLOADED
L16 QUE L15
L17 21 S L16

FILE 'STNGUIDE' ENTERED AT 18:15:51 ON 01 MAY 2001

FILE 'REGISTRY' ENTERED AT 18:17:19 ON 01 MAY 2001

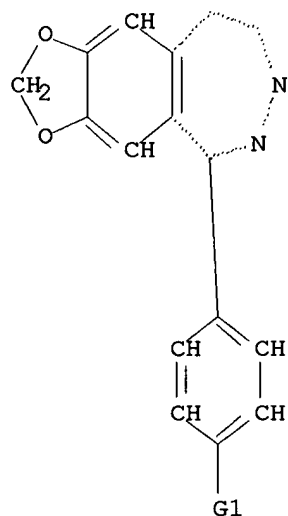
L18 21 S L16 SUB=L13 SAM
L19 303 S L16 SUB=L13 FUL

FILE 'CAPLUS' ENTERED AT 18:17:47 ON 01 MAY 2001

L20 86 S L19
L21 58 S L20 AND JOURNAL/DT
L22 24 S L21 AND PD>1998
L23 62 S L20 NOT L22

=> d l11

L11 HAS NO ANSWERS
L10 STR



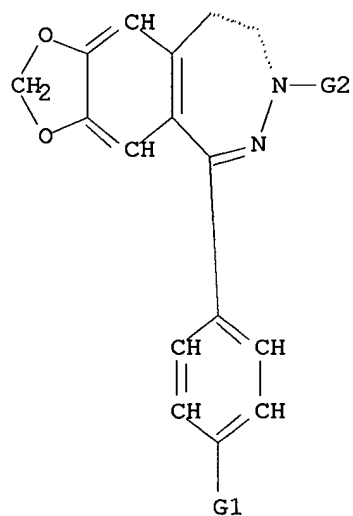
G1 NH₂, NO₂, [C1]



Structure attributes must be viewed using STN Express query preparation.
L11 QUE ABB=ON PLU=ON L10

=> d 116

L16 HAS NO ANSWERS
L15 STR



G1 NH₂, NO₂, [C1]

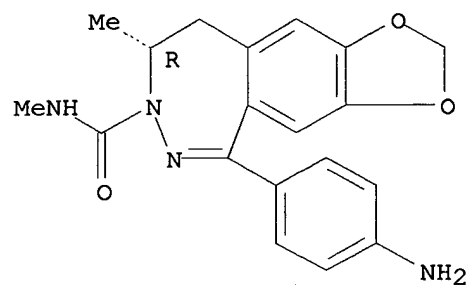
G2 H, N, Ak



Structure attributes must be viewed using STN Express query preparation.
L16 QUE ABB=ON PLU=ON L15

=> d bib abs hitstr 122 24

L22 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2001 ACS
AN 1999:77811 CAPLUS
DN 130:306447
TI Modulation of kainate-induced responses by pentobarbitone and GYKI-53784
in rat abducens motoneurons in vivo
AU Ruiz, Arnaud; Durand, Jacques
CS CNRS UPR 9041, Unite de Neurocybernetique Cellulaire, Marseille, F-13009,
Fr.
SO Brain Res. (1999), 818(2), 421-430
CODEN: BRREAP; ISSN: 0006-8993
PB Elsevier Science B.V.
DT **Journal**
LA English
AB The modulation of kainate-induced responses by pentobarbitone and the
2,3-benzodiazepine GYKI-53784, a potent noncompetitive AMPA antagonist,
was studied in vivo using both extracellular recordings of antidromic
field potentials and intracellular recordings from abducens motoneurons
in
ketamine/diazepam-anesthetized rats. In previous studies on
pentobarbitone-anesthetized rats, we showed that 2,3-benzodiazepines do
not affect kainate-induced depolarizations in abducens motoneurons.
Here,
we tested whether pentobarbitone is involved in the pharmacol.
discrimination by 2,3-benzodiazepines between AMPA- and kainate-induced
responses. Kainate-induced depolarizations were reversibly depressed
after application of either GYKI-53784 and pentobarbitone. However,
kainate-induced depolarizations were not inhibited by GYKI-53784 with
pentobarbitone; they were even potentiated sometimes. Using
extracellular
recordings, we confirmed that in the presence of pentobarbitone,
GYKI-53784 counteracts the effects of AMPA but not of kainate on
antidromic field potentials in the abducens nucleus. Blockade of
kainate-induced responses by GYKI-53784 was reversed with pentobarbitone,
which appears relevant to the discrimination between AMPA- and kainate
receptor-mediated responses in vivo. In the presence of pentobarbitone,
kainate would depolarize motoneurons mainly via kainate receptors since
kainate-induced responses were not depressed by 2,3-benzodiazepines.
This
finding strongly favors the existence of kainate receptors in adult
motoneurons but their role is still unknown.
IT **161832-71-9**, GYKI-53784
RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(pentobarbitone and GYKI-53784 modulation of kainate-induced responses
in rat abducens motoneurons in vivo)
RN 161832-71-9 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)
Absolute stereochemistry. Rotation (-).



RE.CNT 45

RE

- (1) Barker, J; J Physiol (London) 1978, V280, P355 CAPLUS
- (2) Bleakman, D; Neuropharmacology 1996, V35, P1689 CAPLUS
- (3) Castillo, P; Nature 1997, V388, P182 CAPLUS
- (4) Dildy-Mayfield, J; J Pharmacol Exp Ther 1996, V276, P1058 CAPLUS
- (5) Donevan, S; Neuron 1993, V10, P51 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr 123 1-62

L23 ANSWER 1 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 2001:50649 CAPLUS

DN 134:100896

TI Preparation of new 1,3-dioxolo[4,5-h][2,3]benzodiazepines as neuroprotective agents

IN Greff, Zoltan; Szabo, Geza; Barkoczy, Jozsef; Ratkai, Zoltan; Blasko, Gabor; Simig, Gyula; Gigler, Gabor; Martonne Marko, Bernadett; Levay, Gyorgy; Tihanyi, Karoly; Egyed, Andras; Simo, Annamaria

PA Egis Gyogyszergyar Rt., Hung.

SO PCT Int. Appl., 80 pp.

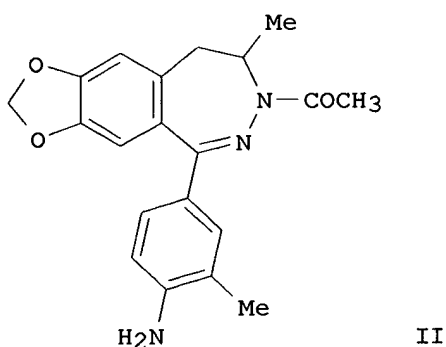
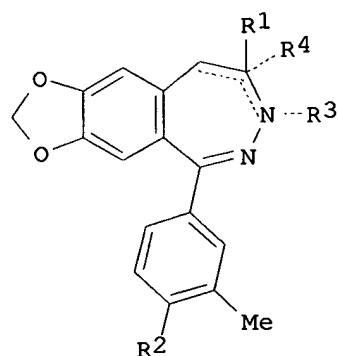
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001004122	A2	20010118	WO 2000-HU74	20000704
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	HU 1999-2291	A	19990707		
OS	MARPAT 134:100896				
GI					



AB The title compds. [I; R1 = Me, CHO, CO2H, etc.; R2 = NO2, NH2; R3 = H, alkanoyl, CONR7R8 (wherein R7, R8 = H, alkoxy, alkyl, cycloalkyl; NR7R8 = (un)satd. 5-6 membered heterocyclic ring optionally contg. one or more further N, S and/or O atom(s)); R4 = H, alkyl; the dotted lines have the following meaning: if R3 and R4 are not present, the bond between positions C8 and C9 is a single bond and the bond between positions C8

and N7 is a double bond; if R3 and R4 are present, the bonds between positions

C8 and C9 and between position C8 and N7 are single bonds; and if R3 is

present and R4 is missing, the bond between positions C8 and C9 is a double bond and the bond between positions C8 and N7 is a single bond] which have neuroprotective effect, were prepd. E.g., a multi-step synthesis of 1,3-dioxolo[4,5-h][2,3]benzodiazepine II which showed PD50 (the dose that prolonged survival by 50%) of 5.4 mg/kg in MgCl₂-induced global cerebral ischemia in mice (i.p.), was given.

IT 143691-37-6 175715-09-0 220669-66-9

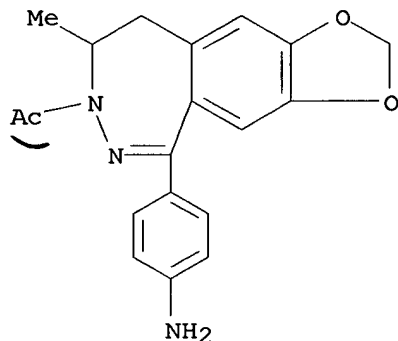
220669-68-1 220670-32-6 220725-87-1

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(prepn. of new 1,3-dioxolo[4,5-h][2,3]benzodiazepines as neuroprotective agents)

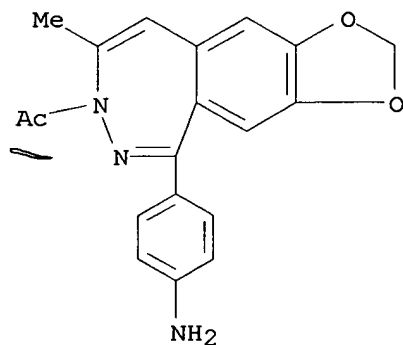
RN 143691-37-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



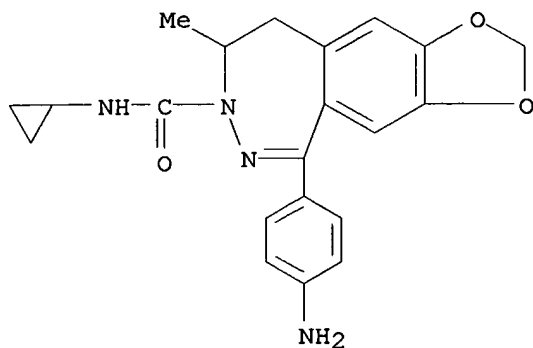
RN 175715-09-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8-methyl- (9CI) (CA INDEX NAME)

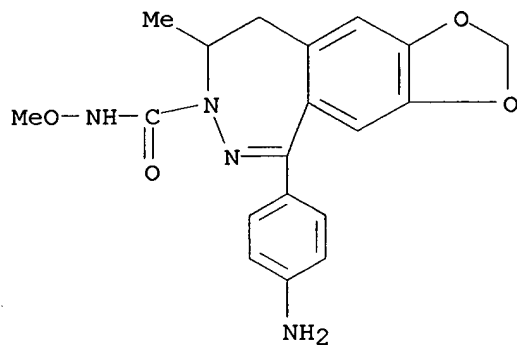


RN 220669-66-9 CAPLUS

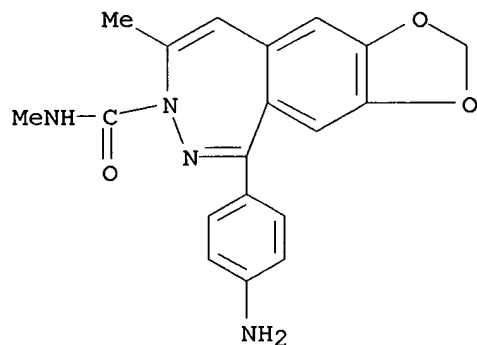
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-N-cyclopropyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



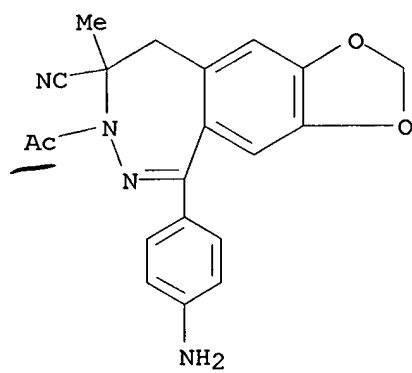
RN 220669-68-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N-methoxy-8-methyl- (9CI) (CA INDEX NAME)



RN 220670-32-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 220725-87-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



see 29 of 62

L23 ANSWER 2 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 2001:25774 CAPLUS
 DN 134:95505
 TI Decoy peptides for treatment of neurotoxicity in Alzheimer's disease
 caused by .beta. amyloid peptides
 IN Ingram, Vernon M.; Blanchard, Barbara J.
 PA Massachusetts Institute of Technology, USA
 SO U.S., 35 pp., Cont.-in-part of U.S. Ser. No. 960,188, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6172043	B1	20010109	US 1998-5215	19980109
PRAI	US 1997-35847	P	19970110		
	US 1997-960188	B2	19971029		

AB The invention involves identification of a mechanism of .beta.-amyloid peptide cytotoxicity, which enables treatment of conditions caused by .beta.-amyloid peptide aggregates by administration of compds. which antagonize the mechanism of cytotoxicity. The invention includes the identification and isolation of compds. which can antagonize the aggregation of .beta.-amyloid peptides and the neurotoxic effects of such aggregates. The compds. include isolated peptides which were selected

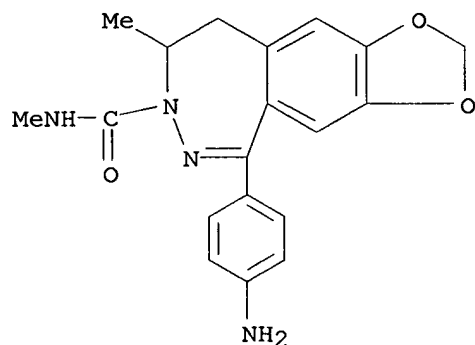
for their ability to form a complex with a .beta.-amyloid peptide, or are derived from peptides so selected. Methods for treating conditions resulting from neurotoxic .beta.-amyloid peptide aggregates and pharmaceutical prepsns. are provided. Also provided are methods for selecting addnl. compds. which can antagonize the aggregation of .beta.-amyloid peptides and the neurotoxic effects of such aggregates.

IT 143692-18-6

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (decoy peptides for treatment of neurotoxicity in Alzheimer's disease caused by .beta. amyloid peptides)

RN 143692-18-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RE.CNT 34

RE

(2) Answer; Int J Pep Protein Res 1990, V36, P392 CAPLUS

(3) Arispe; Proc Nat'l Acad Sci USA 1993, V90, P10573 CAPLUS

- (4) Arispe; Proc Nat'l Acad Sci USA 1993, V90, P567 CAPLUS
 - (6) Bodor; US 5525727 1996 CAPLUS
 - (7) Bredesen; US 5576209 1996 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 2000:741905 CAPLUS

DN 133:305610

TI Treatment of neurological disorders with nitric oxide synthase inhibitors and excitatory amino receptor modulators

IN O'Neill, Michael John

PA Eli Lilly and Company Limited, UK

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000061126	A2	20001019	WO 2000-GB1284	20000406
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI GB 1999-8175 A 19990409

AB The present invention relates to a method of treating a neurol. disorder comprising administering to a patient an effective amt. of a nitric oxide synthase inhibitor in combination with an effective amt. of an excitatory amino receptor modulator. Combination of 2.5 mg/kg Mk-801, i.p., and 25 mg/kg ARL17477, i.p., had a synergistic degree of neuroprotection (78%)

in cerebral ischemia induced in gerbils.

IT **143692-18-6**, Ly300168 **161832-65-1**, Ly300164

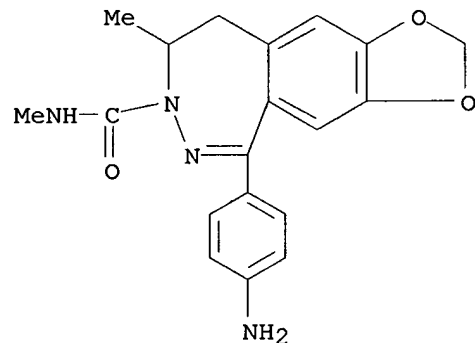
RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of neurol. disorders with nitric oxide synthase inhibitors and excitatory amino receptor modulators)

RN 143692-18-6 CAPLUS

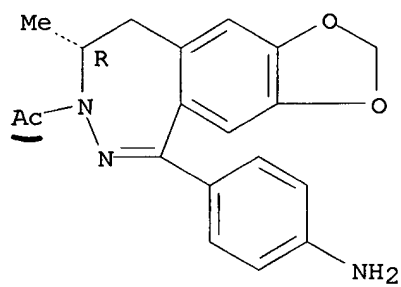
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~E23~~ ANSWER 4 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 2000:666600 CAPLUS

~~DN~~ 133:247292

~~TI~~ Amyotropic lateral sclerosis treatment with a combination of riluzole and an AMPA receptor antagonist

~~IN~~ Bohme, Andrees; Boireau, Alain; Canton, Thierry; Pratt, Jeremy; Stutzmann,

Jean-Marie

~~PA~~ Aventis Pharma S.A., Fr.

~~SO~~ PCT Int. Appl., 115 pp.

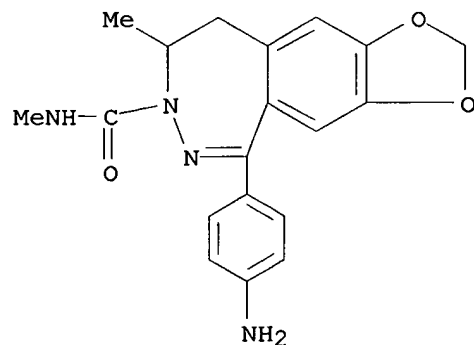
CODEN: PIXXD2

~~DT~~ Patent

~~LA~~ French

~~FAN.CNT~~ 1

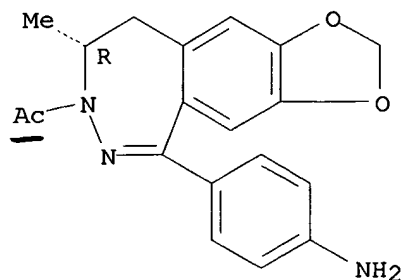
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000054772	A1	20000921	WO 2000-FR590	20000310
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	FR 2790670	A1	20000915	FR 1999-3100	19990312
PRAI	FR 1999-3100	A	19990312		
	US 1999-129318	P	19990414		
OS	MARPAT 133:247292				
AB	The invention discloses the prevention and/or treatment of amyotropic lateral sclerosis with a combination of riluzole and one or several AMPA receptor antagonists, as well as combinations of these compds. and pharmaceutical compns. contg. them.				
IT	143692-18-6 , LY 300168 161832-65-1 , LY 300164				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (riluzole-AMPA receptor antagonist combination for treatment of amyotropic lateral sclerosis)				
RN	143692-18-6 CAPLUS				
CN	7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)				



RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 9

RE

- (1) Bendtsen, L; WO 9819674 A 1998 CAPLUS
 - (2) Brooks, B; US 5780489 A 1998 CAPLUS
 - (3) Debono, M; EUROPEAN JOURNAL OF PHARMACOLOGY 1993, V235, P283 CAPLUS
 - (4) Hubert, J; BRITISH JOURNAL OF PHARMACOLOGY 1998, V125, P1421 CAPLUS
 - (5) Koh, J; JOURNAL OF NEUROCHEMISTRY 1999, V72(2), P716 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

123 ANSWER 5 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 2000:645836 CAPLUS

DN 133:238027

TI Preparation of 1,3-dioxolo[4,5-h][2,3]benzodiazepines for the treatment and prophylaxis of diseases related to the inhibition of lipid peroxidation

IN Raczne Bajnogel, Judit; Szenasi, Gabor; Gigler, Gabor; Levay, Gyorgy; Szabo, Geza; Tihanyi, Karoly; Egyed, Andras; Barkoczy, Jozsef; Ratkai, Zoltan; Greff, Zoltan; Schneider, Geza; Simig, Gyula; Balazs, Laszlo; Doman, Imre; Kotay, Nagy Peter; Seres, Peter

PA Egis Gyogyszergyar Rt., Hung.

SO PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000053166	A2	20000914	WO 2000-HU11	20000215
	WO 2000053166	A3	20001221		

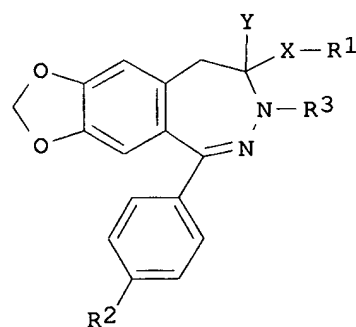
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI HU 1999-354 A 19990215

OS MARPAT 133:238027

GI



I

AB The title compds. [I; X = CO, CH₂; R₁ = H, OH, alkoxy, etc.; X together with R₁ forms CN, tetrazolyl, CHNOH, COR₆ (wherein R₆ = OH, alkoxy, phenoxy, etc.); R₂ = NO₂, NH₂, NHOH, alkanoylamino; R₃ = H, alkyl, haloalkyl, etc.; Y = H, Me; Y together with R₃ forms a bond between C

atom

in position 8 and N atom in position 7], useful for the treatment or prophylaxis of diseases and states related to increased (pathol.) lipid peroxidn., were prepd. E.g., a multi-step synthesis of I [XR₁ = CN; Y =

Me; R2 = NH2; R3 = COCF3] which showed 97% lipid peroxidn. inhibition at 10-5 M, was given.

IT 220725-64-4P 220725-67-7P 220725-70-2P
220725-82-6P 220725-86-0P 293290-66-1P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

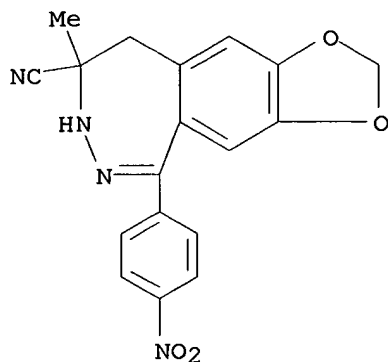
(prepn. of 1,3-dioxolo[4,5-h][2,3]benzodiazepines for the treatment

and

prophylaxis of diseases related to the inhibition of lipid peroxidn.)

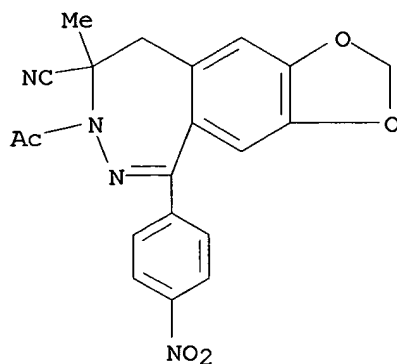
RN 220725-64-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



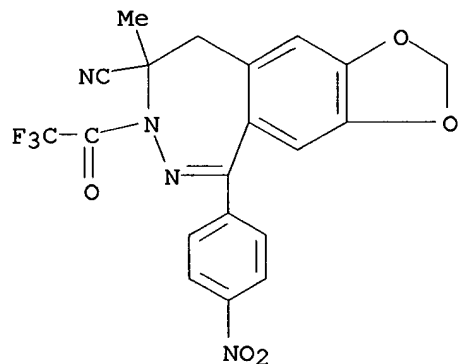
RN 220725-67-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

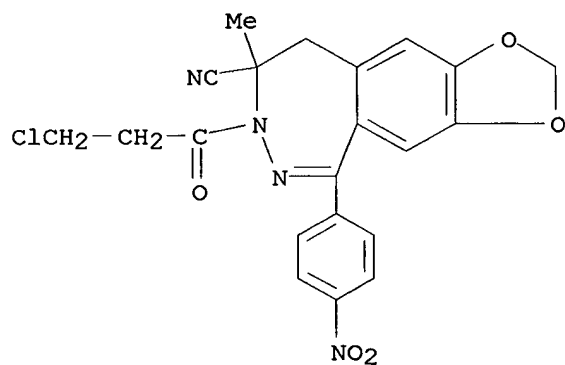


RN 220725-70-2 CAPLUS

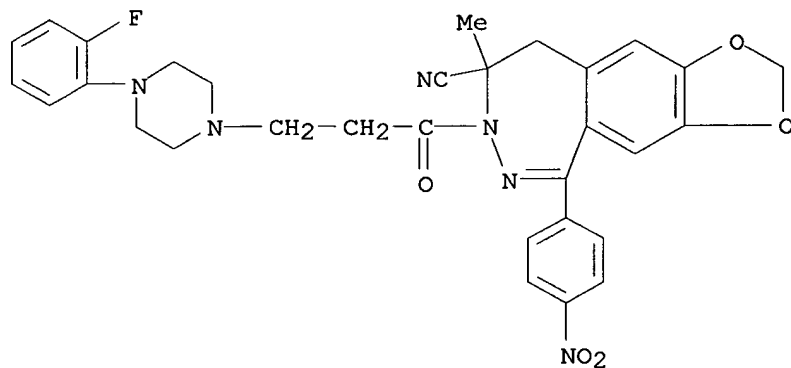
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 220725-82-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 7-(3-chloro-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI)
 (CA INDEX NAME)



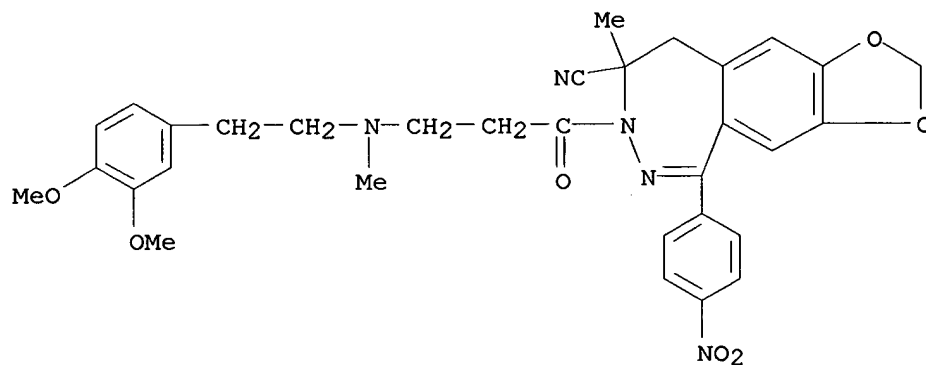
RN 220725-86-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 7-[3-[4-(2-fluorophenyl)-1-piperazinyl]-1-oxopropyl]-8,9-dihydro-8-methyl-
 5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 293290-66-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

7-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-1-oxopropyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



IT 220725-68-8P 220725-81-5P 220725-83-7P

220725-85-9P 220725-87-1P 220725-96-2P

220725-97-3P 220726-02-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1,3-dioxolo[4,5-h][2,3]benzodiazepines for the treatment

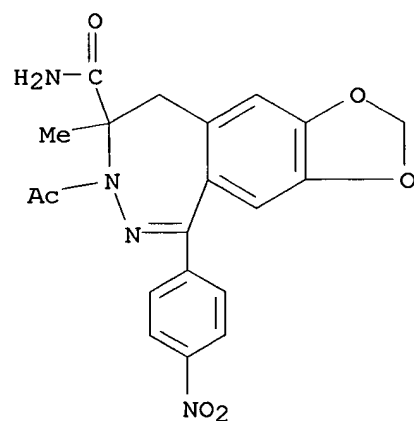
and

prophylaxis of diseases related to the inhibition of lipid peroxidn.)

RN 220725-68-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,

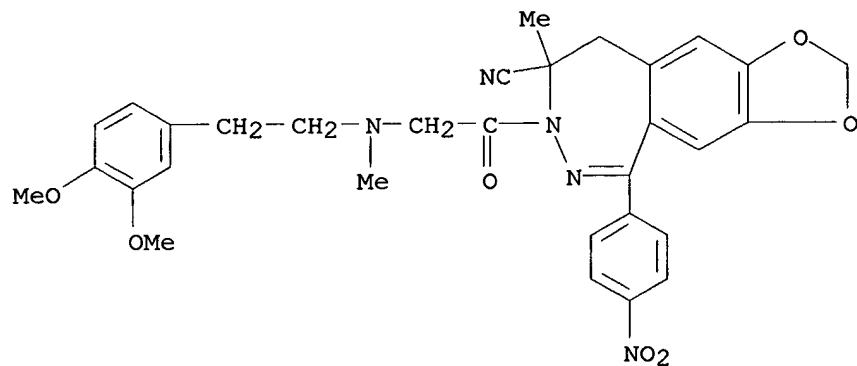
7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220725-81-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

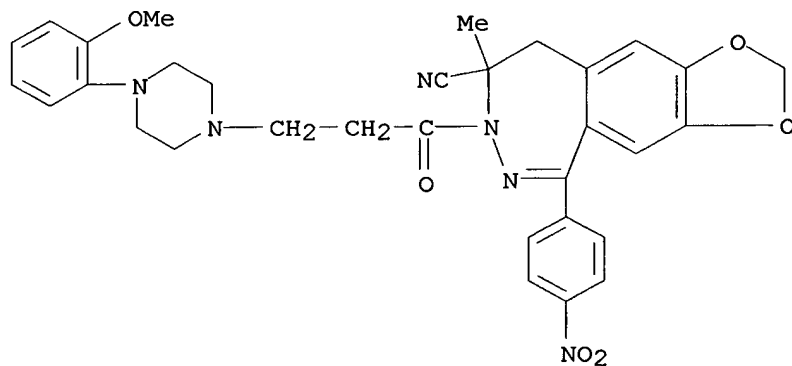
7-[[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220725-83-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

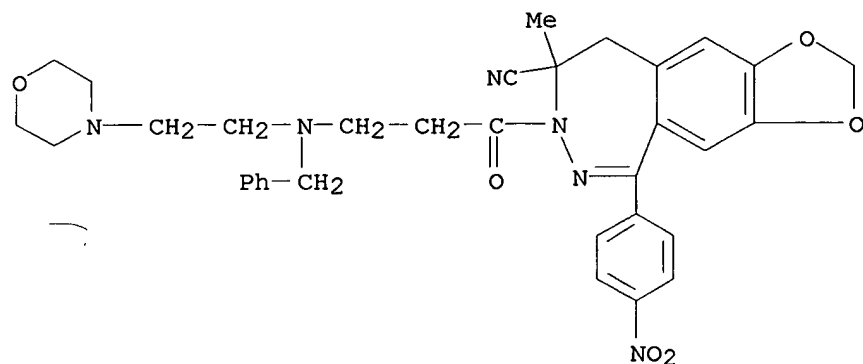
8,9-dihydro-7-[3-[4-(2-methoxyphenyl)-1-piperazinyl]-1-oxopropyl]-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



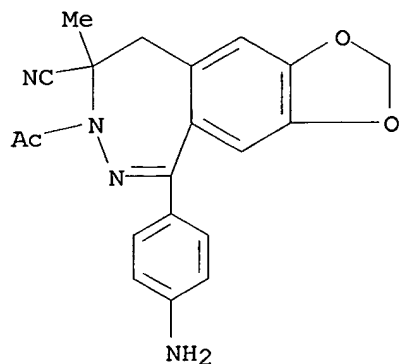
RN 220725-85-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

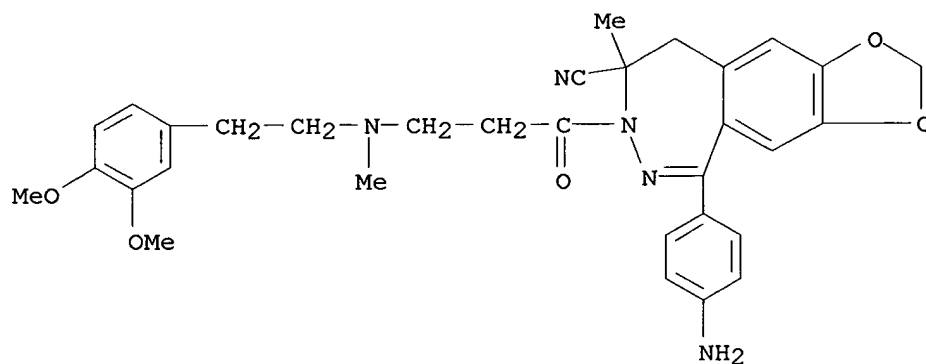
8,9-dihydro-8-methyl-7-[3-[[2-(4-morpholinyl)ethyl](phenylmethyl)amino]-1-oxopropyl]-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



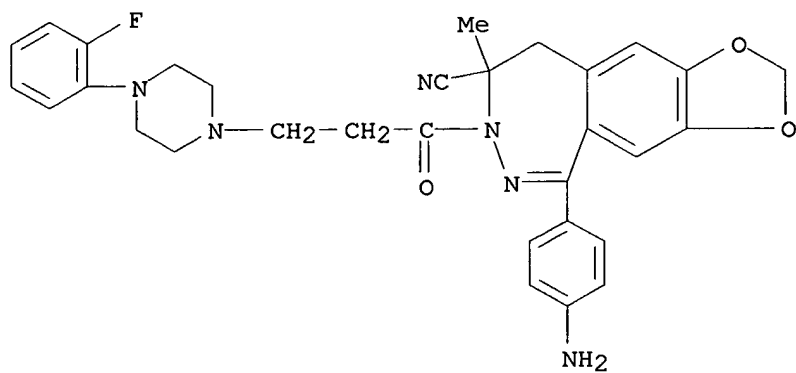
RN 220725-87-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



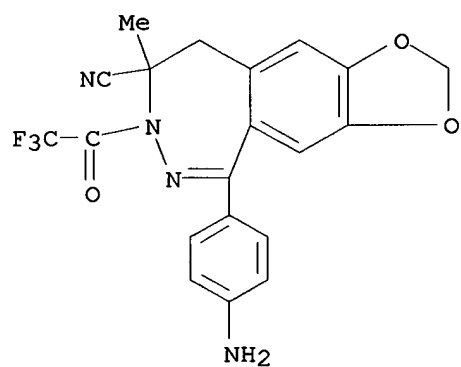
RN 220725-96-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-7-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-1-oxopropyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 220725-97-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-7-[3-[4-(2-fluorophenyl)-1-piperazinyl]-1-oxopropyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 220726-02-3 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(trifluoroacetyl)- (9CI) (CA
INDEX NAME)



~~123~~ ANSWER 6 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 2000:351162 CAPLUS

~~DN~~ 133:790

TI New use of glutamate antagonists for the treatment of cancer

IN Ikonomidou, Hrissanthi

PA Germany

SO Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

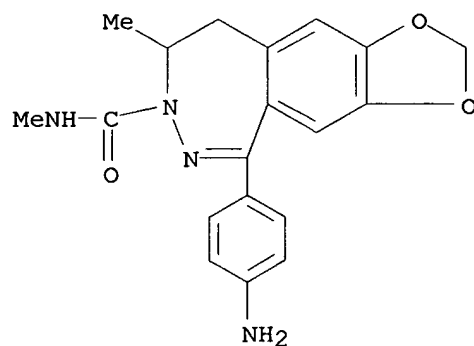
DT Patent

LA English

FAN.CNT 1

45/

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1002535	A1	20000524	EP 1998-250380	19981028
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AU 9964750	A1	20000515	AU 1999-64750	19991022
PRAI	EP 1998-250380	A	19981028		
	WO 1999-EP8004	W	19991022		
AB	New therapies can be devised based upon a demonstration of the role of glutamate in the pathogenesis of cancer. Inhibitors of the interaction of glutamate with the AMPA, kainate, or NMDA receptor complexes are likely to be useful in treating cancer and can be formulated as pharmaceutical compns. They can be identified by appropriate screens.				
IT	143692-48-2, GYKI 53655				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (glutamate antagonists for cancer treatment)				
RN	143692-48-2 CAPLUS				
CN	7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)				



⊙ HCl

RE.CNT 8

RE

(1) American Home Prod; EP 0778023 A 1997 CAPLUS

(2) Ben-Eliyahu, S; PROCEEDINGS OF THE WESTERN PHARMACOLOGY SOCIETY 1993, V36,

P293 CAPLUS

- (4) Igarashi, K; JOURNAL OF PHARMACOLOGY AND EXPERIMENTAL THERAPEUTICS 1995,
V272(3), P1101 CAPLUS
- (5) Olney, J; EP 0432994 A 1991 CAPLUS
- (6) Seiler, N; INTERNATIONAL JOURNAL OF BIOCHEMISTRY AND CELL BIOLOGY 1998,
V30(3), P393 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~123~~ ANSWER 7 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 2000:34733 CAPLUS

DN 132:88184

TI Inhibitors of the interaction of glutamate with the AMPA and/or kainate receptor complex for treatment of demyelinating disorders

IN Turski, Lechoslaw; Smith, Terence

PA Eisai Co., Ltd, Japan

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

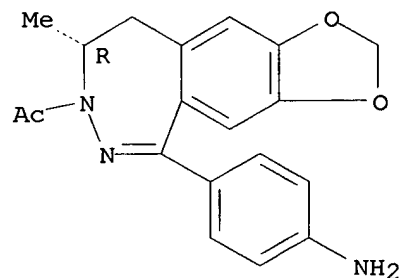
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000001376	A2	20000113	WO 1999-GB2112	19990702
	WO 2000001376	A3	20010322		
	W: JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	GB 1998-14380	A	19980702		
	GB 1998-24393	A	19981106		
AB	New therapies can be devised based upon a demonstration of the role of glutamate in the pathogenesis of demyelinating disorders. Inhibitors of the interaction of glutamate with the AMPA and/or kainate receptor complex are likely to be useful in treating demyelinating disorders and can be formulated as pharmaceutical compns.				
IT	161832-65-1, GYKI 53773				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(inhibitors of interaction of glutamate with AMPA and/or kainate receptor complex for treatment of demyelinating disorders)				
RN	161832-65-1 CAPLUS				
CN	7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



L23 ANSWER 8 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1999:723545 CAPLUS

DN 132:63227

TI Membrane processes for redox enzymes. Substrate delivery, reaction, product extraction

AU Rissom, Sebastian

CS Inst. Biotechnologie, Forschungszentrum Julich G.m.b.H., Julich, D-52425, Germany

SO Ber. Forschungszent. Juelich (1999), Juel-3682, 1-175 pp.
CODEN: FJBEE5; ISSN: 0366-0885

DT Report

LA German

AB Oxidoreductases are enzymes that catalyze the oxidn. or redn. of chem. compds. Their application in chem. synthesis offers the access to a multitude of chiral synthons of high optical purity. In the scope of this

thesis 2 interesting enzymes were selected, which offer the access to 2 different classes of compds. The nicotinamide-cofactors needed were regenerated effectively using formate dehydrogenases (FDH). The enzymic Baeyer-Villiger-Oxidn. of prochiral ketones to form the corresponding lactones was performed enantiospecifically using cyclohexanone monooxygenase (CHMO). For the problem of cofactor regeneration - using a FDH obtained by site-directed mutagenesis - and for the bubble-free aeration - by diffusive gas transfer through a silicone membrane elegant solns. were found. A carbonyl reductase from *Candida parapsilosis* (CPCR) reduces numerous ketones to form the corresponding enantiopure alcs. As model substrates the poorly sol. 3,4-methylenedioxyphenylacetone (MDA,

1st

step in the synthesis of a promising AMPA-blocker at Eli Lilly) and the readily sol. 2,5-hexanedione (precursor of the DuPHOS-Ligand) were selected. With MDA as a substrate the extraordinary operational

stability

of the system was demonstrated and the cofactor consumption minimized by use of a biphasic membrane reactor with integrated product extn. For the prodn. of (2S,5S)-hexanediol an economic and scalable biocatalytic

process

was developed. In all cases preparative conversions, in part up to the kg-scale, were performed and the products were isolated in high enantiomeric purity. The processes developed were compared to other catalytic processes and presented themselves as competitive.

IT 161832-65-1P, LY300164

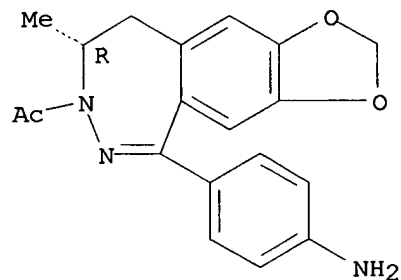
RL: BPN (Biosynthetic preparation); BPR (Biological process); BIOL (Biological study); PREP (Preparation); PROC (Process)

(whole cell *S. cerevisiae* used for enzymic redn. of MDA to LY300164)

RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



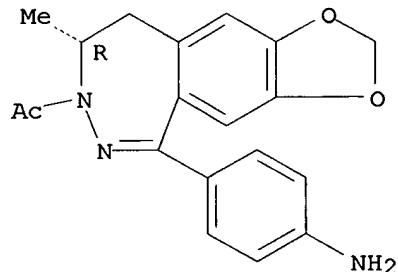
RE.CNT 188

RE

- (4) Anderson, B; J Am Chem Soc 1995, V117, P12358 CAPLUS
 - (6) Arnold, F; Biochem Eng 1997, V58, P1 CAPLUS
 - (7) Bach, J; Tetrahedron 1998, V54, P14947 CAPLUS
 - (11) Bergmeyer, H; Methoden der enzymatischen Analyse 1974, V1, P129 CAPLUS
 - (16) Bolm, C; J Mol Catal A: Chem 1997, V117, P347 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1999:627957 CAPLUS
 DN 132:35627
 TI Chemistry, biocatalysis and engineering: an interdisciplinary approach to the manufacture of the benzodiazepine drug candidate LY300164
 AU Anderson, Benjamin A.; Hansen, Marvin M.; Vicenzi, Jeffrey T.; Zmijewski, Milton J.
 CS Lilly Research Laboratories, Chemical Process Research and Development Division, A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA
 SO Process Chem. Pharm. Ind. (1999), 263-282. Editor(s): Gadamasetti, Kumar G. Publisher: Dekker, New York, N. Y.
 CODEN: 68FGA5
 DT Conference; General Review
 LA English
 AB Review with 33 refs.
 IT **161832-65-1P**, LY300164
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (an interdisciplinary approach to the manuf. of the benzodiazepine drug candidate LY300164)
 RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 59

RE

- (1) Aldercreutz, P; Biocatalysis 1987, V1, P99 CAPLUS
 - (2) Anderson, B; J Am Chem Soc 1995, V117, P12358 CAPLUS
 - (4) Andrasi, F; US 5536832 CAPLUS
 - (5) Bendall, V; J Chem Soc Chem Commun 1972, P823 CAPLUS
 - (7) Bruce, L; Biotechnol Prog 1991, V7, P116 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

123 ANSWER 10 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1999:231195 CAPLUS
 DN 130:267459
 TI Substituted 2,3-benzodiazepin-4-ones and the use thereof
 IN Xia, Haiji; Cai, Sui Xiong; Field, George; Lan, Nancy C.; Wang, Yan
 PA CoCensys, Inc., USA
 SO U.S., 24 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5891871	A	19990406	US 1997-821638	19970320
OS	MARPAT 130:267459				

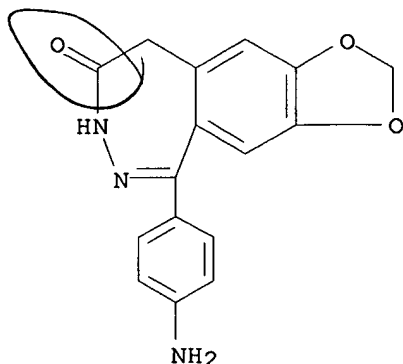
AB The invention relates to substituted 2,3-benzodiazepin-4-ones which are antagonists or pos. modulators of AMPA receptors, and the use thereof for treating, preventing or ameliorating neuronal loss assocd. with stroke, global and focal ischemia, CNS trauma, hypoglycemia and surgery, as well as treating or ameliorating neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, Parkinson's disease and Down's syndrome, treating, preventing or ameliorating the adverse consequences of the overstimulation of the excitatory amino acids, treating or ameliorating anxiety, psychosis, convulsions, chronic pain, glaucoma, CMV retinitis, urinary incontinence, muscular spasm and inducing anesthesia, as well as for treating or ameliorating the adverse consequences of excitatory amino acid deficiency such as schizophrenia, Alzheimer's disease and malnutrition and neural maldevelopment, and as cognition enhancers. The invention also is directed to the process for the prepn. of the substituted 2,3-benzodiazepin-4-ones. Thus, Me 4,5-methylenedioxy-2-(4-nitrobenzoyl)phenylacetate was cyclized with hydrazine to give 47% 7,8-methylenedioxy-1-(4-nitrophenyl)-3,5-dihydro-2,3-benzodiazepin-4(4H)-one, which was hydrogenated to give 73% 1-(4-aminophenyl)-7,8-methylenedioxy-3,5-dihydro-2,3-benzodiazepin-4(4H)-one which was derivatized, halogenated, acetylated, etc., to give approx. 70 title compds.

IT 197368-49-3P 197368-83-5P 221903-55-5P

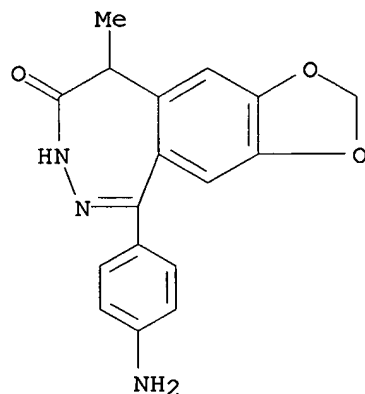
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and AMPA receptor activity of 2,3-benzodiazepin-4-ones)

RN 197368-49-3 CAPLUS

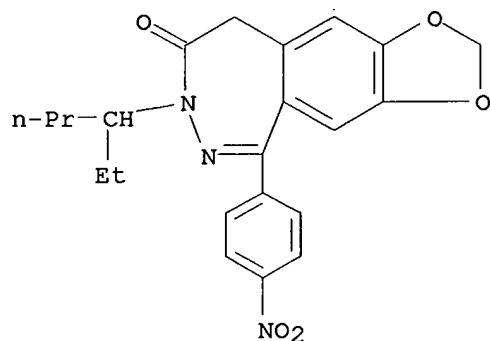
CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7,9-dihydro- (9CI) (CA INDEX NAME)



RN 197368-83-5 CAPLUS
 CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7,9-dihydro-9-methyl- (9CI) (CA INDEX NAME)



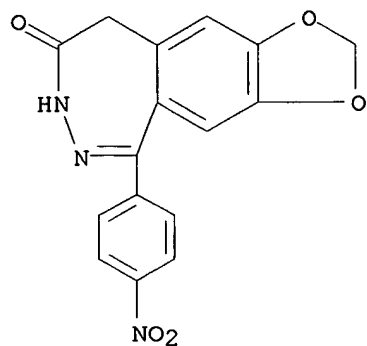
RN 221903-55-5 CAPLUS
 CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 7-(1-ethylbutyl)-7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



IT 194729-10-7P 197368-58-4P 197368-62-0P
 197369-00-9P 197369-01-0P 221903-94-2P
 221905-39-1P

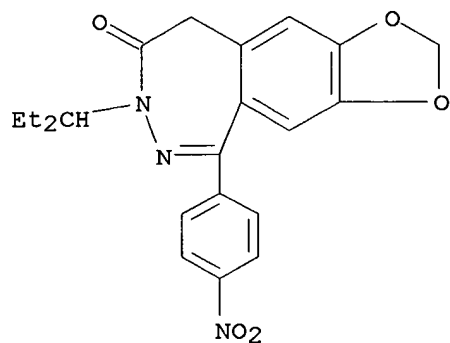
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and AMPA receptor activity of 2,3-benzodiazepin-4-ones)

RN 194729-10-7 CAPLUS
 CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



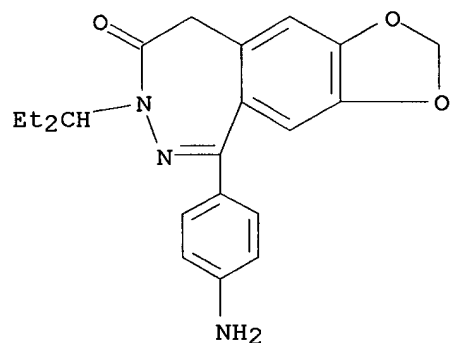
RN 197368-58-4 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 7-(1-ethylpropyl)-7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 197368-62-0 CAPLUS

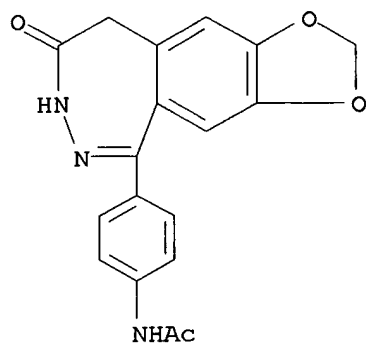
CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7-(1-ethylpropyl)-7,9-dihydro- (9CI) (CA INDEX NAME)



RN 197369-00-9 CAPLUS

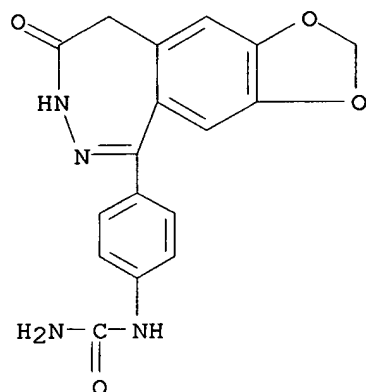
CN Acetamide,

N-[4-(8,9-dihydro-8-oxo-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

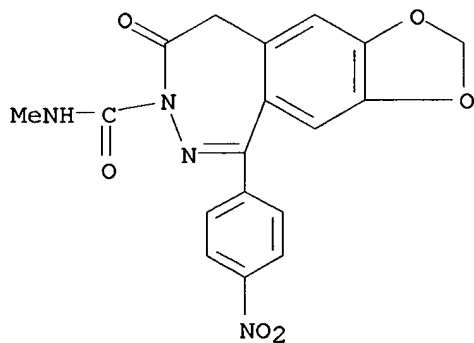


RN 197369-01-0 CAPLUS

CN Urea, [4-(8,9-dihydro-8-oxo-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

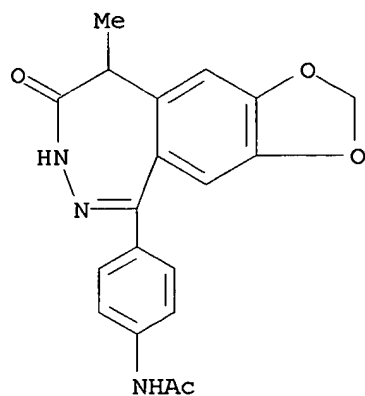


RN 221903-94-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N-methyl-5-(4-nitrophenyl)-8-oxo- (9CI) (CA INDEX NAME)

RN 221905-39-1 CAPLUS

CN Acetamide, N-[4-(8,9-dihydro-9-methyl-8-oxo-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 24

RE

- (1) Anderson, B; J Am Chem Soc 1995, V117, P12358 CAPLUS
- (2) Anon; FR 2085645 1972 CAPLUS
- (3) Anon; FR 2104998 1972 CAPLUS
- (4) Anon; EP 0492485 A1 1992 CAPLUS
- (5) Anon; WO 9413275 1994 CAPLUS

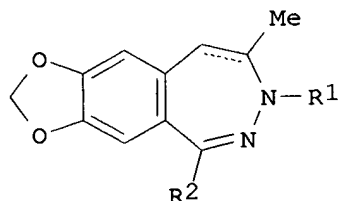
ALL CITATIONS AVAILABLE IN THE RE FORMAT

instant app.

applicants

L23 ANSWER 11 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1999:126904 CAPLUS
 DN 130:196675
 TI Preparation of 1,3-dioxolo[4,5-h][2,3]benzodiazepines as AMPA/kainate
 receptor inhibitors
 IN Barkoczy, Jozsef; Cselenyak, Judit; Ratkai, Zoltan; Simig, Gyula; Balazs,
 Laszlo; Doman, Imre; Kotay Nagy, Peter; Greff, Zoltan; Seres, Peter;
 Szabo, Geza; Gacsalyi, Istvan; Gigler, Gabor; Gyertyan, Istvan; Levay,
 Gyorgy; Kovacs, Attila; Simo, Annamaria; Szabados, Tamas; Egyed, Andras;
 Vegh, Miklos; Tihanyi, Karoly
 PA Egis Gyogyszergyar Rt., Hung.
 SO PCT Int. Appl., 139 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9907708	A1	19990218	WO 1998-HU76	19980807
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9888182	A1	19990301	AU 1998-88182	19980807
	EP 1015457	A1	20000705	EP 1998-939782	19980807
	R: AT, BE, CH, DE, DK, ES, GB, GR, LI, NL, SE, PT, SI, LT, LV, FI,				
RO	NO 2000000654	A	20000410	NO 2000-654	20000209
PRAI	HU 1997-1382	A	19970812		
	HU 1997-1383	A	19970812		
	WO 1998-HU76	W	19980807		
OS	MARPAT 130:196675				
GI					



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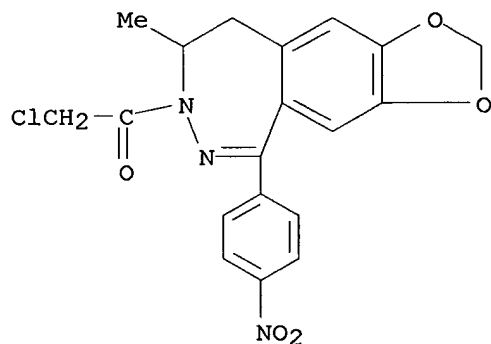
AB Title compds. [I; dashed line = null and R1 = (CH2)nCO(CH2)mR; R = halo,
 NR3R4, pyridinyl; R3,R4 = H, NH2, cycloalkyl, alkoxy, Ph, etc.; NR3R4 =
 (un)satd. heterocyclyl; dashed line = bond and R1 = CO(CH2)pR6; R6 =
 halo,
 NR7R8, alkoxy, phenoxy, etc.; R7,R8 = H, (cyclo)alkyl, guanyl, etc.; R7R8
 = atoms to complete a ring; R2 = C6H4R5-4; R5 = NO2, NH2, alkanoylamino;
 m,n,p = 0-2] were prepd. Thus, I [R2 = C6H4(NO2)-4, dashed line =
 bond] (II; R1 = H) was condensed with 1,1'-carbonyldiimidazole and the
 product condensed with H2NCH2CH2R (R = morpholino). to give II (R1 =
 CONHCH2CH2R, R = morpholino). Data for biol. activity of I were given.

IT 173087-61-1P 220669-48-7P 220669-49-8P
 220669-51-2P 220669-52-3P 220669-53-4P
 220669-54-5P 220669-56-7P 220669-57-8P
 220669-58-9P 220669-60-3P 220669-62-5P
 220669-63-6P 220669-64-7P 220669-65-8P
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 220670-28-0P 220670-29-1P 220670-31-5P
 220670-32-6P 220670-33-7P 220670-34-8P
 220670-36-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 1,3-dioxolo[4,5-h][2,3]benzodiazepines as AMPA/kainate receptor inhibitors)

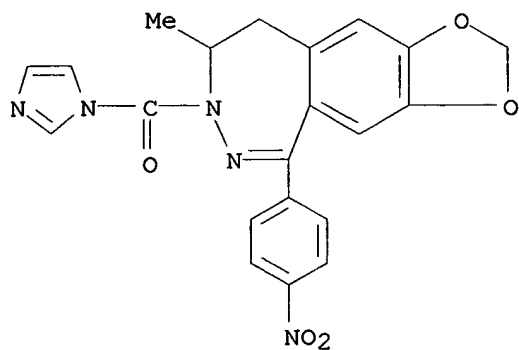
RN 173087-61-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(chloroacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



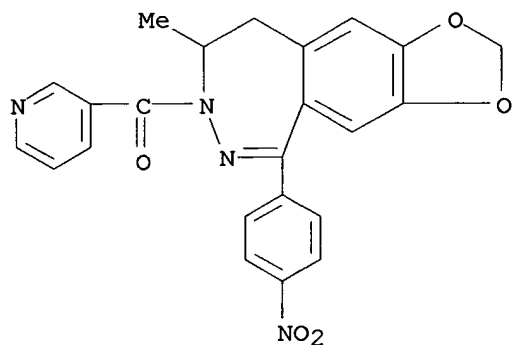
RN 220669-48-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-7-(1H-imidazol-1-ylcarbonyl)-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



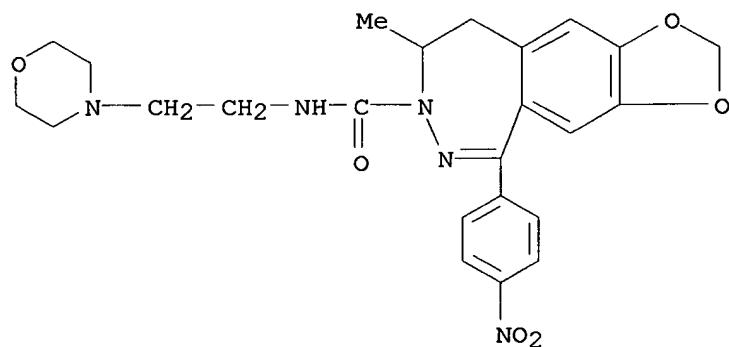
RN 220669-49-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)



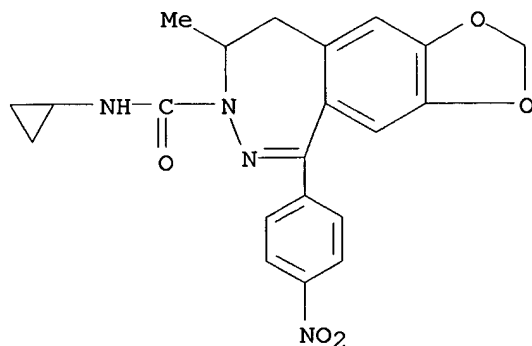
RN 220669-51-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 8,9-dihydro-8-methyl-N-[2-(4-morpholinyl)ethyl]-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

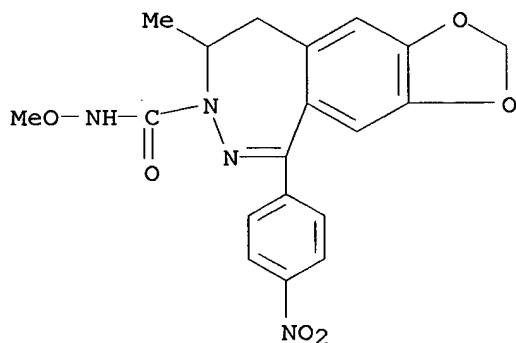


RN 220669-52-3 CAPLUS

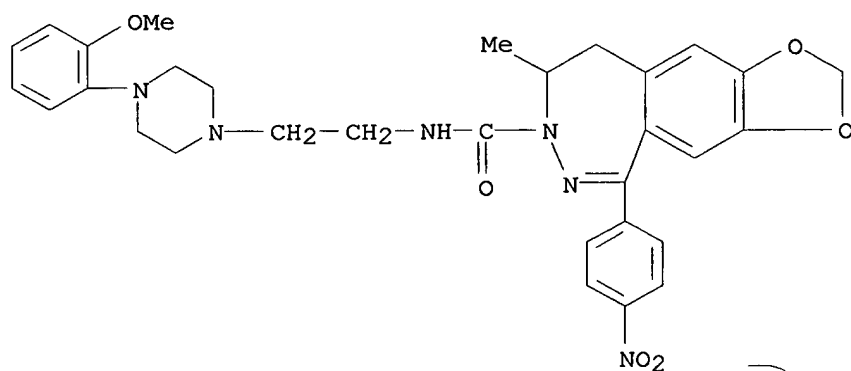
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, N-cyclopropyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



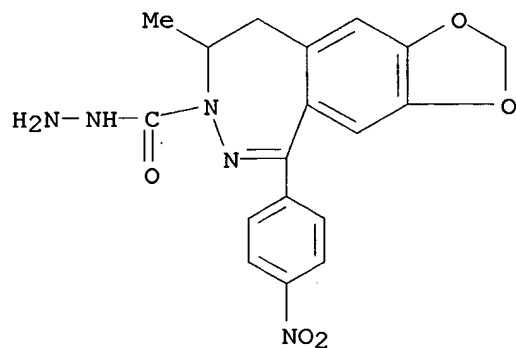
RN 220669-53-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N-methoxy-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



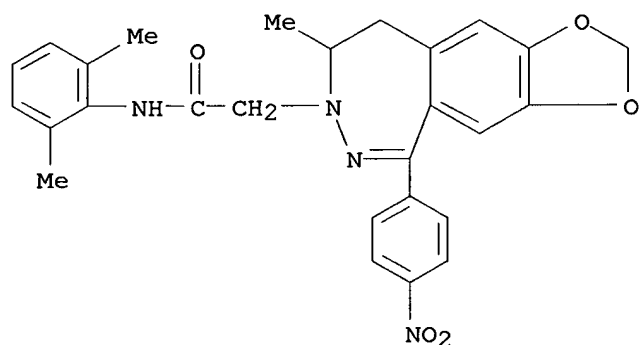
RN 220669-54-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-8-methyl-5-(4-
 nitrophenyl)- (9CI) (CA INDEX NAME)



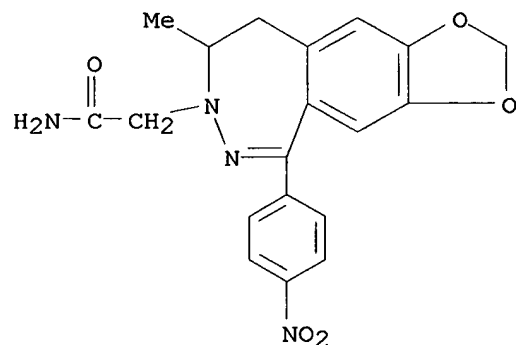
RN 220669-56-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxylic acid,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, hydrazide (9CI) (CA INDEX NAME)



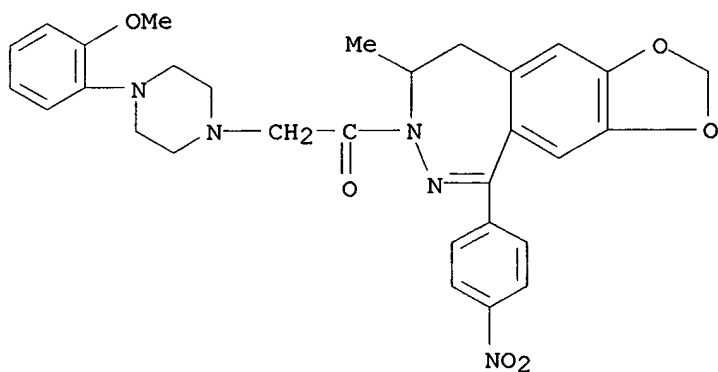
RN 220669-57-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-acetamide, N-(2,6-dimethylphenyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220669-58-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-acetamide, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

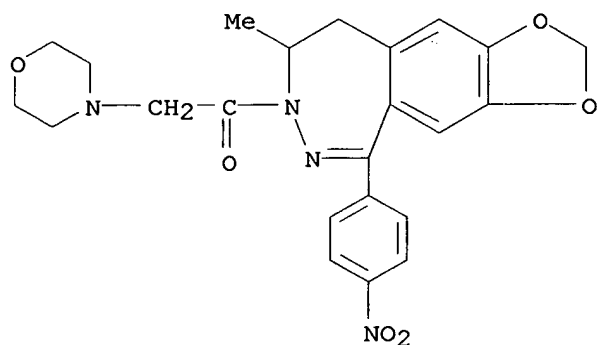


RN 220669-60-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-7-[[4-(2-methoxyphenyl)-1-piperazinyl]acetyl]-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



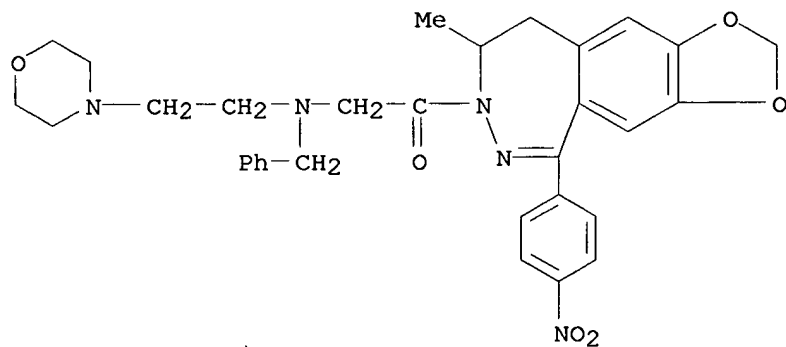
RN 220669-62-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(4-morpholinylacetyl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220669-63-6 CAPLUS

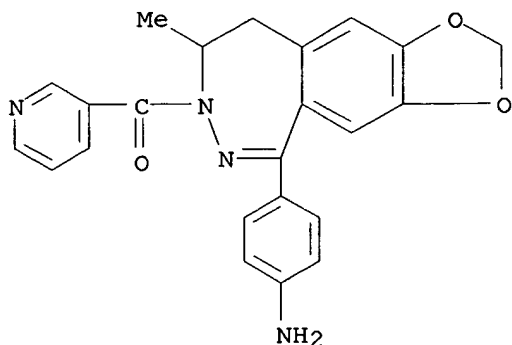
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-[[[2-(4-morpholinyl)ethyl](phenylmethyl)amino]acetyl]-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



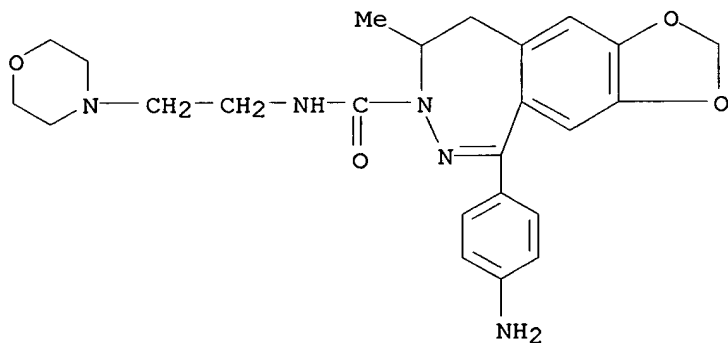
RN 220669-64-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-8-

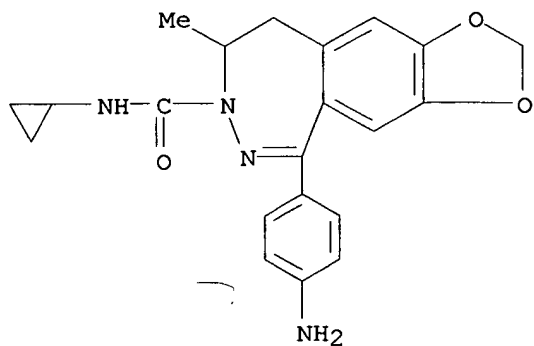
methyl-7-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)



RN 220669-65-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-N-[2-(4-morpholinyl)ethyl]- (9CI)
 (CA INDEX NAME)

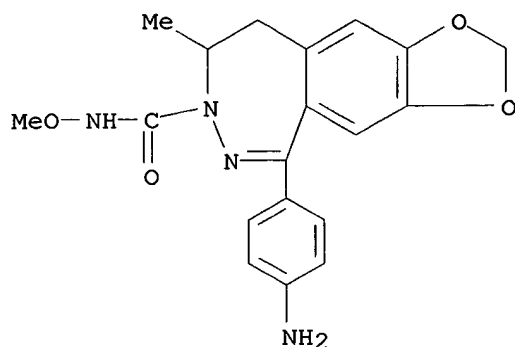


RN 220669-66-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-N-cyclopropyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX
 NAME)



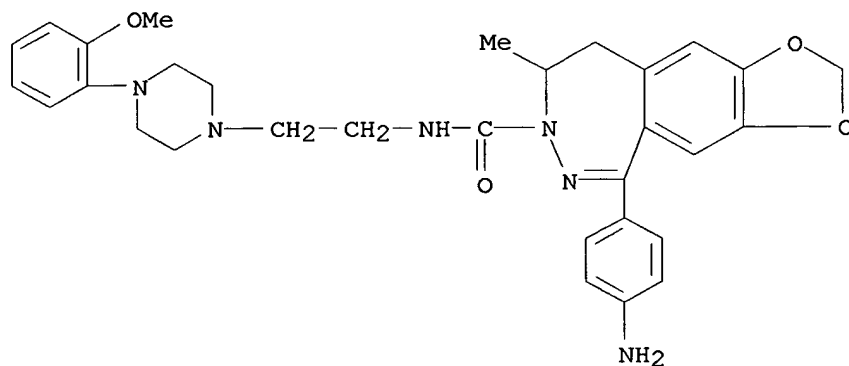
RN 220669-68-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,

5-(4-aminophenyl)-8,9-dihydro-N-methoxy-8-methyl- (9CI) (CA INDEX NAME)



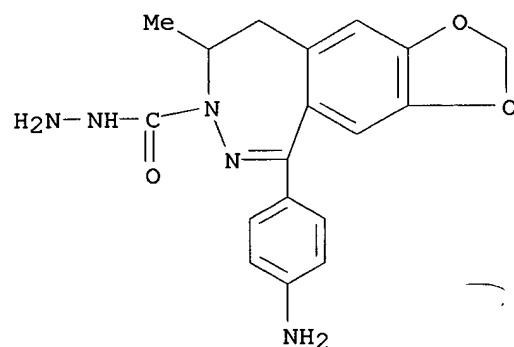
RN 220669-70-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-8-methyl- (9CI) (CA INDEX NAME)



RN 220669-71-6 CAPLUS

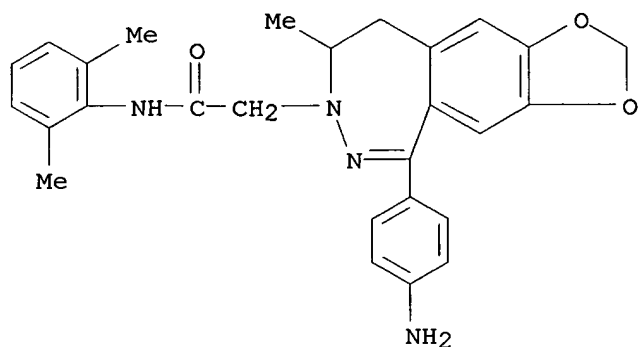
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxylic acid,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-, hydrazide (9CI) (CA INDEX NAME)



RN 220669-72-7 CAPLUS

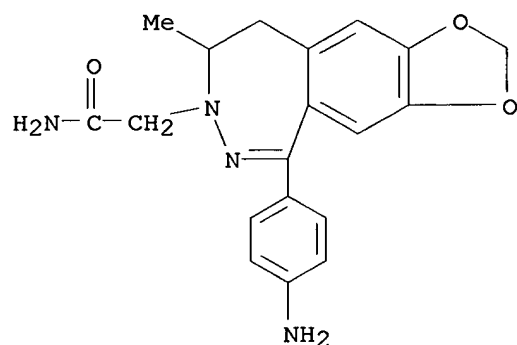
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-acetamide,
5-(4-aminophenyl)-N-

(2,6-dimethylphenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



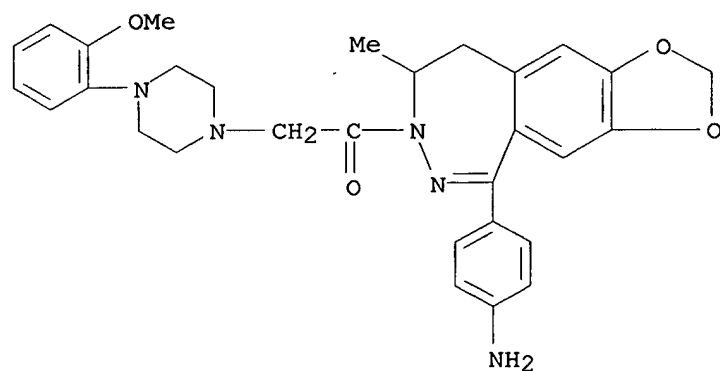
RN 220669-74-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-acetamide, 5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 220669-75-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-7-[[4-(2-methoxyphenyl)-1-piperazinyl]acetyl]-8-methyl- (9CI) (CA INDEX NAME)

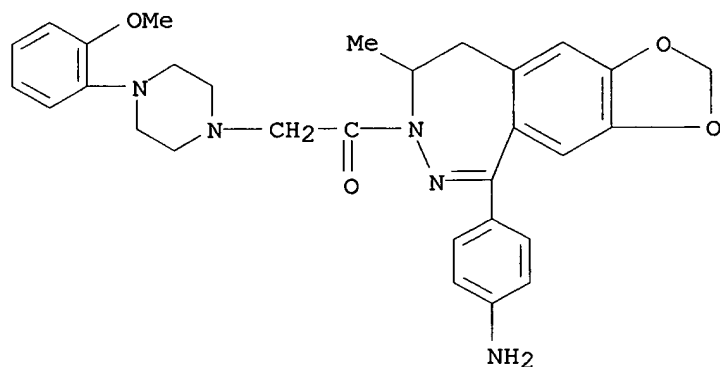


RN 220669-76-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-7-
 [[4-(2-methoxyphenyl)-1-piperazinyl]acetyl]-8-methyl-,
 (2E)-2-butenedioate
 (1:2) (9CI) (CA INDEX NAME)

CM 1

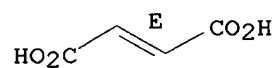
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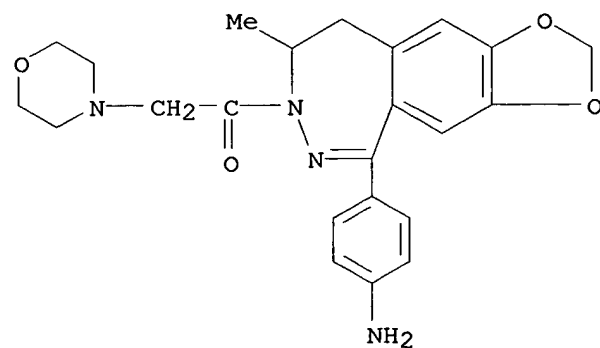
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CRN 110-17-8
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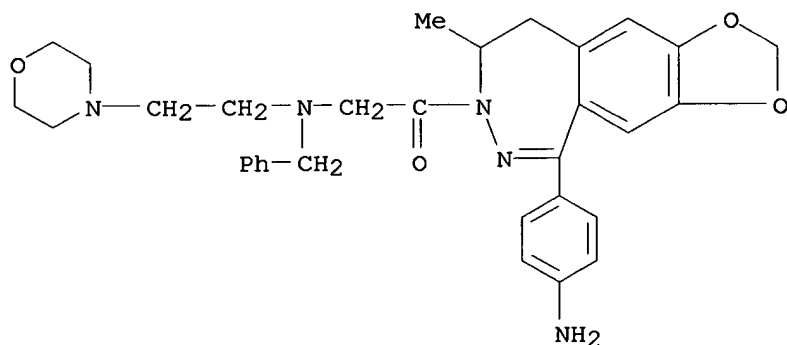
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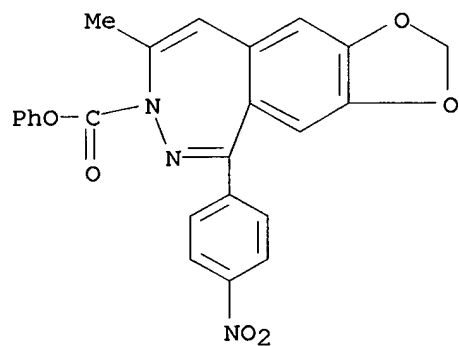
RN 220669-77-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)



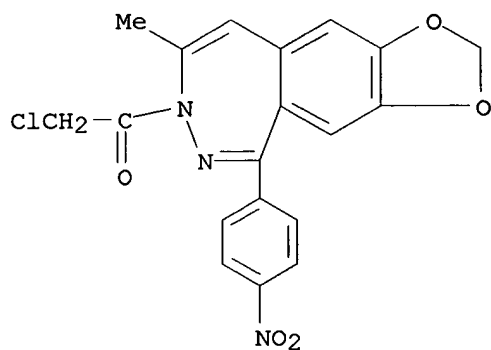
RN 220669-79-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-[[[2-(4-morpholinyl)ethyl](phenylmethyl)amino]acetyl]- (9CI)
 (CA INDEX NAME)



RN 220669-80-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxylic acid,
 8-methyl-5-(4-nitrophenyl)-, phenyl ester (9CI) (CA INDEX NAME)

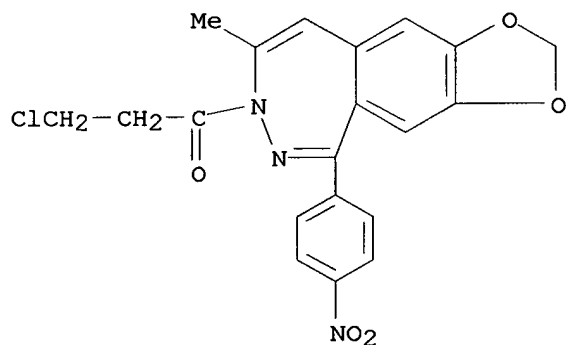


RN 220669-81-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(chloroacetyl)-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



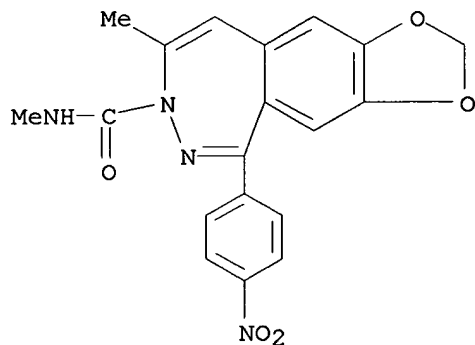
RN 220669-82-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(3-chloro-1-oxopropyl)-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



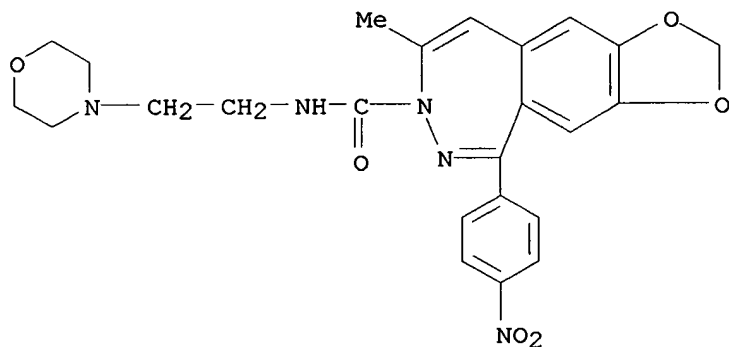
RN 220669-84-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, N,8-dimethyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

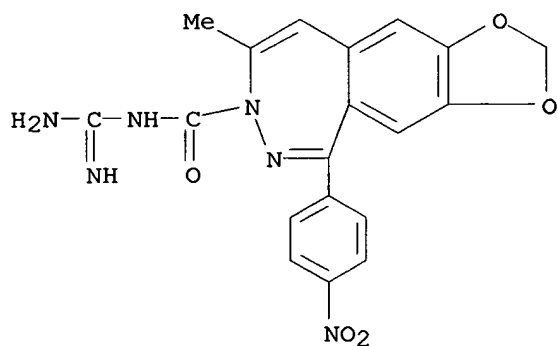


RN 220669-85-2 CAPLUS

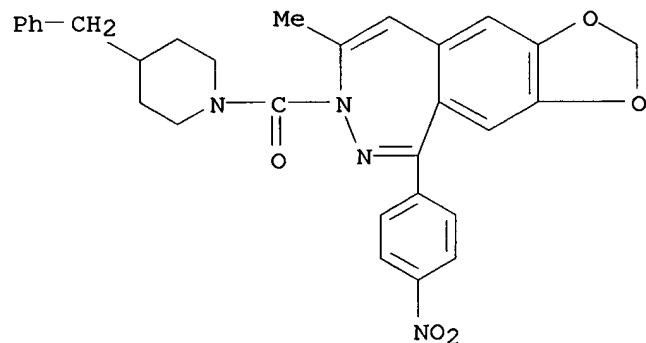
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 8-methyl-N-[2-(4-morpholinyl)ethyl]-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



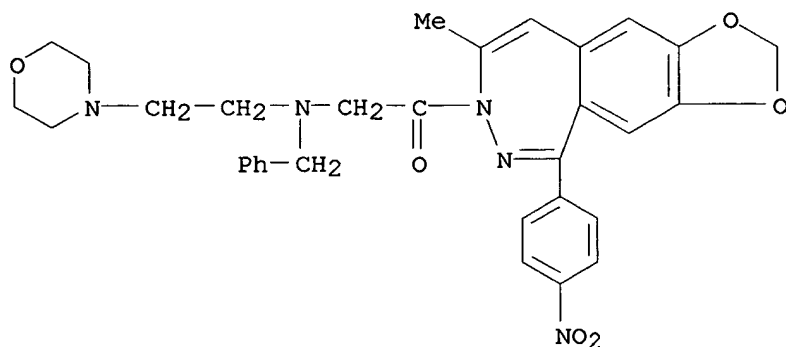
RN 220669-86-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 N-(aminoiminomethyl)-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



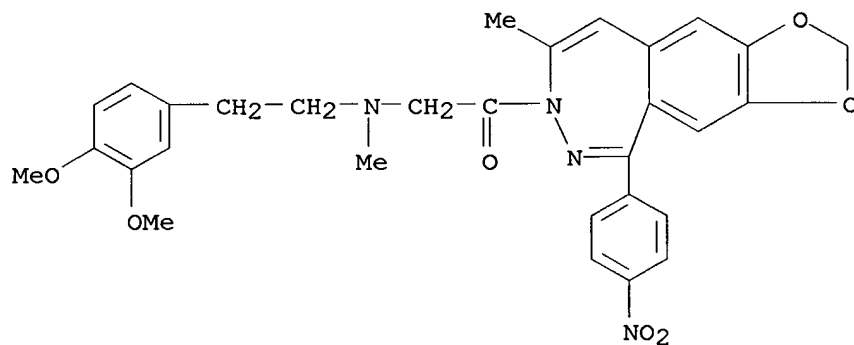
RN 220669-87-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 8-methyl-5-(4-nitrophenyl)-7-[[4-(phenylmethyl)-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



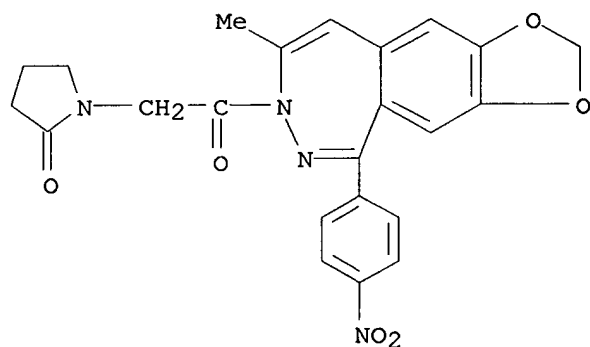
RN 220669-90-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8-methyl-7-[[[2-(4-morpholinyl)ethyl](phenylmethyl)amino]acetyl]-5-(4-nitrophenyl)- (9CI)
 (CA INDEX NAME)



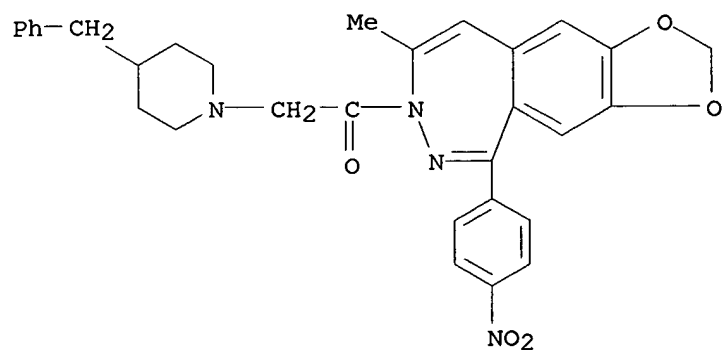
RN 220669-92-1 CAPLUS
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RN 220669-95-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8-methyl-5-(4-nitrophenyl)-7-[(2-oxo-1-pyrrolidinyl)acetyl]- (9CI) (CA INDEX NAME)

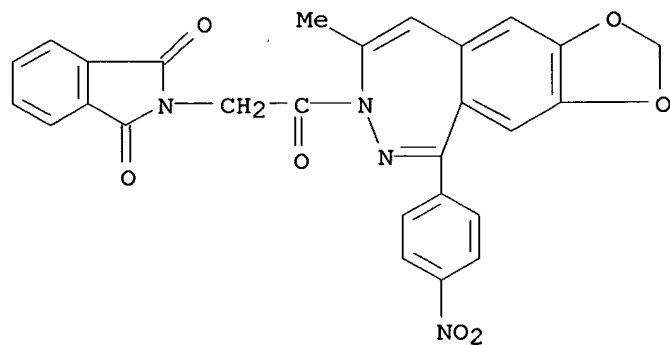


RN 220669-96-5 CAPLUS
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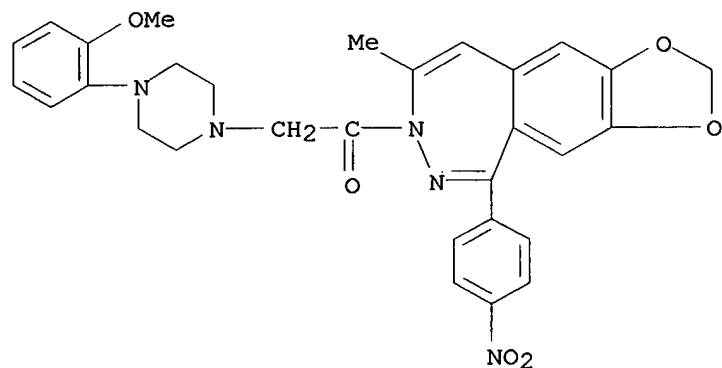
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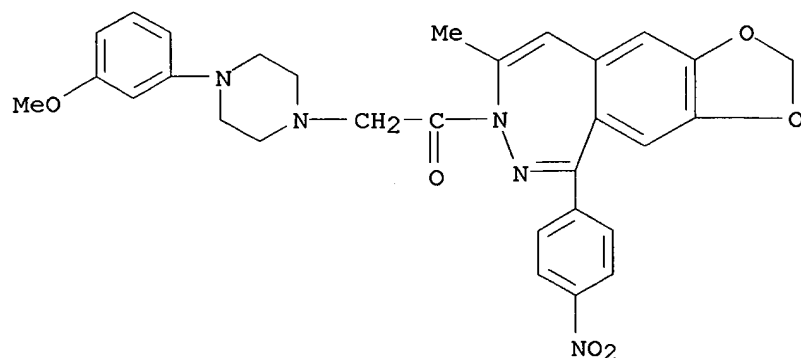
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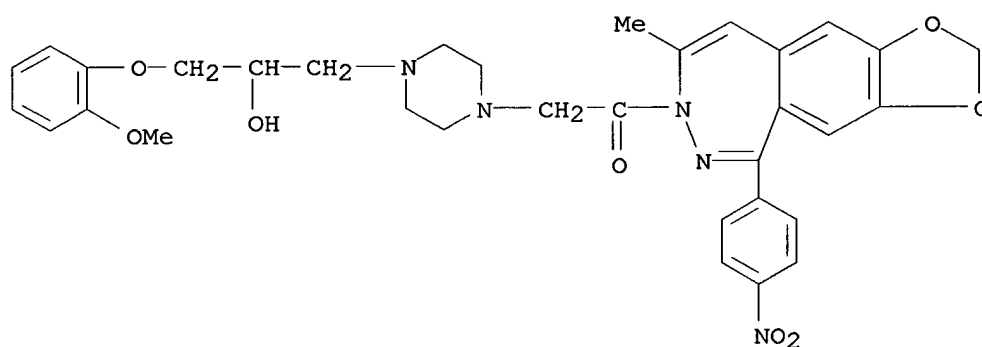
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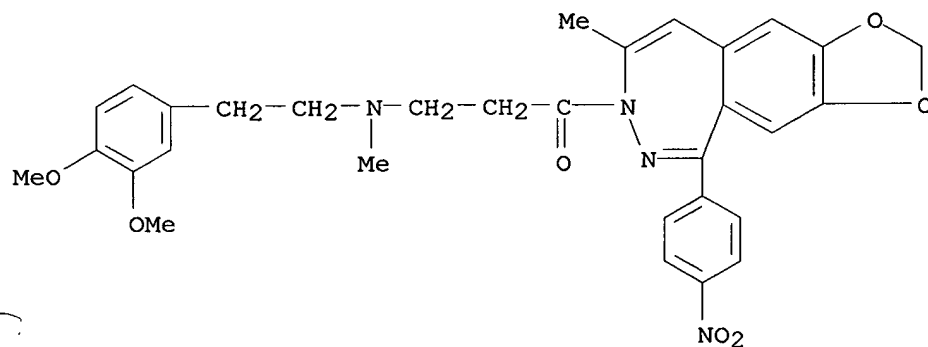
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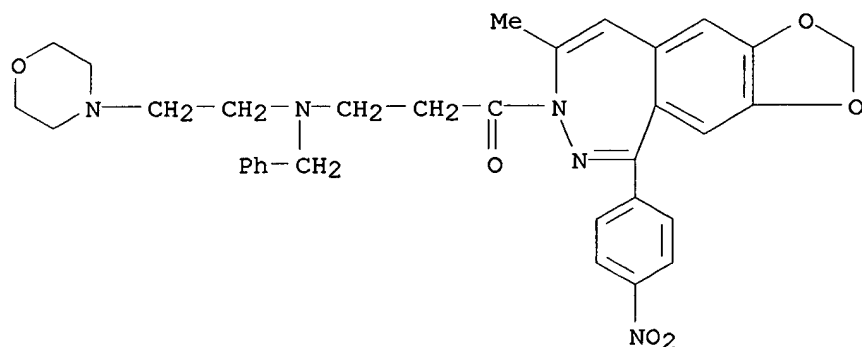
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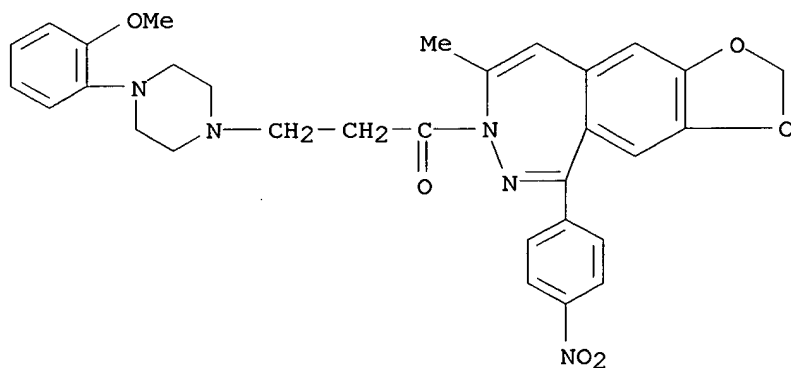
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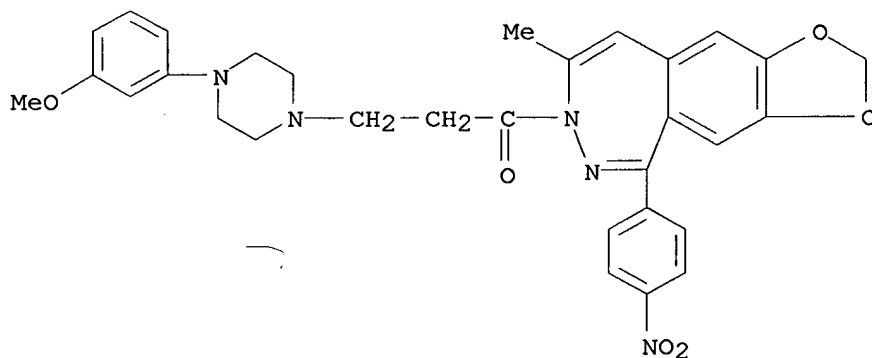
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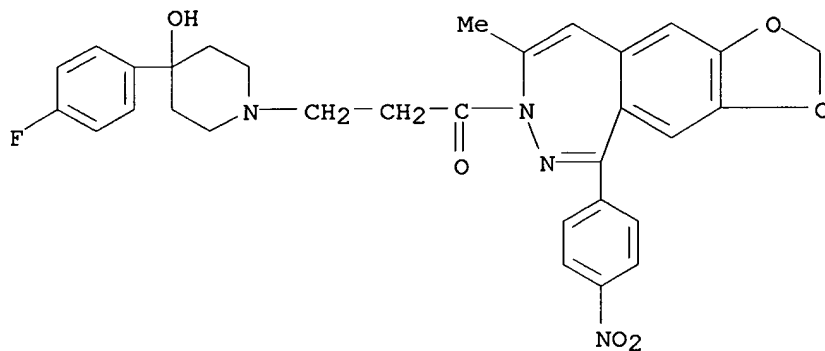
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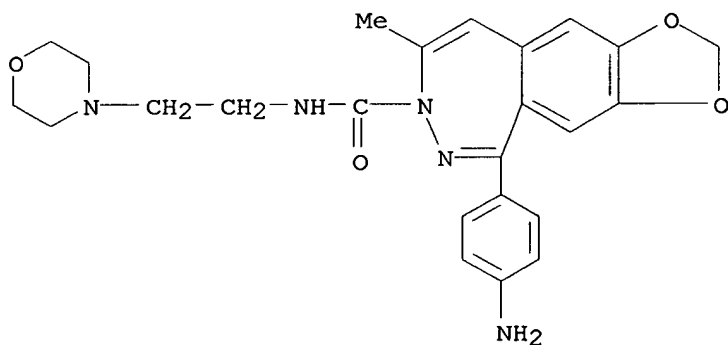
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(CA INDEX NAME)



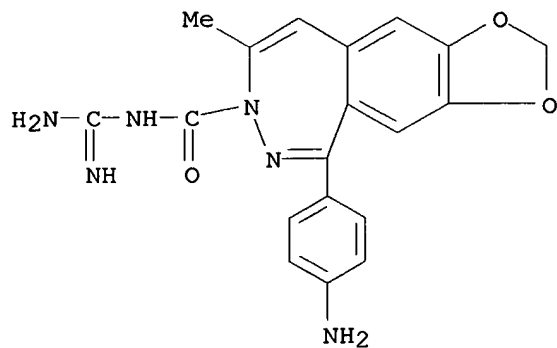
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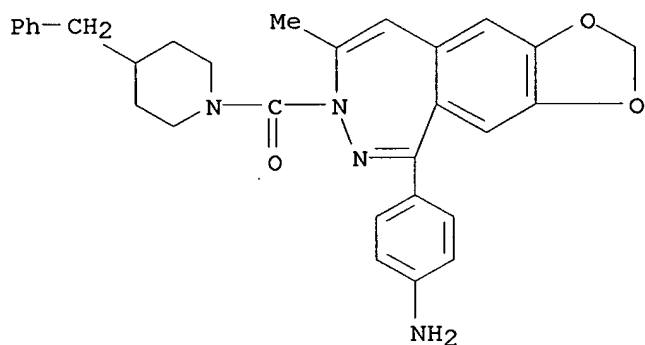
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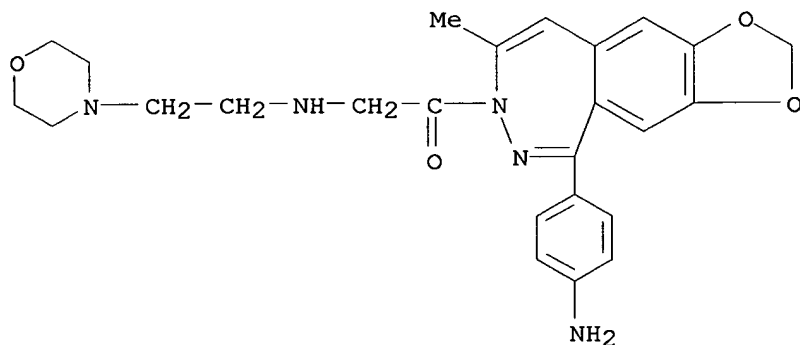
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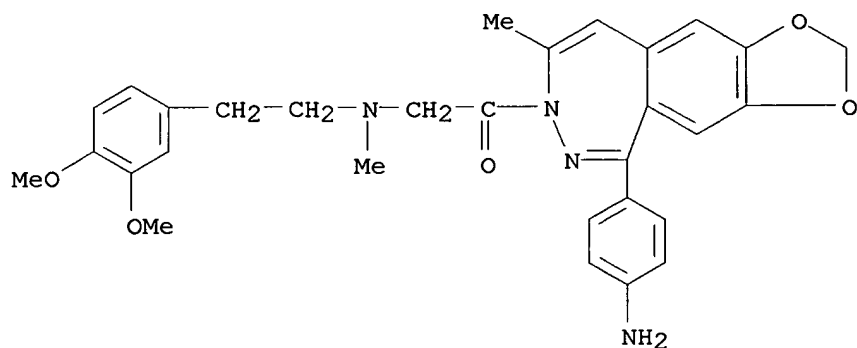
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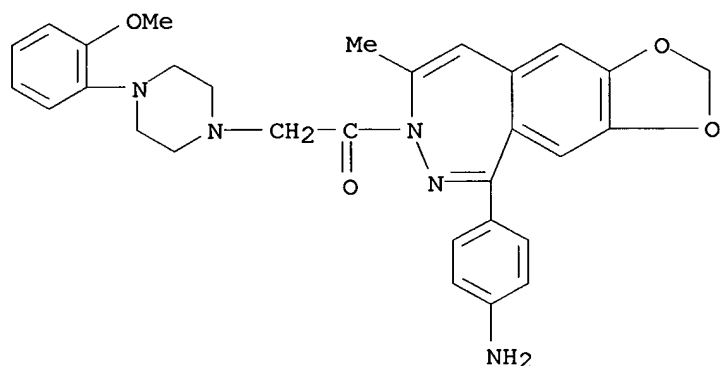
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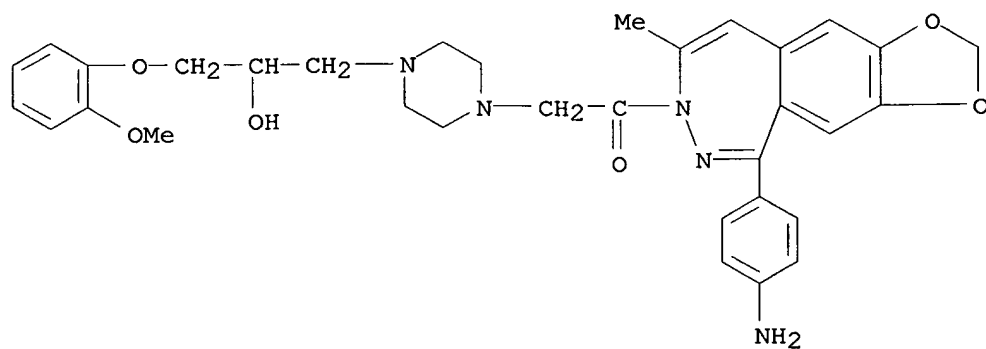
RN 220670-19-9 CAPLUS

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RN 220670-20-2 CAPLUS

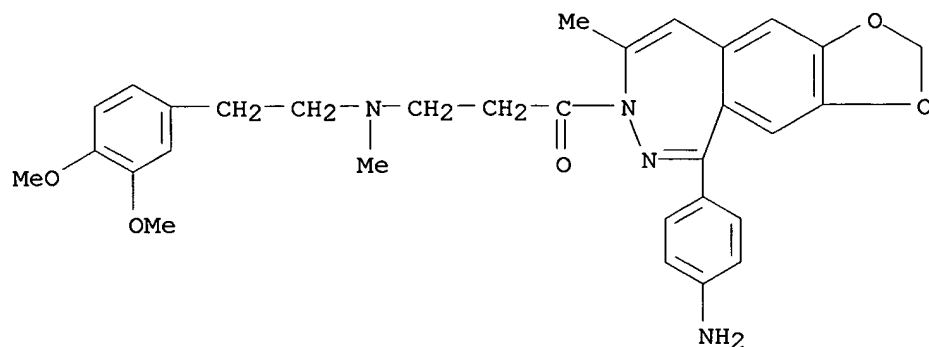
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-[[4-[2-hydroxy-3-(2-methoxyphenoxy)propyl]-1-piperazinyl]acetyl]-8-methyl- (9CI) (CA INDEX NAME)



RN 220670-21-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
5-(4-aminophenyl)-7-[3-[[2-(3,4-
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INDEX

NAME)

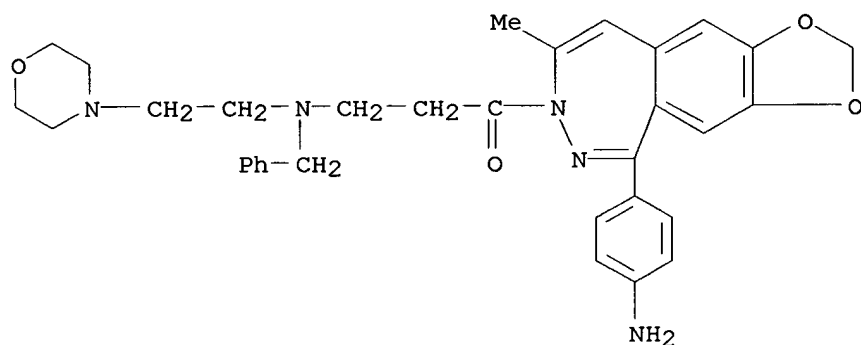


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[[2-(4-morpholinyl)ethyl](phenylmethyl)amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

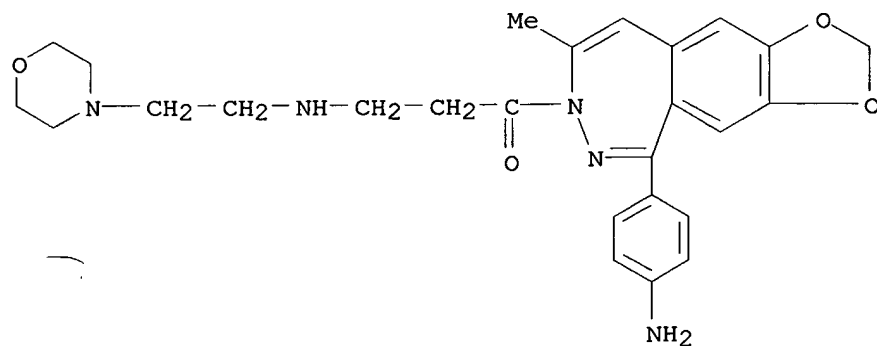


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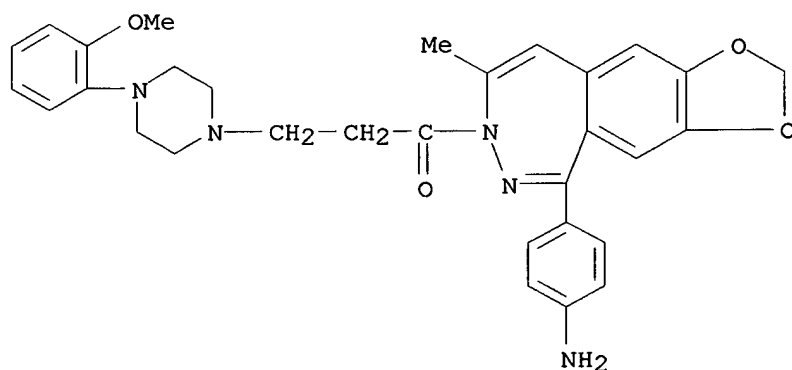
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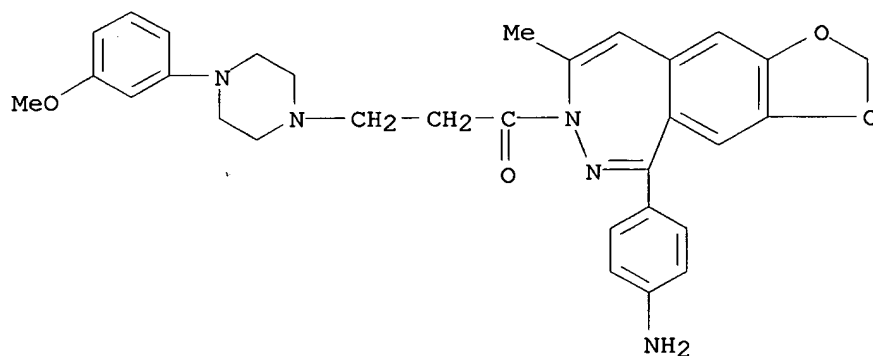
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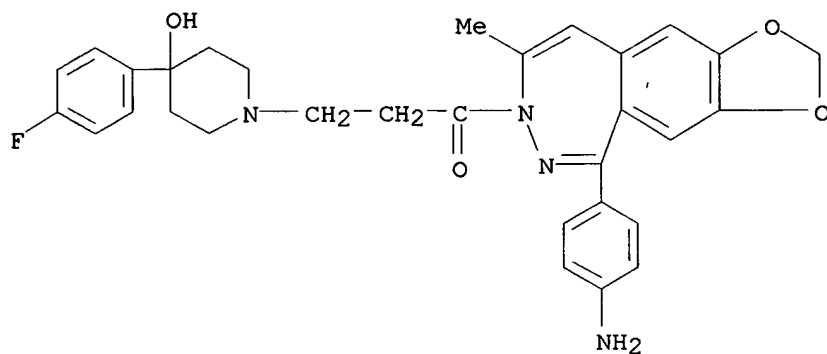
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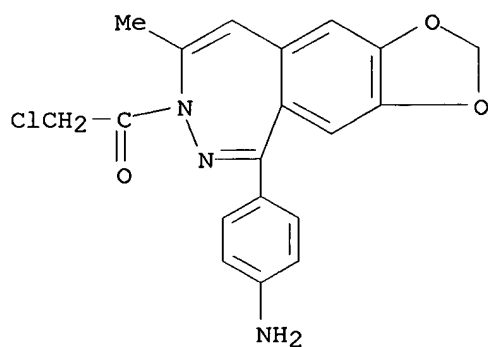


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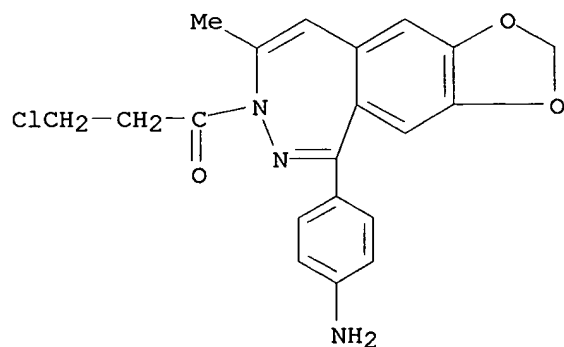
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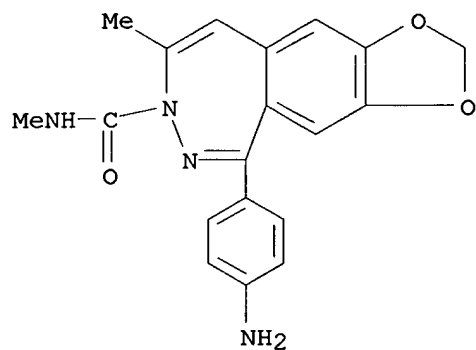
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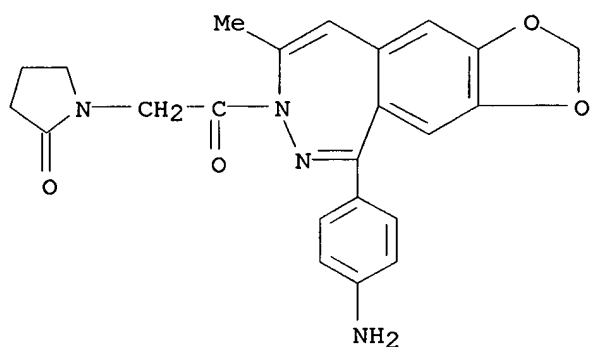
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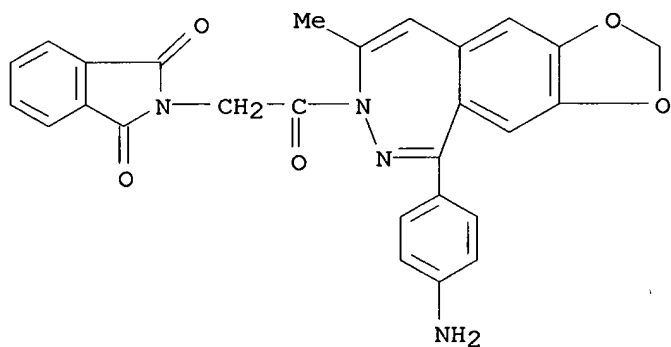
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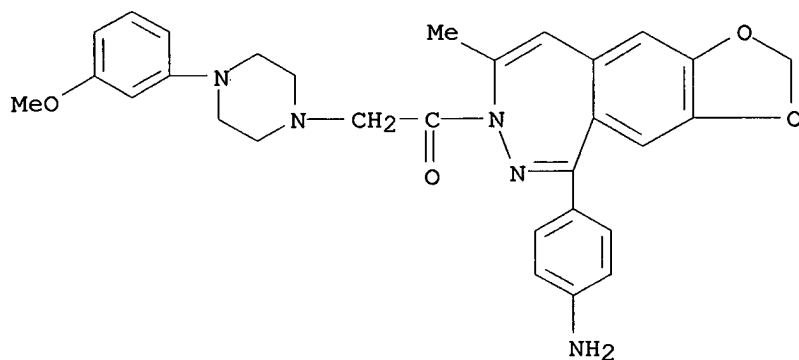
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IT 143692-49-3 220315-83-3

RL: RCT (Reactant)

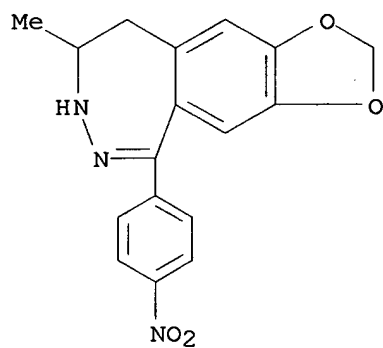
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      receptor inhibitors)

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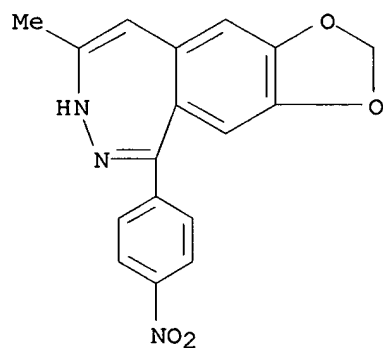
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RN 220315-83-3 CAPLUS

7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8-methyl-5-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)



RE.CNT 6

RE

- (1) Gyogyszerkutato Intezet; EP 0492485 A 1992 CAPLUS
 - (2) Gyogyszerkutato Intezet; WO 9211262 A 1992 CAPLUS
 - (3) Hamori, T; WO 9604283 A 1996 CAPLUS
 - (4) Lilly Co Eli; EP 0699677 A 1996 CAPLUS
 - (5) Lilly Co Eli; EP 0699678 A 1996 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1999:126903 CAPLUS

DN 130:196674

TI Preparation of 8-substituted-9h-1,3-dioxolo/4,5-h//2,3/benzodiazepine derivatives as AMPA/kainate receptor inhibitors

IN Ratkai, Zoltan; Barkoczy, Jozsef; Schneider, Geza; Cselenyak, Judit; Simig, Gyula; Balazs, Laszlo; Doman, Imre; Greff, Zoltan; Kotay, Nagy Peter; Seres, Peter; Szabo, Geza; Gacsalyi, Istvan; Gigler, Gabor; Gyertyan, Istvan; Levay, Gyorgy; Kovacs, Attila; Simo, Annamaria; Szabados, Tamas; Egyed, Andras; Vegh, Miklos; Tihanyi, Karoly

PA Egis Gyogyszergyar Rt., Hung.

SO PCT Int. Appl., 191 pp.

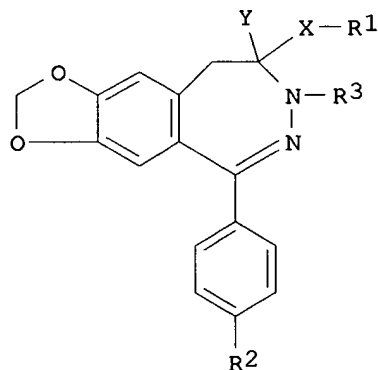
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DT Patent

LA English

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	HU 1997-1381		19970812		
	WO 1998-HU75		19980807		
OS	MARPAT 130:196674				
GI					



I

AB Title compds. [I; R1 = H, CH3, OH, alkoxy, alkylsulfonyloxy, NH2, NHMe, etc.; R2 = NO2, NH2; R3 = H, Ac, CO(CH2)2Cl alkyl, alkylcarbonyl, pyridylcarbonyl, phenoxycarbonyl, etc.; X = CO, CH2, CH2CH2; XR1 = CN, tetrazolyl, CHNOH, COOH, etc.; Y = H, CH3; YR3 = a valence bond and X

represents a methylene group, then R1 is other than a hydrogen atom] are prepd. as AMPA/kainate receptor inhibitors and pharmaceutical compns. contg. these active substances are reported. Thus, I (YR3 = bond; XR1 = CONHET; R2 = NO2) was prepd. from I (XR1 = CH3) with an oxidizing agent.

IT 220725-19-9P 220725-20-2P 220725-21-3P
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 220725-67-7P 220725-68-8P 220725-70-2P
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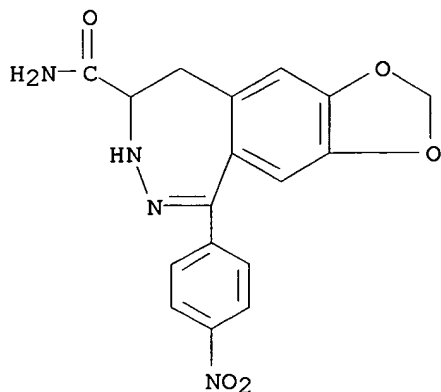
RL: BAC (Biological activity or effector, except adverse); RCT

(Reactant);

SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of dioxolobenzodiazepines as AMPA/kainate receptor inhibitors)

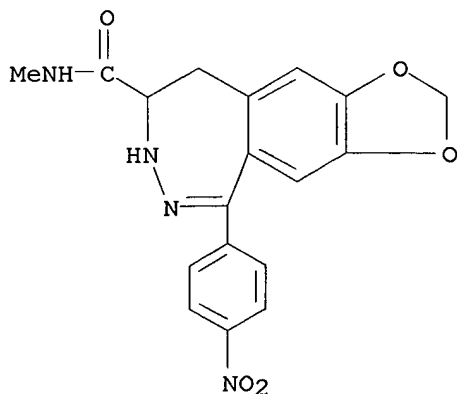
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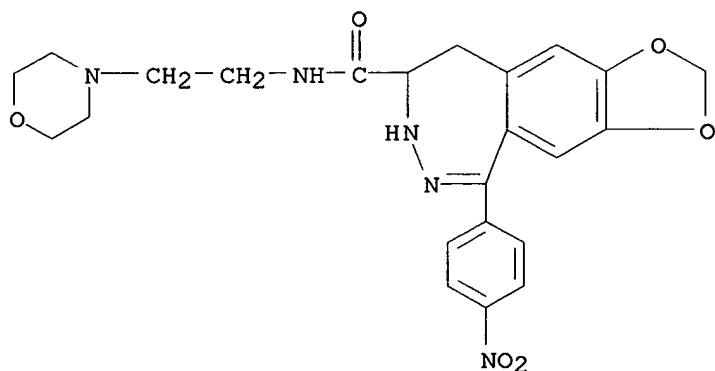


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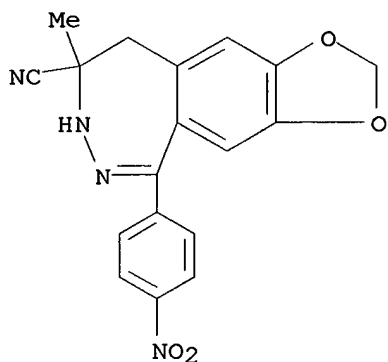
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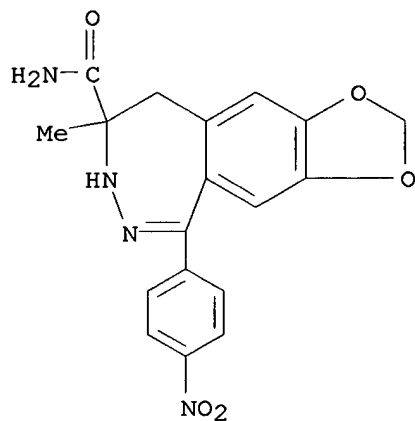
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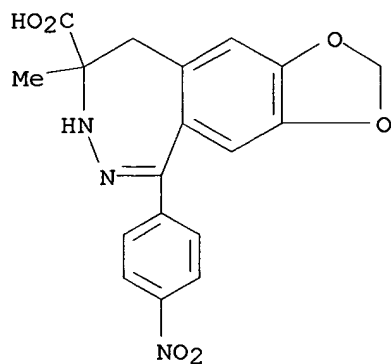
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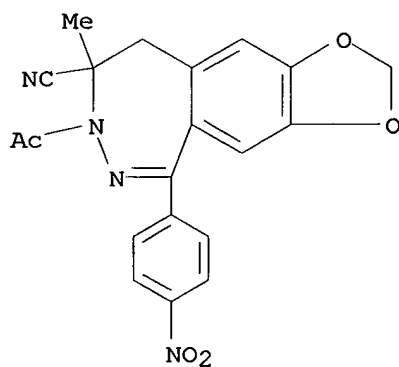
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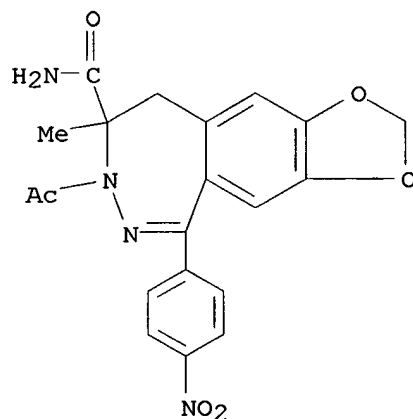


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 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

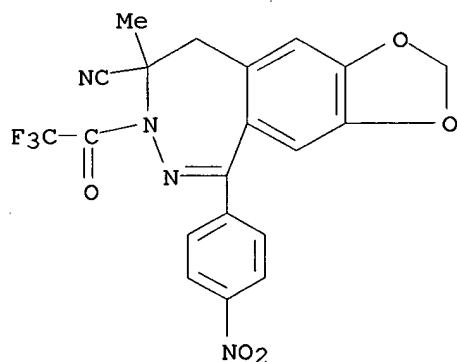


RN 220725-68-8 CAPLUS

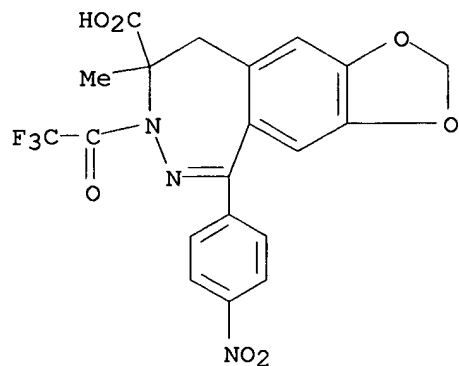
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



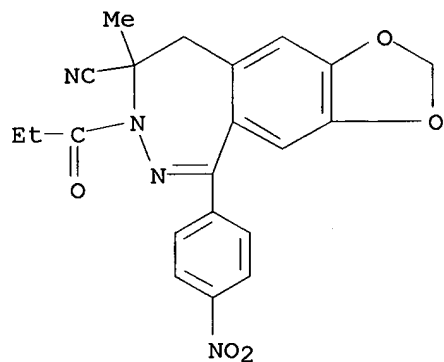
RN 220725-70-2 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA
INDEX NAME)



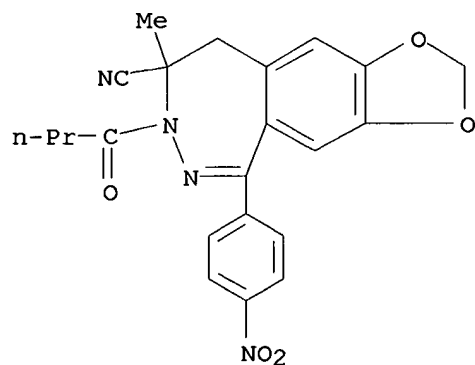
RN 220725-71-3 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxylic acid,
8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA
INDEX NAME)



RN 220725-73-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopropyl)- (9CI) (CA INDEX
 NAME)

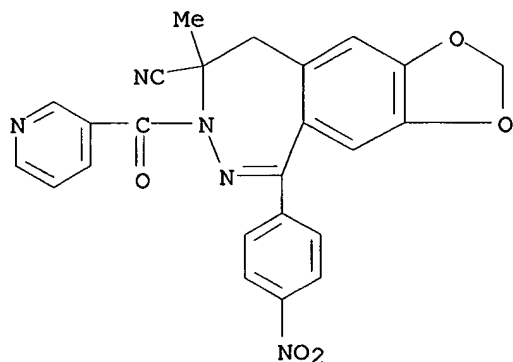


RN 220725-74-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxobutyl)- (9CI) (CA INDEX
 NAME)

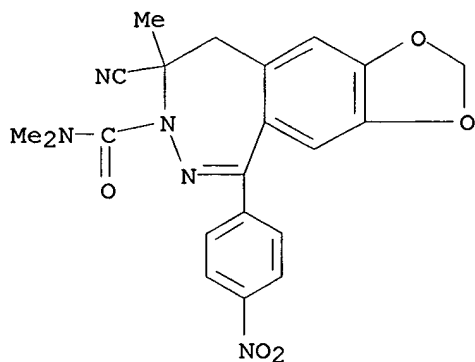


RN 220725-75-7 CAPLUS

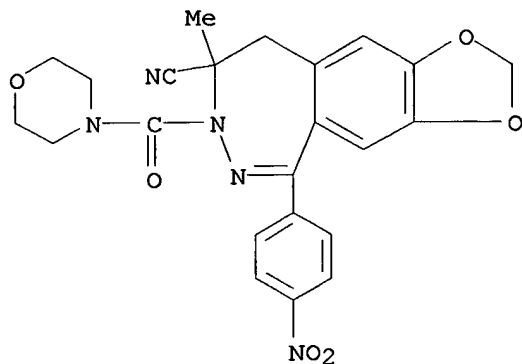
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(3-pyridinylcarbonyl)- (9CI)
(CA INDEX NAME)



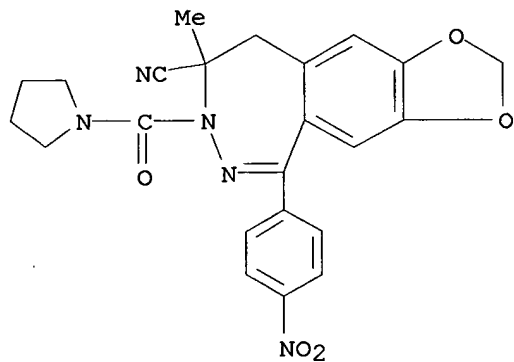
RN 220725-76-8 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8-cyano-8,9-dihydro-N,N,8-trimethyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



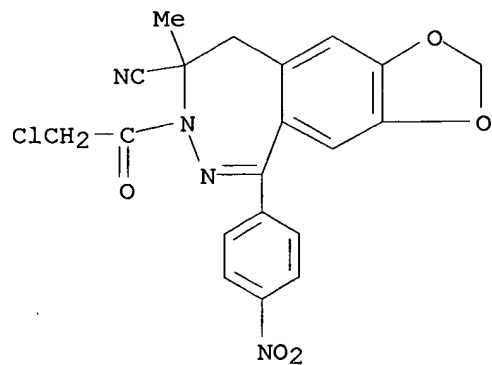
RN 220725-77-9 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
8,9-dihydro-8-methyl-7-(4-morpholinylcarbonyl)-5-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 220725-78-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-pyrrolidinylcarbonyl)- (9CI)
 (CA INDEX NAME)

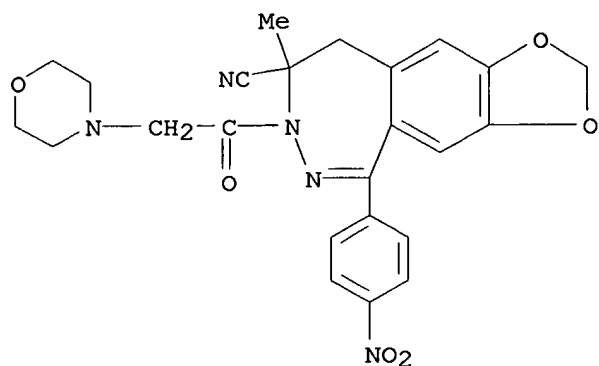


RN 220725-79-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 7-(chloroacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX
 NAME)

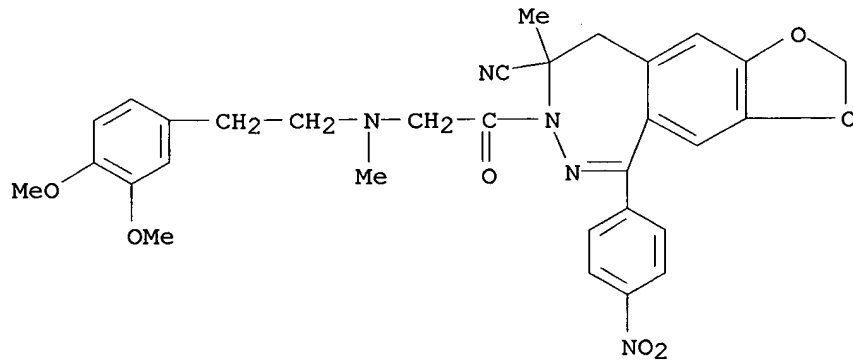


RN 220725-80-4 CAPLUS

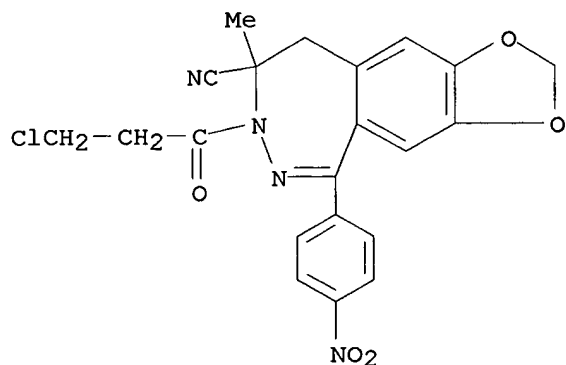
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
8,9-dihydro-8-methyl-7-(4-morpholinylacetyl)-5-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



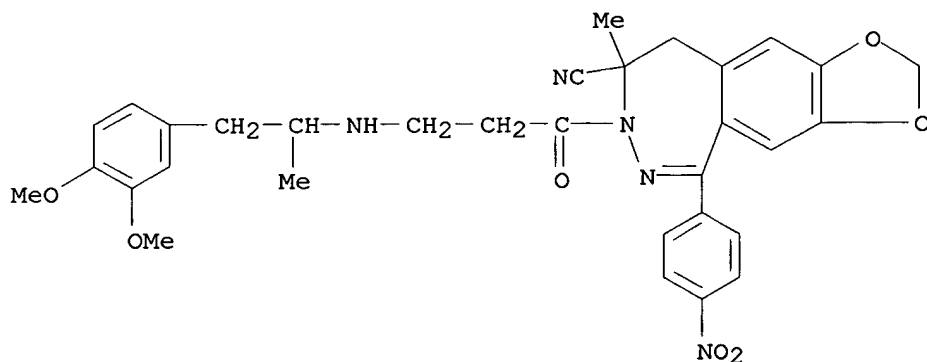
RN 220725-81-5 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
7-[[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]acetyl]-8,9-dihydro-8-methyl-
5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



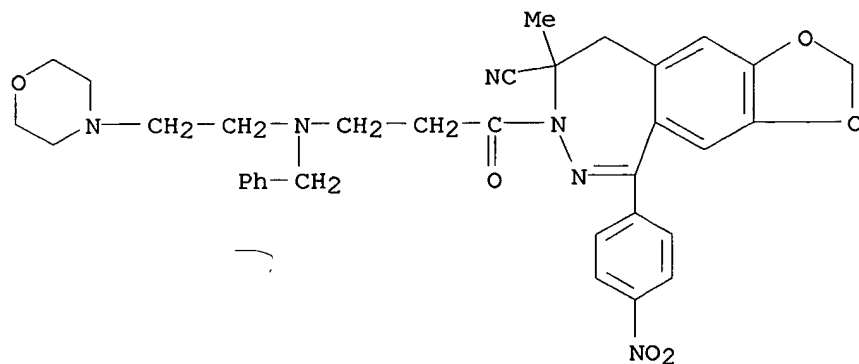
RN 220725-82-6 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
7-(3-chloro-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



RN 220725-84-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 7-[3-[[2-(3,4-dimethoxyphenyl)-1-methylethyl]amino]-1-oxopropyl]-8,9-
 dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



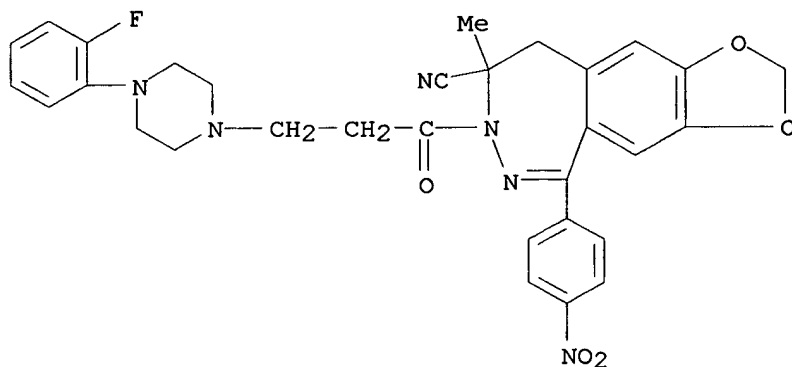
RN 220725-85-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 8,9-dihydro-8-methyl-7-[3-[[2-(4-morpholinyl)ethyl](phenylmethyl)amino]-1-
 oxopropyl]-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220725-86-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

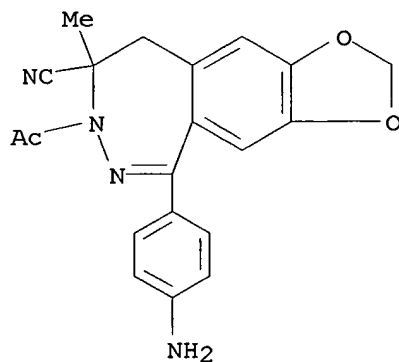
7-[3-[4-(2-fluorophenyl)-1-piperazinyl]-1-oxopropyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220725-87-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

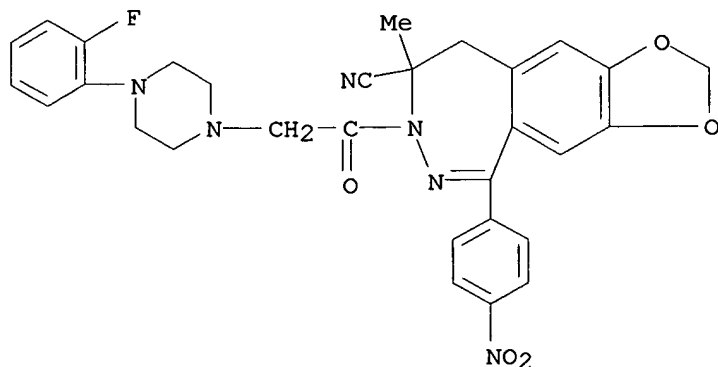
7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 220726-06-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

7-[[4-(2-fluorophenyl)-1-piperazinyl]acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

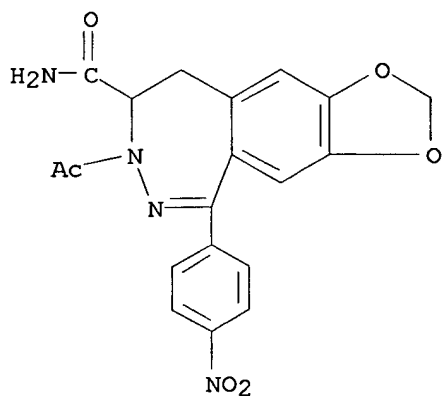


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 220725-32-6P 220725-34-8P 220725-46-2P
 220725-47-3P 220725-48-4P 220725-49-5P
 220725-50-8P 220725-51-9P 220725-58-6P
 220725-60-0P 220725-69-9P 220725-72-4P
 220725-83-7P 220725-88-2P 220725-89-3P
 220725-90-6P 220725-91-7P 220725-92-8P
 220725-93-9P 220725-94-0P 220725-95-1P
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 220725-99-5P 220726-00-1P 220726-01-2P
 220726-02-3P 220726-03-4P 220726-04-5P
 220726-05-6P 220726-07-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of dioxolobenzodiazepines as AMPA/kainate receptor inhibitors)

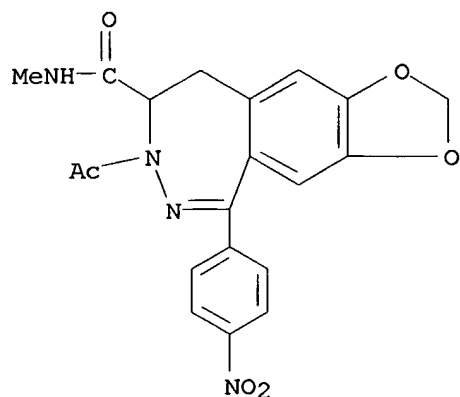
RN 220725-22-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 7-acetyl-8,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

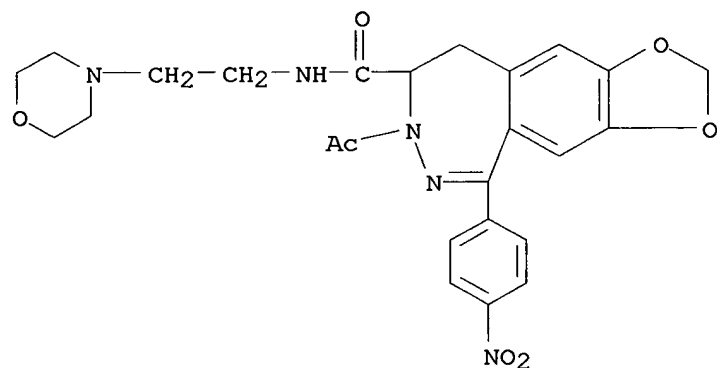


RN 220725-23-5 CAPLUS

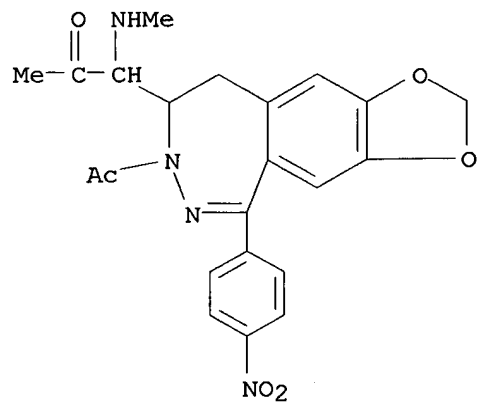
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 7-acetyl-8,9-dihydro-N-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



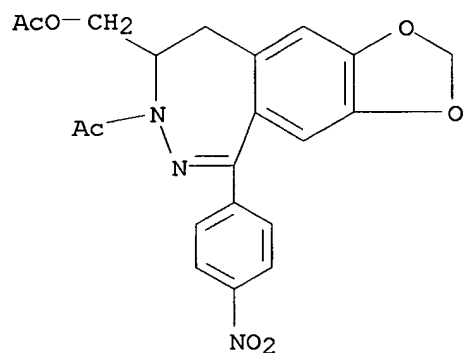
RN 220725-24-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 7-acetyl-8,9-dihydro-N-[2-(4-morpholinyl)ethyl]-5-(4-nitrophenyl)- (9CI)
 (CA INDEX NAME)



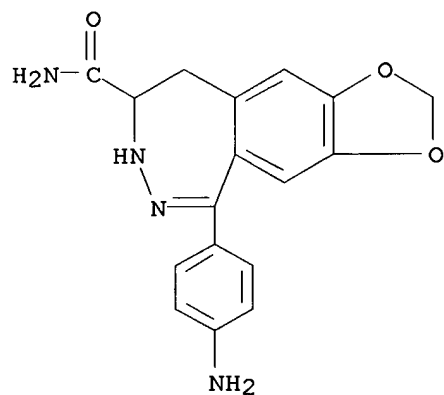
RN 220725-32-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-methanamine,
 .alpha.,7-diacetyl-8,9-dihydro-N-methyl-5-(4-nitrophenyl)- (9CI) (CA
 INDEX NAME)



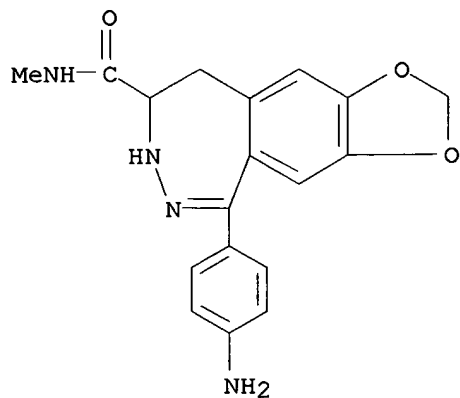
RN 220725-34-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-methanol,
 7-acetyl-8,9-dihydro-
 5-(4-nitrophenyl)-, acetate (ester) (9CI) (CA INDEX NAME)



RN 220725-46-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro- (9CI) (CA INDEX NAME)



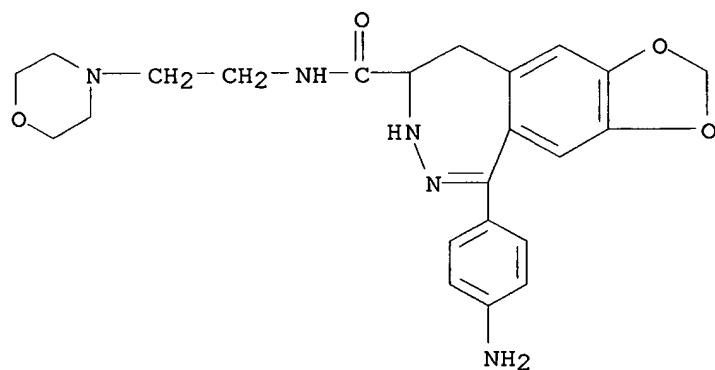
RN 220725-47-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N-methyl- (9CI) (CA INDEX NAME)



RN 220725-48-4 CAPLUS

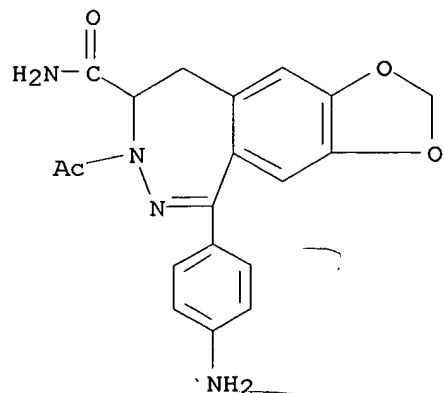
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA

INDEX
NAME)

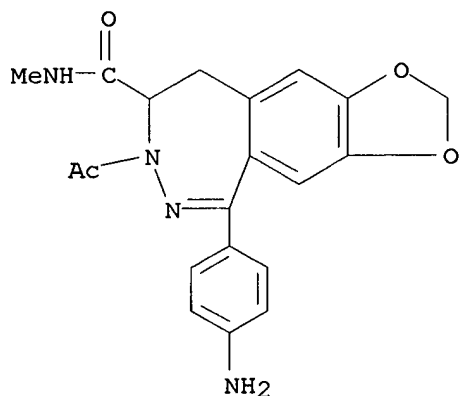


RN 220725-49-5 CAPLUS

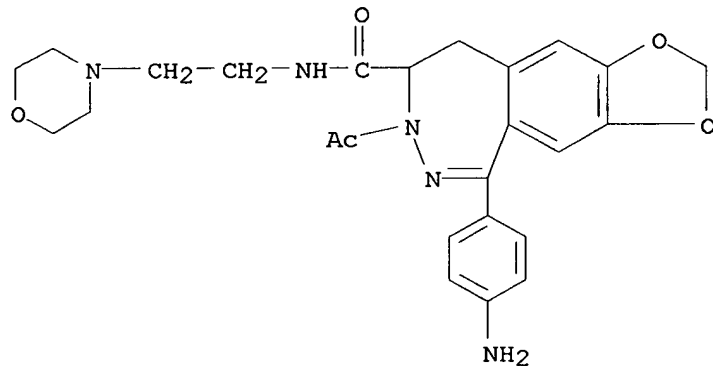
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
7-acetyl-5-(4-aminophenyl)-8,9-dihydro- (9CI) (CA INDEX NAME)



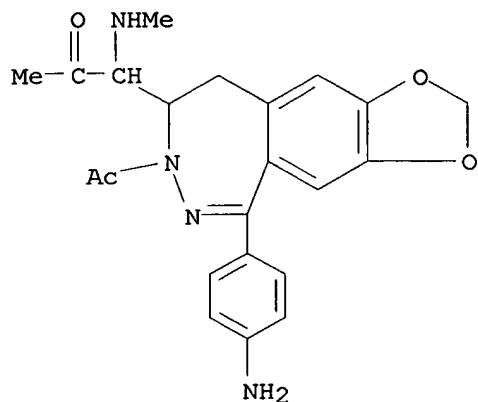
RN 220725-50-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-N-methyl- (9CI) (CA INDEX NAME)



RN 220725-51-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-N-[2-(4-morpholinyl)ethyl]- (9CI)
 (CA INDEX NAME)

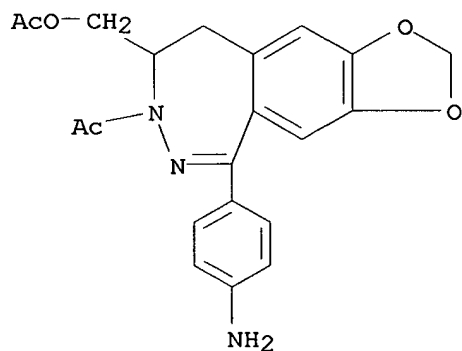


RN 220725-58-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-methanamine,
 .alpha.,7-diacetyl-5-(4-aminophenyl)-8,9-dihydro-N-methyl- (9CI) (CA
 INDEX NAME)



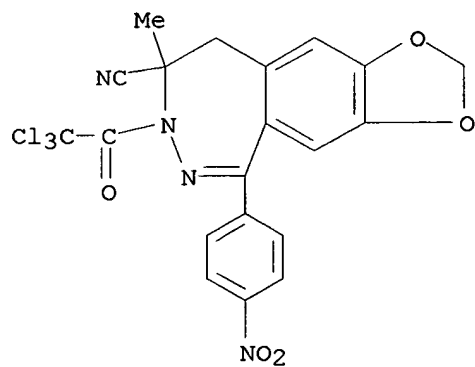
RN 220725-60-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-methanol, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-, acetate (ester) (9CI) (CA INDEX NAME)



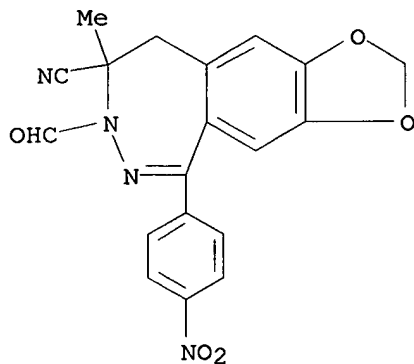
RN 220725-69-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trichloroacetyl)- (9CI) (CA INDEX NAME)

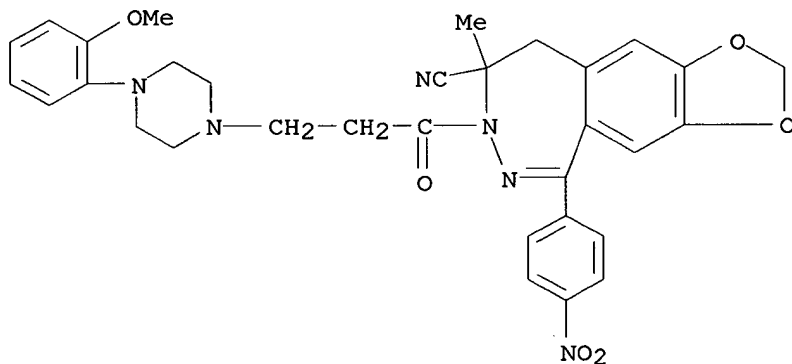


RN 220725-72-4 CAPLUS

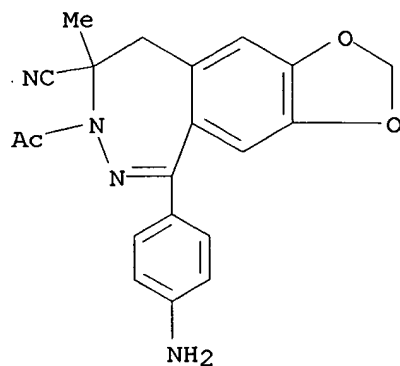
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
7-formyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 220725-83-7 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
8,9-dihydro-7-[3-[4-(2-methoxyphenyl)-1-piperazinyl]-1-oxopropyl]-8-methyl-
5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

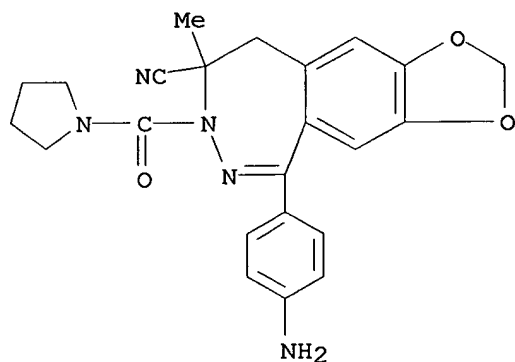


RN 220725-88-2 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, monohydrochloride (9CI)
(CA INDEX NAME)

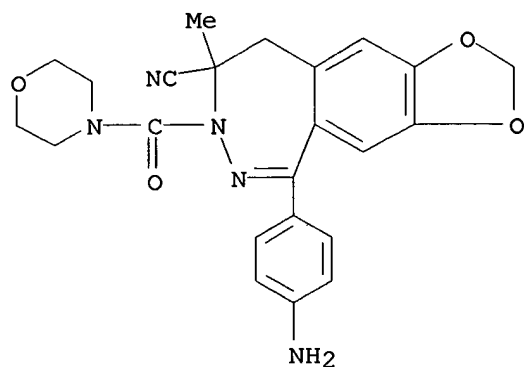


● HCl

RN 220725-89-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(1-pyrrolidinylcarbonyl)- (9CI)
 (CA INDEX NAME)



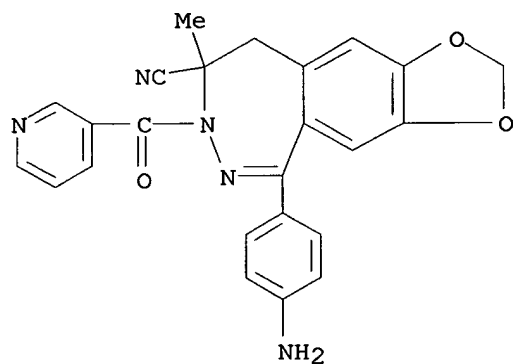
RN 220725-90-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(4-morpholinylcarbonyl)- (9CI)
 (CA INDEX NAME)



RN 220725-91-7 CAPLUS

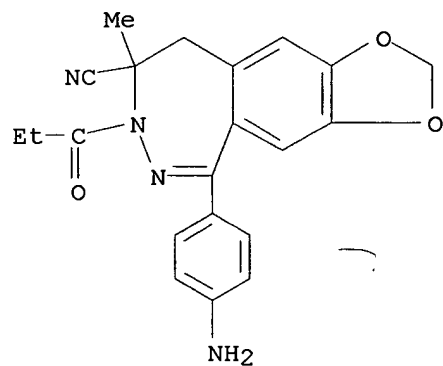
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(3-pyridinylcarbonyl)- (9CI)

(CA
INDEX NAME)

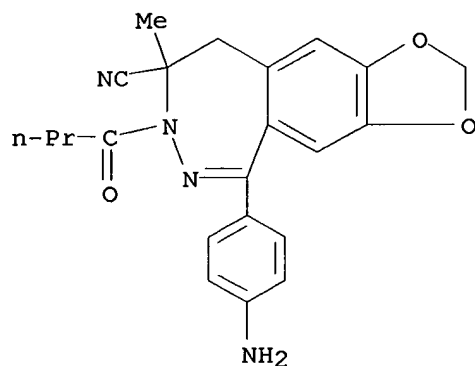


RN 220725-92-8 CAPLUS

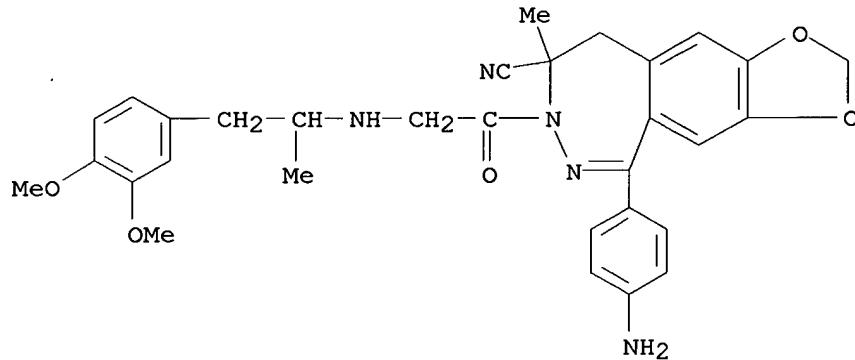
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(1-oxopropyl)- (9CI) (CA INDEX
NAME)



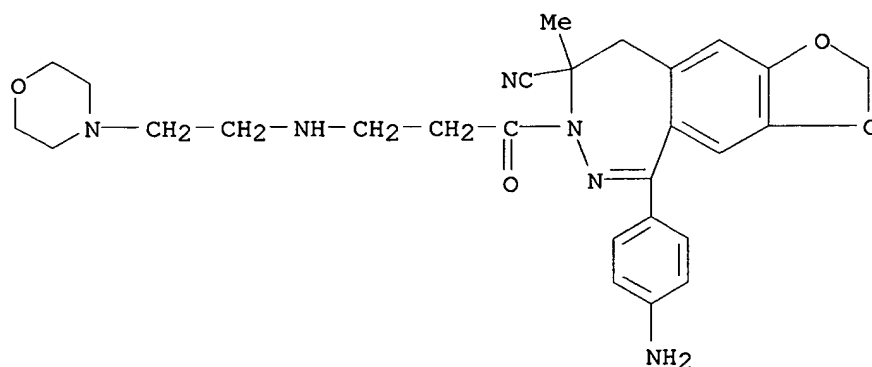
RN 220725-93-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(1-oxobutyl)- (9CI) (CA INDEX
 NAME)



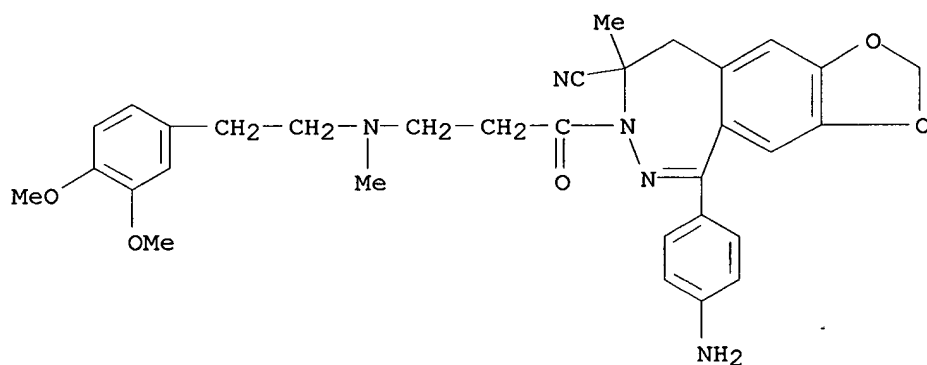
RN 220725-94-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-7-[[[2-(3,4-dimethoxyphenyl)-1-methylethyl]amino]acetyl]-
 8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



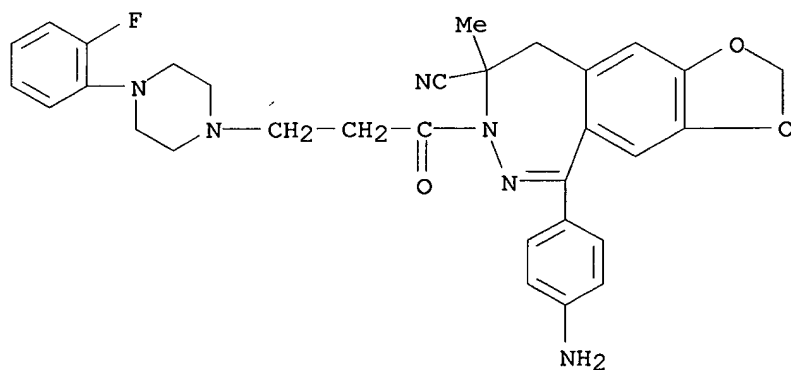
RN 220725-95-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-[3-[[2-(4-
 morpholinyl)ethyl]amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)



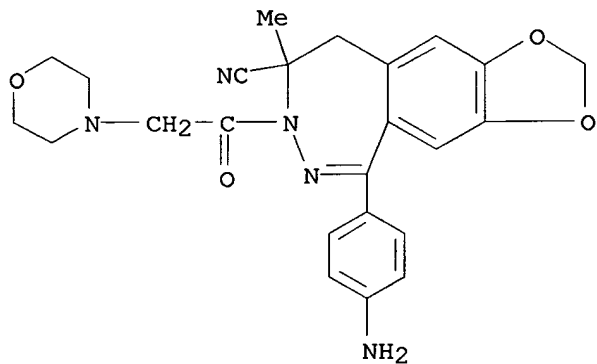
RN 220725-96-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-7-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]-1-oxopropyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



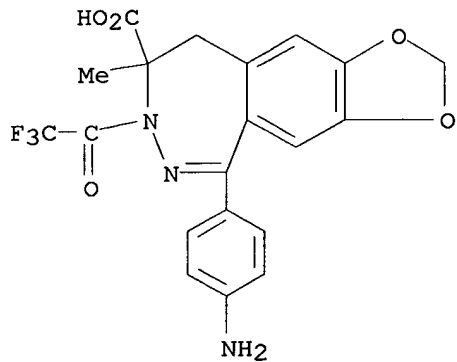
RN 220725-97-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-7-[3-[4-(2-fluorophenyl)-1-piperazinyl]-1-oxopropyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



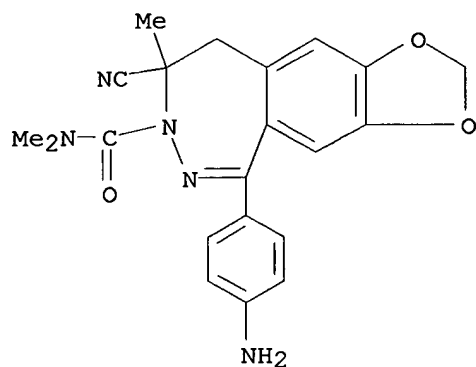
RN 220725-98-4 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(4-morpholinylacetyl)- (9CI)
(CA INDEX NAME)



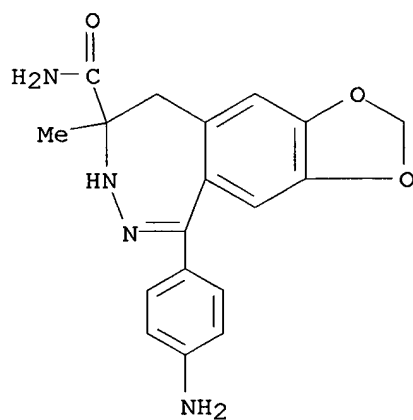
RN 220725-99-5 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxylic acid,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(trifluoroacetyl)- (9CI) (CA
INDEX NAME)



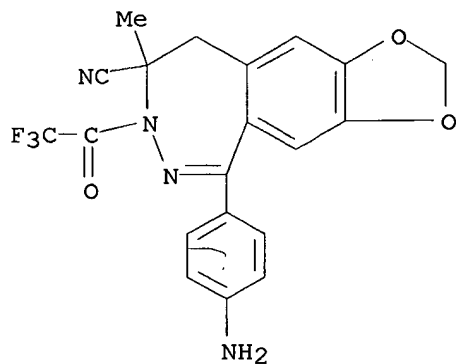
RN 220726-00-1 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8-cyano-8,9-dihydro-N,N,8-trimethyl- (9CI) (CA INDEX
NAME)



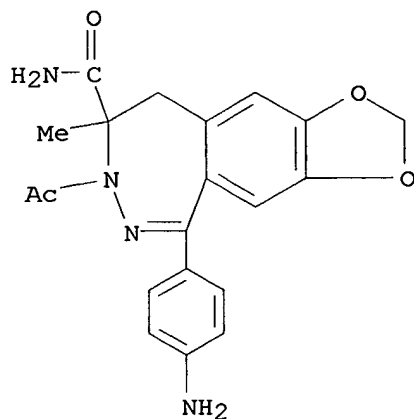
RN 220726-01-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



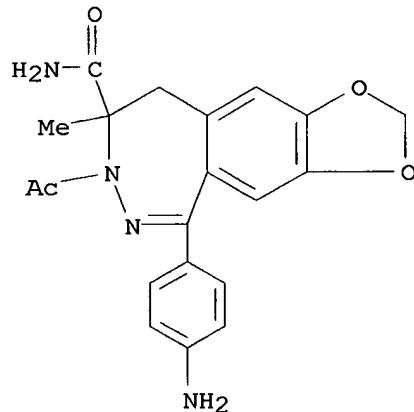
RN 220726-02-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(trifluoroacetyl)- (9CI) (CA
 INDEX NAME)



RN 220726-03-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

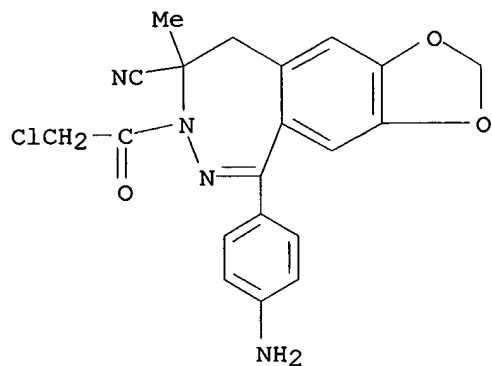


RN 220726-04-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxamide,
 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, monohydrochloride (9CI)
 (CA INDEX NAME)



⊕ HCl

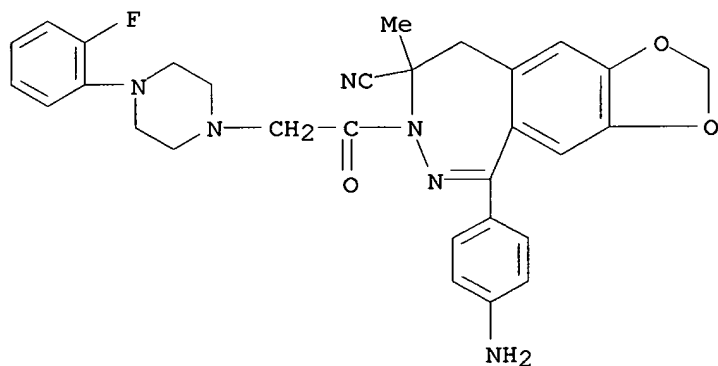
RN 220726-05-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,
 5-(4-aminophenyl)-7-(chloroacetyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 220726-07-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carbonitrile,

5-(4-aminophenyl)-7-[[4-(2-fluorophenyl)-1-piperazinyl]acetyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RE.CNT 11

RE

- (1) Anderson; J Am Chem Soc 1995, V117, P12358 CAPLUS
 - (2) Biogal Gyogyszergyar; DE 3727226 A 1988 CAPLUS
 - (3) Egyt Gyogyszervegyeszeti Gyar; GB 2162184 A 1986 CAPLUS
 - (4) Egyt Gyogyszervegyeszeti Gyar; FR 2566774 A 1986 CAPLUS
 - (5) Gyogyszerkutato Intezet; EP 0492485 A 1992 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 29 962
 DP3 ANSWER 13 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1999:79794 CAPLUS

DN 130:227825

TI Capillary electrochromatography as an alternative separation technique to high-performance liquid chromatography and capillary zone electrophoresis for the determination of drug related impurities in Lilly compound LY300164

AU Reilly, John; Saeed, Mansoor

CS Lilly Research Centre, Eli Lilly and Company, Surrey, GU20 6PH, UK

SO J. Chromatogr., A (1998), 829(1 + 2), 175-186

CODEN: JCRAEY; ISSN: 0021-9673

PB Elsevier Science B.V.

DT Journal

LA English

AB Capillary electrochromog. (CEC) has been used to sep. pharmaceuticals from

their related impurities; however, this has not been fully explored to date within the pharmaceutical industry. Generally capillary electrophoresis is used in either free-flow mode or in combination with micellar electrokinetic mode to complement the results obtained from the traditional method of HPLC. This paper explores the various sepn. modes now at hand in pharmaceutical labs. using a developmental Lilly compd. LY300164 and its process impurities. Possible benefits and concerns for each of the sepn. modes are discussed by using the same sample and impurities to generate the results. Regulatory bodies prefer that purity assays for pharmaceuticals be complemented with another technique. This is to guarantee that no other hypothetical impurities which could potentially be present are seen in another technique. Traditionally,

HPLC

has been complemented with the use of TLC. This paper suggests that CEC can be used as a alternative purity assay for pharmaceuticals.

IT 161832-65-1, LY300164

RL: ANT (Analyte); ANST (Analytical study)

(capillary electrochromatog. as alternative sepn. technique to HPLC

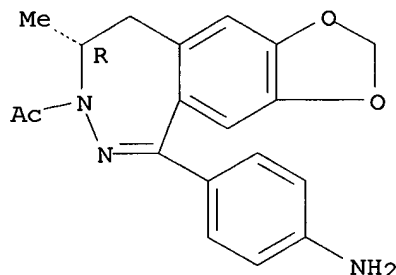
and

electrophoresis for detn. of drug-related impurities)

RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 161832-64-0

RL: ANT (Analyte); FMU (Formation, unclassified); ANST (Analytical study);

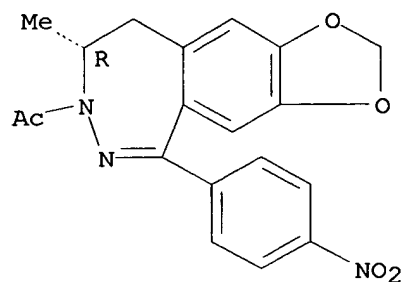
FORM (Formation, nonpreparative)

(capillary electrochromatog. as alternative sepn. technique to HPLC

and

electrophoresis for detn. of drug-related impurities)
RN 161832-64-0 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

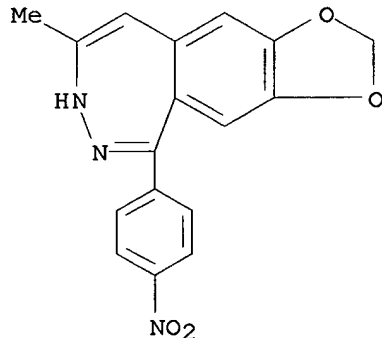


RE.CNT 35

RE

- (2) Altria, K; J Chromatogr 1991, V538, P506 CAPLUS
 - (3) Altria, K; J Chromatogr 1993, V634, P323 CAPLUS
 - (4) Altria, K; J Chromatogr A 1993, V652, P459 CAPLUS
 - (5) Altria, K; J Chromatogr A 1996, V735, P43 CAPLUS
 - (12) Dittmann, M; LC GC Int 1995, V13, P800 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LE3~~ ANSWER 14 OF 62 CAPLUS COPYRIGHT 2001 ACS
~~AN~~ 1999:15512 CAPLUS
DN 130:153298
TI Reduction of nitro compounds during atmospheric pressure chemical ionization
AU Karancsi, Tamas; Slegel, Peter
CS EGIS Pharmaceuticals Ltd., Kereszturi, 30-38, Hung.
SO Adv. Mass Spectrom. (1998), 14, D033410/1-D033410/10
CODEN: AMSPA; ISSN: 0568-000X
PB Elsevier Science B.V.
DT Journal; (computer optical disk)
LA English
AB Conference proceedings. APCI-generated ghost amine ions [M+H-30] derived from the starting nitro compds. can be eliminated by changing solvent (from the commonly used MeCN:water:formic acid 1:1:0.002 to dry solvents such as CH₂Cl₂). Protonation of the nitro group played a major role in the redn. process. Nitro compds. that contained basic amine groups were reduced to only a minor extent in MeCN:water:formic acid 1:1:0.002.
IT **220315-83-3**
RL: ANT (Analyte); PRP (Properties); RCT (Reactant); ANST (Analytical study)
(redn. of nitro compds. during atm. pressure chem. ionization and its prevention by use of dry solvents and basic substituents)
RN 220315-83-3 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8-methyl-5-(4-nitrophenyl)-(9CI) (CA INDEX NAME)

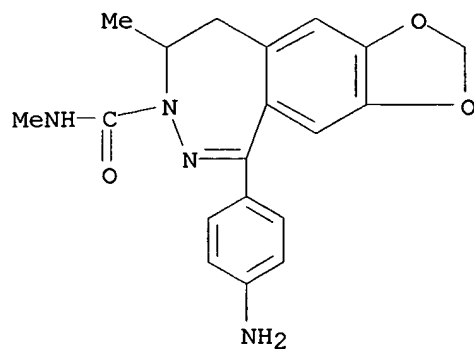


RE.CNT 3

RE

- (1) Brophy, J; OMS 1979, V14, P201 CAPLUS
- (2) Harrison, A; OMS 1980, V15, P284 CAPLUS
- (3) Yinon, J; OMS 1981, V16, P264 CAPLUS

~~123~~ ANSWER 15 OF 62 CAPLUS COPYRIGHT 2001 ACS
AN 1998:779045 CAPLUS
DN 130:90453
TI Actions of kainate and AMPA selective glutamate receptor ligands on
nociceptive processing in the spinal cord
AU Procter, Mark J.; Houghton, Andrea K.; Faber, E. S. Louise; Chizh, Boris
A.; Ornstein, Paul L.; Lodge, David; Headley, P. Max
CS Department of Physiology, School of Medical Sciences, University of
Bristol, University Walk, Bristol, BS8 1TD, UK
SO Neuropharmacology (1998), 37(10-11), 1287-1297
CODEN: NEPHBW; ISSN: 0028-3908 Oct. 1
PB Elsevier Science Ltd.
DT Journal
LA English
AB Kainate receptors expressing the GluR5 subunit of glutamate receptor are
present at high levels on small diam. primary afferent neurons that are
considered to mediate nociceptive inputs. This suggests that GluR5
selective ligands could be novel analgesic agents. The role of kainate
receptors on C fiber primary afferents has therefore been probed using
three compds. that are selective for homomeric GluR5 receptors. The
agonist, ATPA, and the antagonists, LY 294486 and LY 382884, have been
tested in four models of nociception: responses evoked by noxious
stimulation of the periphery have been recorded electrophysiol. (1) from
hemisected spinal cords from neonatal rats in vitro, (2) from single
motor units in adult rats in vivo, (3) from dorsal horn neurons in adult rats
in vivo, and (4) in hotplate tests with conscious mice. In some protocols
comparisons were made with the AMPA selective antagonist GYKI 53655. The
agonist ATPA reduced nociceptive reflexes in vitro, but failed to have
effects in vivo. In all tests, the GluR5 antagonists reduced nociceptive
responses but only at doses that also affected responses to exogenous
AMPA. The AMPA antagonist reduced nociceptive responses at doses causing
relatively greater redns. of responses to exogenous AMPA. The results
indicate that GluR5 selective ligands do reduce spinal nociceptive
responses, but they are not strongly analgesic under these conditions of
acute nociception.
IT 143692-48-2, GYKI 53655
RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(kainate and AMPA selective glutamate receptor ligands action on
nociceptive processing in spinal cord of rats and mice)
RN 143692-48-2 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA
INDEX NAME)



● HCl

RE.CNT 31

RE

- (1) Agrawal, S; Br J Pharmacol 1986, V87, P345 CAPLUS
 - (2) Ault, B; J Pharmacol Exp Ther 1993, V265, P927 CAPLUS
 - (3) Bettler, B; Neuropharmacology 1995, V34, P123 CAPLUS
 - (4) Bleakman, D; Neuropharmacology 1996, V35, P1689 CAPLUS
 - (5) Budai, D; NeuroReport 1994, V5, P881 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~128~~ ANSWER 16 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~129~~ 1998:779039 CAPLUS

DN 130:137331

TI Pharmacological differentiation of kainate receptors on neonatal rat spinal motoneurons and dorsal roots

AU Thomas, Nicola K.; Hawkins, Lynda M.; Miller, Jacqueline C.; Troop, Helen M.; Roberts, Peter J.; Jane, David E.

CS Department of Pharmacology, School of Medical Sciences, University of Bristol, Bristol, BS8 1TD, UK

SO Neuropharmacology (1998), 37(10-11), 1223-1237

CODEN: NEPHBW; ISSN: 0028-3908 Oct. 1

PB Elsevier Science Ltd.

DT Journal

LA English

AB The objectives of this study, conducted on neonatal rat spinal cord and dorsal roots in vitro, were to characterize the actions of a range of willardiine analogs on GluR5-contg. kainate receptors present in dorsal roots, to det. whether GluR5-contg. receptors are also present on motoneurons, and to differentiate responses mediated by kainate receptors from those mediated by AMPA receptors on motoneurons.

(S)-5-Trifluoromethyl-willardiine, (S)-5-iodowillardiine, (S)-5-iodo-6-azawillardiine and ATPA were found to be potent agonists of kainate receptors on dorsal roots (EC50 values 0.108 \pm 0.002, 0.127 \pm 0.010, 0.685 \pm 0.141 and 1.3 \pm 0.3 μ M, resp.) being more potent but of lower efficacy than kainate (EC50 value 14.8 \pm 1.8 μ M). (S)-5-Iodo-6-azawillardiine blocked kainate-induced depolarizations of the dorsal root, probably via its desensitizing action.

Kainate-induced responses of dorsal roots were weakly antagonized by (RS)-3,5-dicarboxyphenylglycine (DCPG) (apparent KD 1.5 \pm 0.4 mM). Kainate receptors contg. GluR5 subunits do not appear to be present on motoneurons since (RS)-3,5-DCPG (1 mM) potentiated rather than

antagonized

kainate-induced depolarizations of motoneurons. Although (S)-5-iodowillardiine (a potent and selective agonist at GluR5-contg. kainate receptors) depolarized motoneurons (EC50 value 5.8 \pm 0.6 μ M), such depolarizations were antagonized by both (RS)-3,4- and (RS)-3,5-DCPG, which are selective AMPA receptor antagonists at motoneurons, showing a KD value of 73 μ M (Schild slope, 0.96 \pm 0.09)

and an apparent KD value of 123 \pm 38 μ M, resp. This accords with the previously reported activity of willardiine analogs at AMPA receptors.

Since neither (RS)-3,4- nor (RS)-3,5-DCPG antagonized kainate-induced motoneuronal depolarizations but cyclothiazide enhanced and GYKI53655 blocked these responses it is possible that a component of the kainate response may be mediated by a population of DCPG-insensitive AMPA receptors on motoneurons. However, it is also possible that a population of kainate receptors other than those contg. GluR5 subunits, are responsible for these effects. The new compds. introduced in this study are likely to be useful tools for studying the physiol. role of kainate receptors in CNS function.

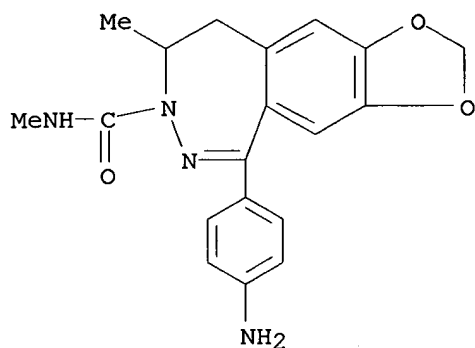
IT 143692-48-2, GYKI53655

RL: BAC (Biological activity or effector, except adverse); BUU (Biological

use, unclassified); BIOL (Biological study); USES (Uses)

(pharmacol. differentiation of kainate and AMPA receptors on neonatal rat spinal motoneurons and dorsal roots and willardiine analogs as tools for studying kainate receptors)

RN 143692-48-2 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA
INDEX NAME)



● HCl

RE.CNT 29

RE

- (1) Agrawal, S; British Journal of Pharmacology 1986, V87, P345 CAPLUS
 - (2) Bettler, B; Neuron 1990, V5, P583 CAPLUS
 - (3) Bettler, B; Neuropharmacology 1995, V34, P123 CAPLUS
 - (4) Bigge, C; Current Pharmaceutical Design 1996, V2, P397 CAPLUS
 - (6) Bleakman, D; Molecular Pharmacology 1996, V49, P581 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~133~~ ANSWER 17 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 1998:660903 CAPLUS

DN 130:90330

TI LY 300164, a novel antagonist of AMPA/kainate receptors, potentiates the anticonvulsive activity of antiepileptic drugs

AU Czuczwar, Stanislaw J.; Swiader, Mariusz; Kuzniar, Hubert; Gasior, Maciej;

Kleinrok, Zdzislaw

CS Department of Pharmacology and Toxicology, Medical University School, Lublin, 20-090, Pol.

SO Eur. J. Pharmacol. (1998), 359(2/3), 103-109

CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier Science B.V.

DT Journal

LA English

AB LY 300164 [7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo(4,5H)-2,3-benzodiazepine], administered i.p. up to 2 mg/kg, did not influence the threshold for electroconvulsions. In doses of 2.5-4 mg/kg, LY 300164 significantly raised the threshold. In subprotective doses against electroconvulsions, this excitatory amino acid receptor antagonist enhanced the protective activity of i.p. given valproate, carbamazepine and diphenylhydantoin against maximal electroshock-induced convulsions in mice. The anticonvulsive action of phenobarbital was potentiated by LY 300164 only at 2 mg/kg. The non-NMDA antagonist did

not

affect the plasma levels of the antiepileptic drugs, so a pharmacokinetic interaction is not probable. Combined treatment with LY 300164 (2 mg/kg) and the antiepileptics studied (providing 50% protection against maximal electroshock) did not impair the motor performance of mice, evaluated in the chimney test. Valproate, at its ED50 of 280 mg/kg against maximal electroshock, produced motor impairment. As shown in the passive avoidance task, combination of LY 300164 (2 mg/kg) with valproate or diphenylhydantoin resulted in impairment of long-term memory. Alone

among

the antiepileptics, valproate (280 mg/kg) and phenobarbital (28.5 mg/kg) disturbed long-term memory. The results suggest that blockade of glutamate-mediated events via non-NMDA receptors leads to enhancement of the anticonvulsive activity of conventional antiepileptics. Some combinations of LY 300164 with antiepileptic drugs were superior to these antiepileptics alone in terms of their lack of adverse effects.

IT 161832-65-1, LY 300164

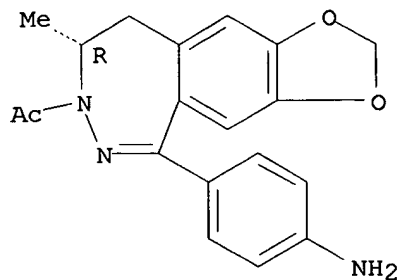
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(LY 300164, a novel antagonist of AMPA/kainate receptors, potentiates the anticonvulsive activity of antiepileptic drugs)

RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 37

RE

- (2) Borowicz, K; Epilepsia 1996, V37, P618 CAPLUS
- (3) Borowicz, K; Eur J Pharmacol 1995, V281, P319 CAPLUS
- (5) Croucher, M; Science 1982, V216, P899 CAPLUS
- (6) Czechowska, G; Eur J Pharmacol 1993, V232, P59 CAPLUS
- (7) Czuczwar, S; Eur J Pharmacol 1982, V83, P335 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 2962 for ~~123~~ ANSWER 18 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 1998:490526 CAPLUS

~~DN~~ 129:131257

~~TI~~ Treatment of neurotoxicity in Alzheimer's disease by .beta.-amyloid peptides

~~IN~~ Ingram, Vernon M.; Blanchard, Barbara J.

~~PA~~ Massachusetts Institute of Technology, USA

~~SO~~ PCT Int. Appl., 69 pp.

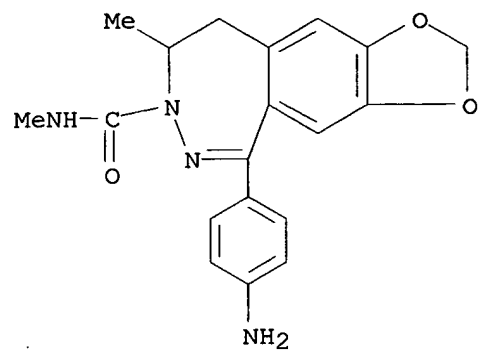
CODEN: PIXXD2

~~DT~~ Patent

~~LA~~ English

~~FAN~~.CNT 2

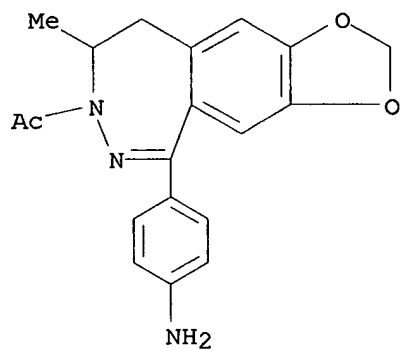
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9830229	A1	19980716	WO 1998-US653	19980109
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE	EP 1015013	A1	20000705	EP 1998-902522	19980109
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1997-35847	P	19970110		
	US 1997-960188	A	19971029		
	WO 1998-US653	W	19980109		
AB	The invention involves identification of a mechanism of .beta.-amyloid peptide cytotoxicity, which enables treatment of conditions caused by .beta.-amyloid peptide aggregates by administration of compds. which antagonize the mechanism of cytotoxicity. The invention includes the identification and isolation of compds. which can antagonize the aggregation of .beta.-amyloid peptides and the neurotoxic effects of such aggregates. The compds. include isolated peptides which were selected				
for	their ability to form a complex with a .beta.-amyloid peptide, or are derived from peptides so selected. Methods for treating conditions resulting from neurotoxic .beta.-amyloid peptide aggregates and pharmaceutical preps. are provided. Also provided are methods for selecting addnl. compds. which can antagonize the aggregation of .beta.-amyloid peptides and the neurotoxic effects of such aggregates.				
IT	143692-48-2 , GYKI 53655				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(treatment of neurotoxicity in Alzheimer's disease by .beta.-amyloid peptides)				
RN	143692-48-2 CAPLUS				
CN	7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)				



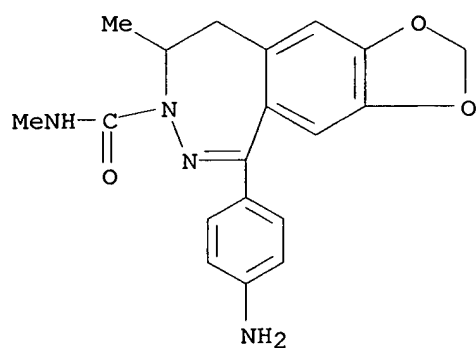
● HCl

see 29 of 62

LX ANSWER 19 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1998:450597 CAPLUS
 DN 129:184532
 TI AMPA receptor mediated excitotoxicity in neocortical neurons is developmentally regulated and dependent upon receptor desensitization
 AU Jensen, J. B.; Schousboe, A.; Pickering, D. S.
 CS PharmaBiotec Research Center, Department of Pharmacology, The Royal Danish School of Pharmacy, Copenhagen, DK-2100, Den.
 SO Neurochem. Int. (1998), 32(5-6), 505-513
 CODEN: NEUIDS; ISSN: 0197-0186
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB AMPA (.alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid) excitotoxicity was examd. in cultured neocortical neurons using the redn. of 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) to measure cell viability. Neurons were exposed to AMPA at different culture periods during development of the neurons. In order to describe the pharmacol. of AMPA-mediated toxicity, several glutamate receptor antagonists were used: MK-801, NS 394, NBQX, GYKI 52466, GYKI 53405 and GYKI 53655. Increased excitotoxicity was obsd. when cortical neurons cultured for 5, 8 and 12 days in vitro (DIV) were exposed to a high concn. of AMPA (500 .mu.M) for 6 h. However, only at DIV 12 was part of the toxicity mediated directly through AMPA receptors since 10 .mu.M MK-801 blocked all AMPA toxicity at DIV 5 and 8, but only some of the AMPA response at DIV 12. This indicated that NMDA receptors were being activated, causing some of the obsd. toxicity. The high dose of AMPA was not sufficient to damage all neurons since 59% remained viable after exposure to AMPA even for neurons that were cultured for 12 DIV. Since it is known that both glutamate and AMPA activate AMPA receptors with a fast and rapidly desensitizing response, this could explain the relatively low toxicity produced by 500 .mu.M AMPA. This was investigated by blocking AMPA receptor desensitization with cyclothiazide. Using a lower concn. (25 .mu.M) of AMPA, addn. of 50 .mu.M cyclothiazide increased the AMPA induced excitotoxicity in cultured cortical neurons at all DIV except for DIV 2. This combination of AMPA + cyclothiazide yielded 77% cell death for DIV 12 cultures. In contrast to the results obsd. with 500 .mu.M AMPA, the neurotoxicity mediated directly by AMPA receptors when desensitization was blocked was seen as early as 5 DIV since 10 .mu.M MK-801 did not completely block the response whereas 10 .mu.M NBQX did. The 2,3-benzodiazepine GYKI compds., which have been reported to be selective non-competitive AMPA receptor antagonists, were here obsd. to block the AMPA toxicity with the following rank order: GYKI 53655 > GYKI 52466 .gtoreq. GYKI 53405, which is in agreement with their published potencies.
 IT 143691-37-6, GYKI 53405 143692-48-2, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (AMPA receptor mediated excitotoxicity in neocortical neurons is developmentally regulated and dependent upon receptor desensitization)
 RN 143691-37-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143692-48-2 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA
INDEX NAME)



● HCl

~~123~~ ANSWER 20 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 1998:429040 CAPLUS

~~DN~~ 129:109072

TI Synthesis of 7,8-(methylenedioxy)-1-phenyl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones as novel and potent noncompetitive AMPA receptor antagonists

AU Wang, Yan; Konkoy, Christopher S.; Ilyin, Victor I.; Vanover, Kimberly E.;

Carter, Richard B.; Weber, Eckard; Keana, John F. W.; Woodward, Richard M.; Cai, Sui Xiong

CS CoCensys Inc., Irvine, CA, 92618, USA

SO J. Med. Chem. (1998), 41(14), 2621-2625

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society *July 2*

DT Journal

LA English

AB A group of

7,8-(methylenedioxy)-1-phenyl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones was synthesized and assayed for antagonism of rat brain .alpha.-amino-3-hydroxy-5-methylisoxazole-4-propionic acid (AMPA) receptors expressed in Xenopus oocytes. The benzodiazepinones inhibited AMPA-activated membrane current responses in a manner consistent with noncompetitive, allosteric inhibition of the receptor-channel complex. The most potent compd. in the series was 1-(4-aminophenyl)-7,8-(methylenedioxy)-3,5-dihydro-4H-2,3-benzodiazepin-4-one (I), which had an IC50 of 2.7 .mu.M. For comparison, the ref. compd. GYKI 52466 had an

IC50 of 6.9 .mu.M. I also had potent anticonvulsant activity in a mouse max. electroshock-induced seizure (MES) assay: the ED50 was 2.8 mg/kg i.v., whereas the ED50 for GYKI 52466 was 4.6 mg/kg i.v. In contrast to a previous report, the 7,8-dimethoxy analog of I was a low-potency AMPA antagonist (IC50 >100 .mu.M) and weak anticonvulsant (ED50 >10 mg/kg i.v.). The benzodiazepinones described herein are potent noncompetitive AMPA receptor antagonists that could have therapeutic potential as anticonvulsants and neuroprotectants.

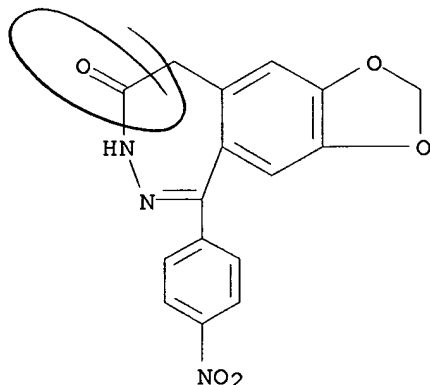
IT **194729-10-7P**

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);

SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis of 7,8-(methylenedioxy)-1-phenyl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones as AMPA receptor antagonists)

RN 194729-10-7 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



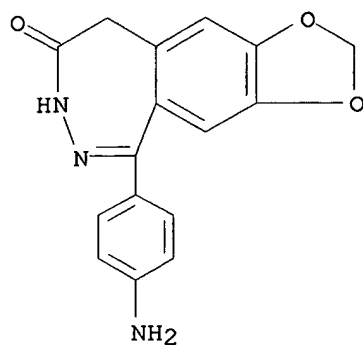
IT **197368-49-3P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

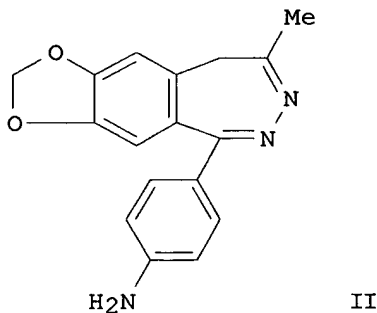
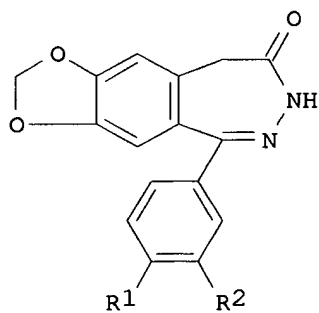
(synthesis of 7,8-(methylenedioxy)-1-phenyl-3,5-dihydro-4H-2,3-benzodiazepin-4-ones as AMPA receptor antagonists)

RN 197368-49-3 CAPLUS

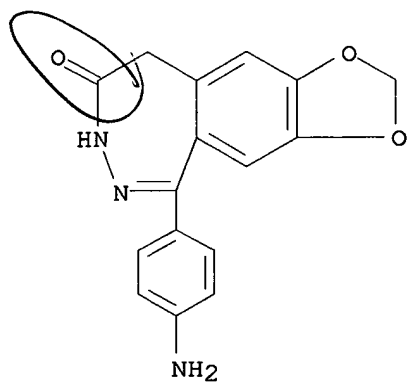
CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7,9-dihydro- (9CI) (CA INDEX NAME)



~~LE~~3 ANSWER 21 OF 62 CAPLUS COPYRIGHT 2001 ACS
~~AN~~ 1998:310438 CAPLUS
 DN 129:4631
 TI 7,8-Methylenedioxy-4H-2,3-benzodiazepin-4-ones as novel AMPA receptor antagonists
 AU De Sarro, Angela; De Sarro, Giovanbattista; Gitto, Rosaria; Grasso, Silvana; Micale, Nicola; Quartarone, Silvana; Zappala, Maria
 CS Istituto di Farmacologia, Facolta di Medicina, Universita di Messina, Messina, 98100, Italy
 SO Bioorg. Med. Chem. Lett. (1998), 8(8), 971-976
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 GI

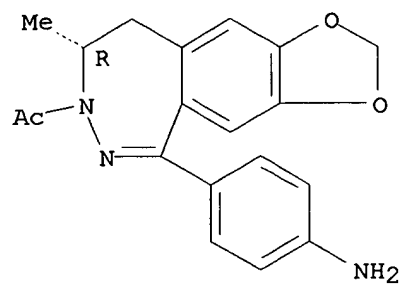


AB The synthesis and anticonvulsant activity of novel 7,8-methylenedioxy-4H-2,3-benzodiazepin-4-ones I (R1 = R2 = H; R1 = H, R2 = NH2; R1 = NH2, OH, MeO, R2 = H), structurally related to GYKI 52466 (II), a well known noncompetitive AMPA-receptor antagonist, are reported. The new compds. possess marked anticonvulsant properties and, in analogy to II, antagonize seizures induced by AMPA. In addn., when compared to the model compd. II, compds. I show a longer-lasting anticonvulsant activity and a lower toxicity.
 IT **197368-49-3P**
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn., anticonvulsant, and AMPA receptor antagonist activity of (methylenedioxy)benzodiazepinones)
 RN 197368-49-3 CAPLUS
 CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7,9-dihydro- (9CI) (CA INDEX NAME)



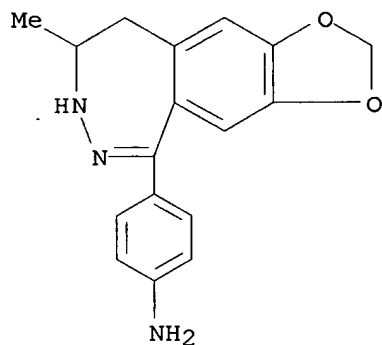
183 ANSWER 22 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1998:255167 CAPLUS
 DN 129:12636
 TI Effects of AMPA receptor antagonists on dopamine-mediated behaviors in mice
 AU Vanover, Kimberly E.
 CS Department of Pharmacology, CoCensys, Inc., Irvine, CA, 92618, USA
 SO Psychopharmacology (Berlin) (1998), 136(2), 123-131
 CODEN: PSCHDL; ISSN: 0033-3158
 PB Springer-Verlag
 DT Journal
 LA English
 AB Current data indicate that dopaminergic and glutamatergic neurotransmitter systems interact. The role of .alpha.-amino-3-hydroxy-5-methylisoxazole-4-propionic acid (AMPA) glutamate receptor subtypes in modulating dopamine neurotransmission, however, remains unclear. The noncompetitive AMPA antagonists, GYKI 52466 (5-40 mg/kg) and LY300164 (1-6 mg/kg), and the competitive AMPA antagonists, LY326325 (5-80 mg/kg) and NBQX (10-80 mg/kg), were compared to the dopamine antagonist, haloperidol (0.03-1.0 mg/kg), for their ability to inhibit dopamine-mediated behaviors after IP administration in mice. The behavioral paradigms included amphetamine-
 or
 dizocilpine-induced hyperactivity, amphetamine-induced stereotyped sniffing, and apomorphine-induced climbing and stereotyped sniffing. All four AMPA antagonists and haloperidol attenuated amphetamine- and dizocilpine-induced hyperactivity and decreased spontaneous locomotion. Haloperidol and GYKI 52466 were more potent against amphetamine than against dizocilpine. In contrast, LY326325 was more potent against dizocilpine than against amphetamine. The hyperactivity decreases by LY300164 and NBQX were most likely due to non-specific effects on motor behavior. The AMPA antagonists and haloperidol also attenuated amphetamine- induced stereotypy. Unlike haloperidol, however, GYKI 52466, LY300164, and NBQX failed to attenuate apomorphine-induced climbing and stereotyped sniffing. LY326325, attenuated apomorphine-induced stereotypy, but not climbing. These results indicate that AMPA receptor antagonists can attenuate the behavioral effects of drugs, such as amphetamine and dizocilpine, that increase dopamine neurotransmission. However, the behavioral effects of the direct dopamine agonist apomorphine are not consistently attenuated by AMPA antagonists. The competitive AMPA receptor antagonist LY326325 appears to have a profile distinct from both haloperidol and the other AMPA antagonists tested.
 IT **161832-65-1**, LY300164
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (AMPA receptor antagonists effects on dopamine-mediated behaviors in mice)
 RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



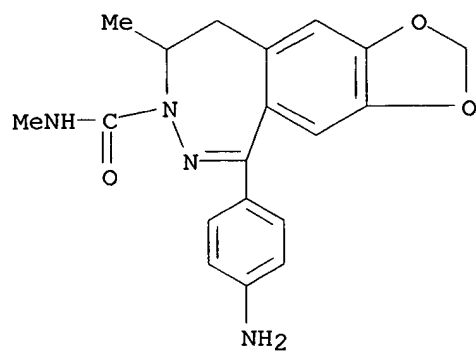
see 62962

~~128~~ ANSWER 23 OF 62 CAPLUS COPYRIGHT 2001 ACS
~~AN~~ 1998:61237 CAPLUS
 DN 128:172196
 TI Derivatization reactions for the spectrofluorimetric determination of 1-(amino-substituted-phenyl)-5H-2,3-benzodiazepines
 AU Kasa, Imre
 CS Department of Physical Chemistry, Technical University of Budapest, Budapest, H-1521, Hung.
 SO ACH - Models Chem. (1997), 134(2-3), 233-240
 CODEN: ACMCEI; ISSN: 1217-8969
 PB Akademiai Kiado
 DT Journal
 LA English
 AB Fluorescent derivatization reactions of five 1-(amino-substituted-phenyl)-5H-2,3-benzodiazepines were investigated. In the first ("A") reaction the 2,3-benzodiazepines can transform into 2-naphthol derivs. having intensive fluorescence in highly acidic medium by hydrolysis and subsequent aldol condensation in basic medium (with the exception of 1-(2-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine). In the second ("B") reaction the 2-naphthol derivs. are formed directly in dimethylsulfoxide (DMSO) soln. in the presence of alkali-hydroxide by heating. With the use of these reactions sensitive simple and rapid spectrofluorimetric anal. methods were developed for the quant. detn. of five 2,3-benzodiazepine derivs.
 IT **114460-08-1**
 RL: ANT (Analyte); ANST (Analytical study)
 (detn. of benzodiazepines by spectrofluorimetry)
 RN 114460-08-1 CAPLUS
 CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)



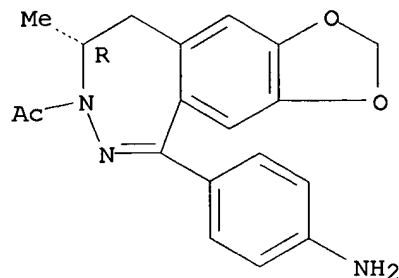
see 61 of 62

~~LN~~ 3 ANSWER 24 OF 62 CAPLUS COPYRIGHT 2001 ACS
~~AN~~ 1997:707922 CAPLUS
 DN 128:43739
 TI The non-competitive AMPA antagonist LY 300168 (GYKI 53655) attenuates AMPA-induced hippocampal injury in neonatal rodents
 AU Liu, X.-H.; Wang, P.; Barks, J. D. E.
 CS Department of Pediatrics, University of Michigan Medical Center, Ann Arbor, MI, USA
 SO Neurosci. Lett. (1997), 235(1,2), 93-97
 CODEN: NELED5; ISSN: 0304-3940
 PB Elsevier
 DT Journal
 LA English
 AB In contrast with the neuroprotective efficacy of competitive and non-competitive N-methyl-D-aspartate (NMDA) antagonists vs. NMDA neurotoxicity, reported neuroprotective effects of non-NMDA antagonists in limiting .alpha.-amino-3-hydroxy-5-methyl-4-isoxazole propionate (AMPA) toxicity have been less robust. We tested the effect of the non-competitive AMPA receptor antagonist LY 300168 (GYKI 53655; E. Lilly) (0.25 or 2.5 mg/kg per dose i.p. .times.3 doses vs. vehicle) on AMPA-induced excitotoxic injury in postnatal day 7 (P7) rats. To assess specificity, we tested the effect of LY 300168 (2.5 mg/kg per dose .times.3 doses) on NMDA-induced excitotoxic injury. P7 rats received right intrahippocampal injections of either (S)-AMPA (2.5 nmol, n = 67) or NMDA (12.5 nmol, n = 11). Injection of AMPA resulted in right hippocampal atrophy with pyramidal cell loss. LY 300168 treatment produced dose-dependent attenuation of AMPA-induced right hippocampal injury; based on comparisons with left hippocampal vols., 2.5 nmol AMPA resulted in 42.+-.3% (mean.+-.SEM) right hippocampal vol. loss in controls, but only 10.+-.5% after LY 300168 2.5 mg/kg per dose (P<0.001; ANOVA). LY 300168 had no effect on NMDA-induced hippocampal injury. The data support the hypothesis that drugs that allosterically regulate AMPA receptor activity can modulate the response of immature brain to AMPA-mediated injury.
 IT 143692-18-6, LY 300168
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (AMPA antagonist LY 300168 attenuates AMPA-induced hippocampal injury in neonates)
 RN 143692-18-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



53 962
 123 ANSWER 25 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1997:646583 CAPLUS
 DN 127:341510
 TI Apparent antinociceptive and anti-inflammatory effects of GYKI 52466
 AU Szekely, Jozsef I.; Kedves, Rita; Mate, Ildiko; Toeroek, Katalin;
 Tarnawa,
 Istvan
 CS Institute for Drug Research, P.O. Box 82, Budapest, 1325, Hung.
 SO Eur. J. Pharmacol. (1997), 336(2/3), 143-154
 CODEN: EJPHAZ; ISSN: 0014-2999
 PB Elsevier
 DT Journal
 LA English
 AB GYKI 52466 (1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-5H-2,3-
 benzodiazepine) was examd. in a battery of analgesia and
 anti-inflammatory
 tests in rats and mice, resp. Its 3-N-acetyl (GYKI 53773) and
 3-N-methylcarbamoyl (GYKI 53784) derivs. were also examd. in some assays.
 These 2,3-benzodiazepines, known as prototypic non-competitive
 antagonists
 of AMPA receptors, showed a peculiar profile in some routinely used
 antinociceptive tests. They were found fairly potent in rat tail flick
 and mouse phenylquinone writhing assays but the dose-response curves were
 rather shallow as compared to that of morphine. Their action is
 stereoselective i.e. the (+) isomers were found inactive, in agreement
 with the previous in vitro studies. Their antinociceptive effect could
 not be reversed by naloxone and the GYKI compds. did not potentiate
 significantly the morphine-induced analgesia. In the mouse hot plate
 assay, the 2,3-benzodiazepines were active only in doses inducing visible
 motor incapacitation. In rats, GYKI 52466 weakly reduced the
 hypersensitivity accompanying acute carrageenan edema. However, it
 potentially inhibited the hyperalgesia during Freund adjuvant-induced
 chronic
 arthritis. In the latter assay, yes GYKI 52466 also attenuated the body
 wt. loss without altering the paw edema. The present findings confirm
 reports in the literature which indicate AMPA receptors may contribute to
 certain forms of pathol. hyperalgesia e.g. to that detectable in inflamed
 tissues.
 IT 161832-65-1, GYKI 53773 161832-67-3, GYKI 53774
 161832-69-5, GYKI 53785 161832-71-9, GYKI 53784
 RL: BAC (Biological activity or effector, except adverse); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (apparent antinociceptive and anti-inflammatory effects of GYKI 52466
 and its derivs. in relation to role of AMPA receptors in hyperalgesia
 in inflammation)
 RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
 dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

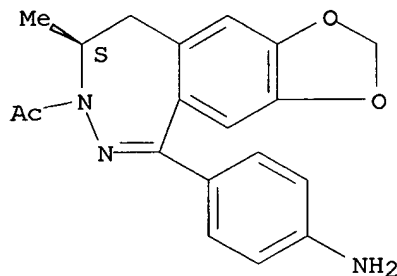
Absolute stereochemistry.



RN 161832-67-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

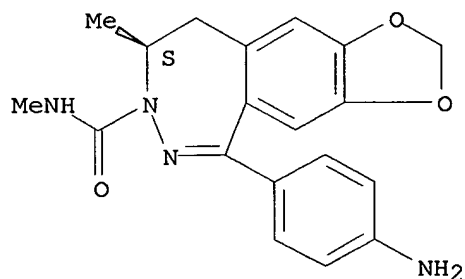
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

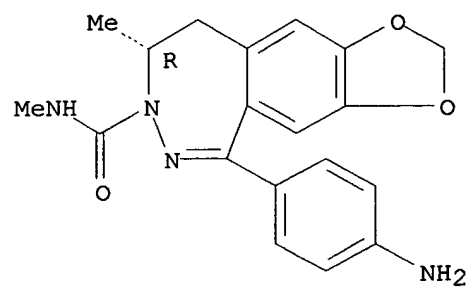
Absolute stereochemistry. Rotation (+).



RN 161832-71-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



~~123~~ ANSWER 26 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 1997:640650 CAPLUS

DN 127:307403

TI Preparation of substituted 2,3-benzodiazepin-4-ones as antagonists or positive modulators of AMPA receptors

IN Xia, Haiji; Field, George; Lan, Nancy C.; Wang, Yan; Cai, Sui Xiong

PA Cocensys, Inc., USA; Acea Pharmaceuticals, Inc.; Xia, Haiji; Field, George; Lan, Nancy C.; Wang, Yan; Cai, Sui Xiong

SO PCT Int. Appl., 95 pp.

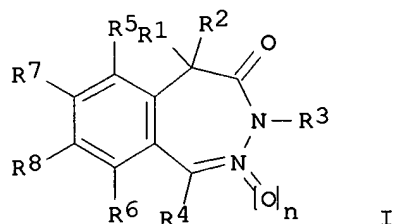
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9734878	A1	19970925	WO 1997-US3462	19970321
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9725270	A1	19971010	AU 1997-25270	19970321
	JP 2000506890	T2	20000606	JP 1997-533496	19970321
	EP 1021418	A1	20000726	EP 1997-916722	19970321
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
PRAI	US 1996-13813	P	19960321		
	WO 1997-US3462	W	19970321		
OS	MARPAT 127:307403				
GI					



AB The title compds. [I; R1, R2 = H, alkyl, aryl, etc.; R1R2 = carbocycle, heterocycle; R3 = H, alkyl, haloalkyl, etc.; R4 = (un)substituted aryl, fused aryl, heteroaryl, etc.; R5, R6 = H, halo, haloalkyl, etc.; R7, R8 = H, halo, aryl, etc.; n = 0-1], useful for treating, preventing or ameliorating neuronal loss assocd. with stroke, global and focal ischemia,

CNS trauma, hypoglycemia and surgery, as well as treating or ameliorating neurodegenerative diseases including Alzheimer's disease, amyotrophic lateral sclerosis, Huntington's disease, Parkinson's disease and Down's syndrome, treating, preventing or ameliorating the adverse consequences of

the overstimulation of the excitatory amino acids, treating or ameliorating anxiety, psychosis, convulsions, chronic pain, glaucoma, CMV

retinitis, urinary incontinence, muscular spasm and inducing anesthesia, as well as for treating or ameliorating the adverse consequences of excitatory amino acid deficiency such as schizophrenia, Alzheimer's disease and malnutrition and neural maldevelopment, and as cognition enhancers, were prepd. Thus, reaction of Me 4,5-methylenedioxy-2-(4-methylbenzoyl)phenylacetate with N₂H₄ and AcOH in EtOH afforded I [R1-R3

=

H; R₄ = 4-MeC₆H₄; R₅-R₆ = H; R₇R₈ = OCH₂O; n = 0] which showed IC₅₀ of 15 .mu.M against AMPA receptors binding.

IT **194729-10-7P 197368-49-3P**

RL: BAC (Biological activity or effector, except adverse); RCT

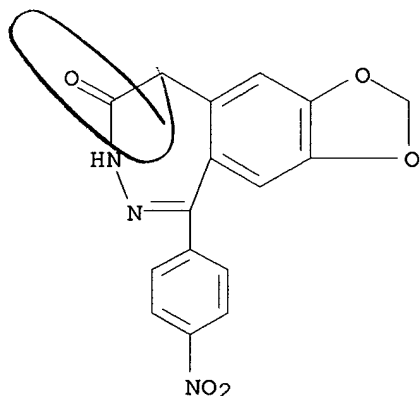
(Reactant);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 2,3-benzodiazepin-4-ones as antagonists or pos. modulators of AMPA receptors)

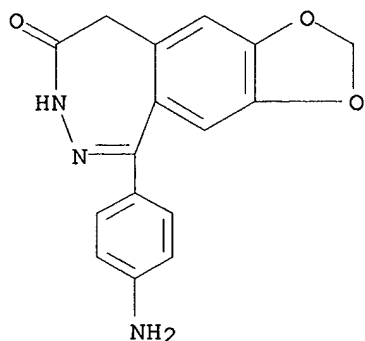
RN 194729-10-7 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 197368-49-3 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7,9-dihydro- (9CI) (CA INDEX NAME)



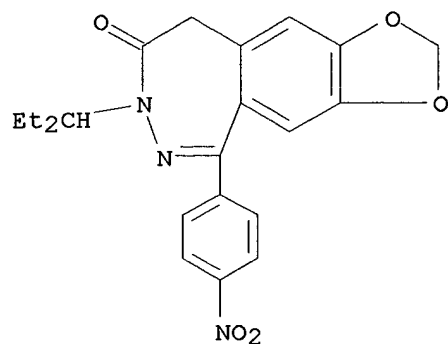
IT **197368-58-4P 197368-62-0P 197368-83-5P
197368-84-6P 197369-00-9P 197369-01-0P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 2,3-benzodiazepin-4-ones as antagonists or pos. modulators of AMPA receptors)

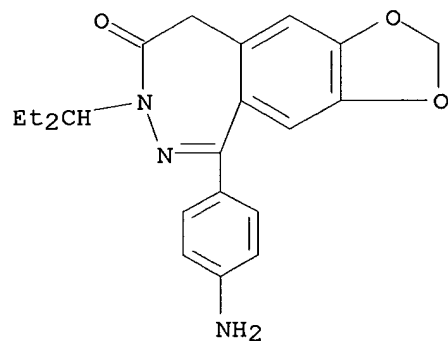
RN 197368-58-4 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 7-(1-ethylpropyl)-7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



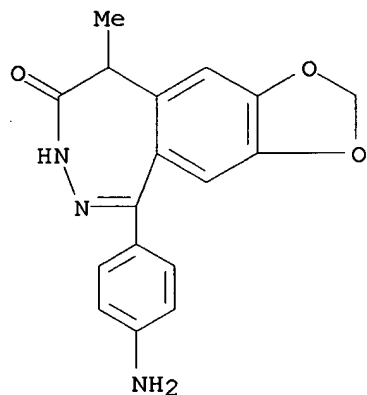
RN 197368-62-0 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7-(1-ethylpropyl)-7,9-dihydro- (9CI) (CA INDEX NAME)

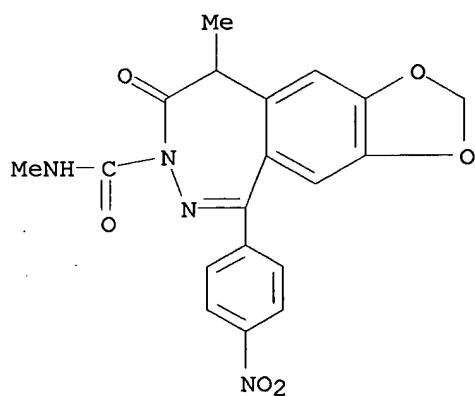


RN 197368-83-5 CAPLUS

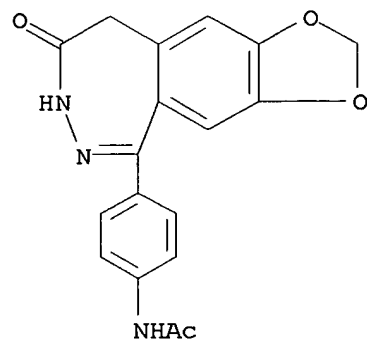
CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 5-(4-aminophenyl)-7,9-dihydro-9-methyl- (9CI) (CA INDEX NAME)



RN 197368-84-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,9-dimethyl-5-(4-nitrophenyl)-8-oxo- (9CI) (CA INDEX NAME)

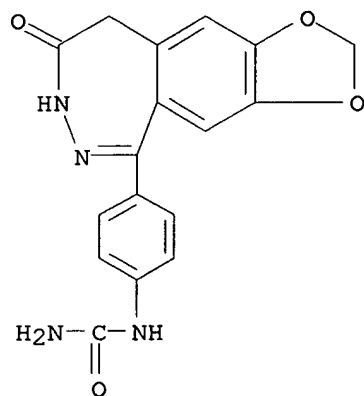


RN 197369-00-9 CAPLUS
 CN Acetamide,
 N-[4-(8,9-dihydro-8-oxo-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-
 5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 197369-01-0 CAPLUS

CN Urea, [4-(8,9-dihydro-8-oxo-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



~~1273~~ ANSWER 27 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 1997:622824 CAPLUS

~~DN~~ 127:262710

TI Stereoselective preparation of dihydro-2,3-benzodiazepine derivatives

IN Anderson, Benjamin A.; Hansen, Marvin M.; Varie, David L.; Vicenzi, Jeffrey T.; Zmijewski, Milton J.

PA Eli Lilly and Co., USA

SO U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 298,645, abandoned.

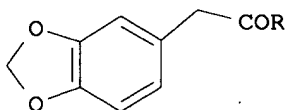
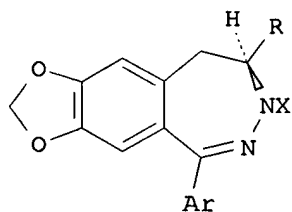
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5665878	A	19970909	US 1995-413036	19950328
	CA 2157234	AA	19960301	CA 1995-2157234	19950830
	FI 9504064	A	19960301	FI 1995-4064	19950830
	NO 9503396	A	19960301	NO 1995-3396	19950830
	EP 699677	A1	19960306	EP 1995-306051	19950830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AU 9530355	A1	19960314	AU 1995-30355	19950830
	AU 702658	B2	19990225		
	JP 08081468	A2	19960326	JP 1995-221570	19950830
	BR 9503845	A	19960416	BR 1995-3845	19950830
	HU 72644	A2	19960528	HU 1995-2545	19950830
	CN 1123282	A	19960529	CN 1995-116311	19950830
	ZA 9507278	A	19970228	ZA 1995-7278	19950830
	ZA 9507279	A	19970228	ZA 1995-7279	19950830
	ZA 9507280	A	19970228	ZA 1995-7280	19950830
	RU 2142465	C1	19991210	RU 1995-114550	19950830
	US 5919954	A	19990706	US 1997-843307	19970414
	US 5986114	A	19991116	US 1999-260449	19990302
	US 6160133	A	20001212	US 1999-346795	19990702
PRAI	US 1994-298645	B2	19940831		
	US 1995-413036	A	19950328		
	US 1997-843307	A3	19970414		
	US 1999-260449	A3	19990302		
OS	CASREACT 127:262710; MARPAT 127:262710				
GI					



AB Title compds. [I; R = H, alkyl; X = H, alkyl, acyl, aryl, CO₂H (deriv).; Ar = (substituted) aryl], were prepd. from aryl ketones (II; R as above) via asym. redn., reaction with an arylaldehyde to give an isochroman, oxidn., reaction with H₂NNHX, and reaction with a sulfonyl halide or direct Mitsunobu cyclization. Thus, 3,4-methylenedioxyphenylacetone was

53962
61962
fermented with *Z. rouxii* ATCC 14462 to give 85-90%
(S)-.alpha.-methyl-1,3-benzodioxole-5-ethanol in 100% enantiomeric excess. This was heated with p-nitrobenzaldehyde and conc. HCl in PhMe to give 87-93% (5RS,7S)-7,8-dihydro-7-methyl-5-(4-nitrophenyl)-5H-1,3-dioxolo[4,5-g][2]benzopyran. The latter in Me2SO was bubbled with air and then treated with aq. NaOH to give (5RS,7S)-7,8-dihydro-7-methyl-5-(4-nitrophenyl)-5H-1,3-dioxolo[4,5-g][2]benzopyran-5-ol. Reflux of the latter with acetic hydrazide in conc. HCl gave (S)-acetic acid-[[6-(2-hydroxypropyl)-1,3-benzodioxol-5-yl](4-nitrophenyl)methylene]hydrazide. Treatment with MeSO2Cl/Et3N gave the methanesulfonyloxypropyl deriv., which was stirred with aq. NaOH in MeOH to give (R)-7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-H][2,3]benzodiazepine.

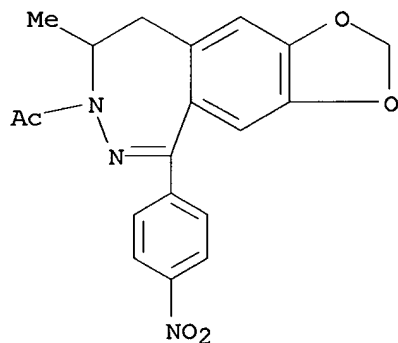
IT 143691-46-7P 161832-64-0P 161832-65-1P
161832-70-8P 161832-71-9P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(stereoselective prepn. of dihydro-2,3-benzodiazepine derivs.)

RN 143691-46-7 CAPLUS

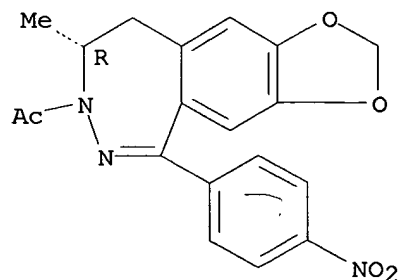
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-
(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 161832-64-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

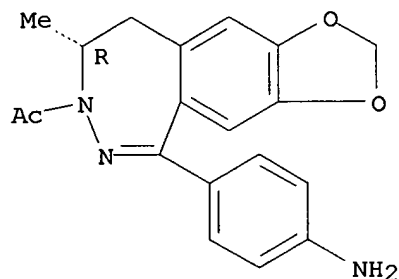
Absolute stereochemistry. Rotation (-).



RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

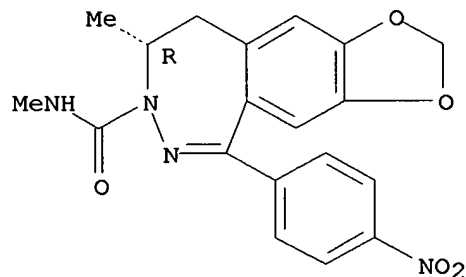
Absolute stereochemistry.



RN 161832-70-8 CAPLUS

7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)- (9CI) (CA INDEX NAME)

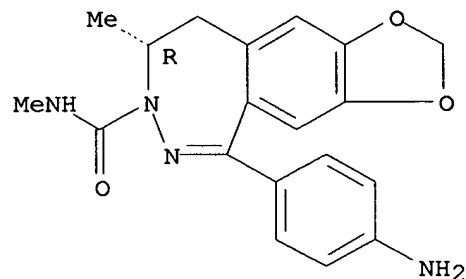
Absolute stereochemistry.



RN 161832-71-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



123 ANSWER 28 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1997:533650 CAPLUS

DN 127:205597

TI Condensed 2,3-benzodiazepine derivatives and their use as AMPA-receptor inhibitors

IN Csuzdi, Ernese; Hamori, Tamas; Abraham, Gizella; Solyom, Sandor; Tarnawa, Istvan; Berzsenyi, Pal; Andrasi, Ferenc; Ling, Istvan; et al.

PA Schering A.-G., Germany; Csuzdi, Ernese; Hamori, Tamas; Abraham, Gizella; Solyom, Sandor; Tarnawa, Istvan; Berzsenyi, Pal; Andrasi, Ferenc

SO PCT Int. Appl., 71 pp.

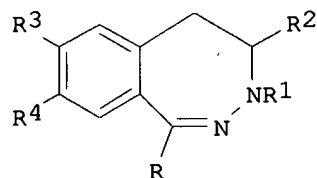
CODEN: PIXXD2

DT Patent

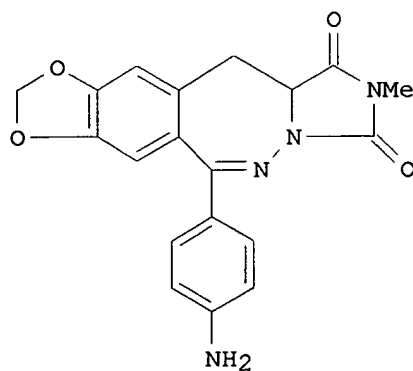
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9728163	A1	19970807	WO 1997-DE234	19970129
	W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE	DE 19604919	A1	19970807	DE 1996-19604919	19960201
	CA 2245539	AA	19970807	CA 1997-2245539	19970129
	AU 9725029	A1	19970822	AU 1997-25029	19970129
	AU 724956	B2	20001005		
	EP 888356	A1	19990107	EP 1997-916315	19970129
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	CN 1210538	A	19990310	CN 1997-192012	19970129
	BR 9707335	A	19990720	BR 1997-7335	19970129
	JP 2000503997	T2	20000404	JP 1997-527248	19970129
	US 5807851	A	19980915	US 1997-832777	19970404
	NO 9803510	A	19980930	NO 1998-3510	19980730
PRAI	DE 1996-19604919	A	19960201		
	WO 1997-DE234	W	19970129		
OS	MARPAT 127:205597				
GI					



I



II

AB Title compds. I [R = Ph, substituted Ph; R1R2 = atoms required to complete a 5-membered heterocycle, contg. addnl. N or O; R3 = H, halogen; R4 = alkoxy; R3R4 = O(CH2)nO; n = 1-3] were prepd. Owing to their non-competitive inhibition of the AMPA receptors, these compds. can be used as medicaments for treating diseases of the central nervous system (no data). Thus, the title compd. II was prepd. from 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine by oxidn. of the Me group, reaction with MeNCo, and redn. of the nitro group.

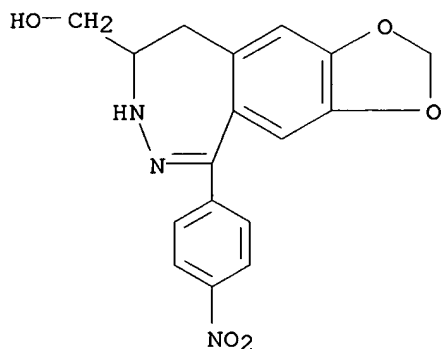
IT **194728-86-4P 194728-87-5P 194728-88-6P**

194728-92-2P 194729-10-7P 194729-11-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of condensed 2,3-benzodiazepine derivs. as AMPA-receptor inhibitors)

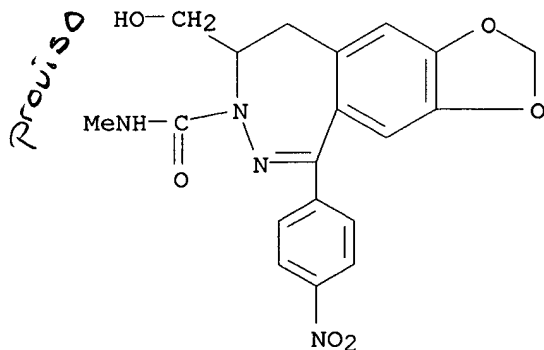
RN 194728-86-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-methanol, 8,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194728-87-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 8,9-dihydro-8-(hydroxymethyl)-N-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



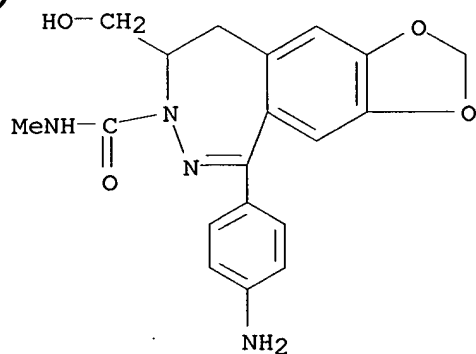
RN 194728-88-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-8-(hydroxymethyl)-N-methyl- (9CI)

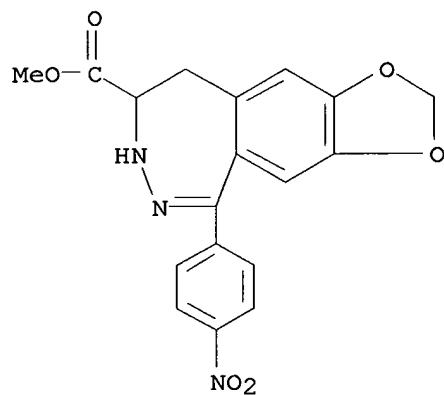
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NAME)

proviso

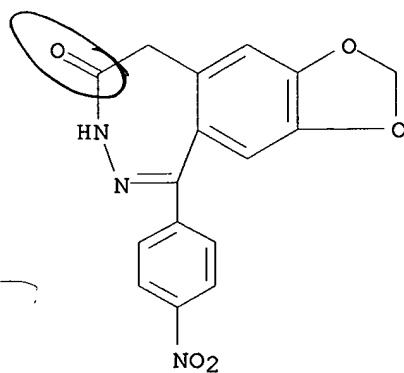


RN 194728-92-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-carboxylic acid,
8,9-dihydro-5-(4-nitrophenyl)-, methyl ester (9CI) (CA INDEX NAME)

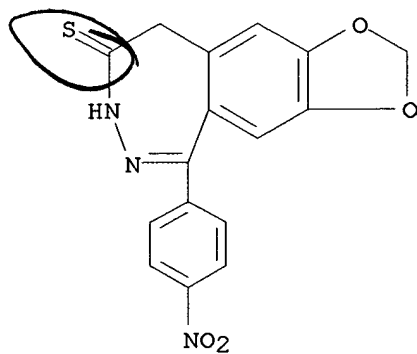
RN 194729-10-7 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepin-8-one, 7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 194729-11-8 CAPLUS

CN 8H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-8-thione, 7,9-dihydro-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



~~L23~~ ANSWER 29 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 1997:436580 CAPLUS

DN 127:108948

TI Preparation of N-acyl-2,3-benzodiazepine derivatives for treating acute and chronic neurodegenerative disorders.

IN Andrasi, Ferenc; Berzsenyi, Pal; Botka, Peter; Farkas, Sandor; Goldschmidt, Katalin; Hamori, Tamas; Korosi, Jenő; Moravcsik, Imre; Tarnawa, Istvan

PA Cyogyszerkutató Intézet Kft, Hung.

SO U.S., 22 pp. Cont.-in-part of U.S. Ser. No. 423,152, abandoned.

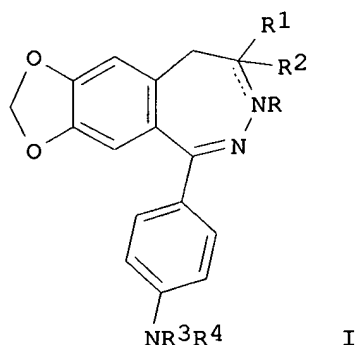
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5639751	A	19970617	US 1995-477801	19950607
	HU 59684	A2	19920629	HU 1990-8398	19901221
	US 5459137	A	19951017	US 1993-80604	19930621
PRAI	HU 1990-8398	A	19901221		
	US 1991-809361	B2	19911217		
	US 1993-48347	B2	19930415		
	US 1993-80604	A3	19930621		
	US 1995-423152	B2	19950417		
GI					



AB Title compds. [I; R = (substituted) alkanoyl, benzoyl, cyclopropanecarbonyl, alkylcarbamoyl, phenylcarbamoyl, null; R1 = H, null;

R2 = alkyl; R1R2 = methylene; R3 = H, alkanoyl; R4 = H, (substituted) alkanoyl, benzoyl, palmitoyl, cyclopropanecarbonyl, alkylcarbamoyl, phenylcarbamoyl; dotted lines = optional double bonds; with a proviso], were prepd. I possess valuable central nervous system effects, particularly muscle relaxant, anticonvulsive and neuroprotective action. Thus,

(-)-1-(4-aminophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine (prepn. given) inhibited synaptic potentials in rat hippocampal slices with IC50 = 3.9 .mu.M.

IT 143691-23-0P 143691-37-6P 143691-38-7P
 143691-39-8P 143691-40-1P 143691-41-2P
 143691-42-3P 143691-43-4P 143691-44-5P
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 143691-48-9P 143691-49-0P 143691-50-3P

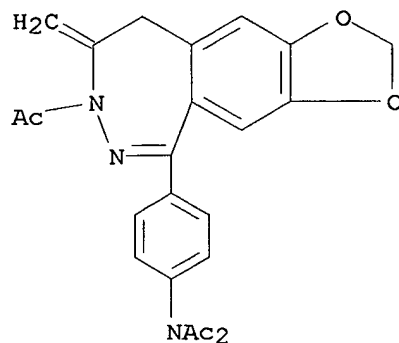
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 173087-59-7P 173087-61-1P 192524-33-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-acyl-2,3-benzodiazepine derivs. for treating acute and chronic neurodegenerative disorders)

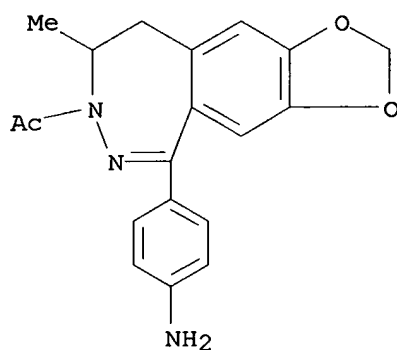
RN 143691-23-0 CAPLUS

CN Acetamide, N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methylene-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

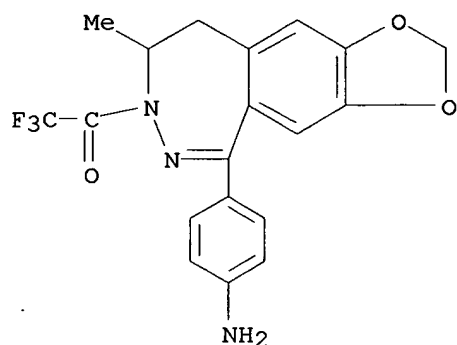


RN 143691-37-6 CAPLUS

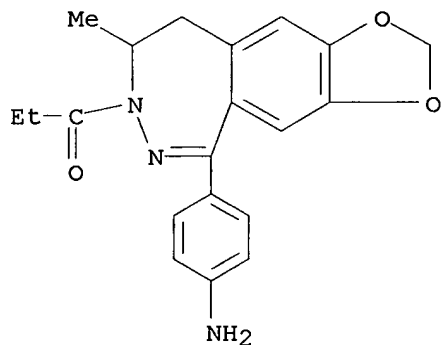
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-38-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

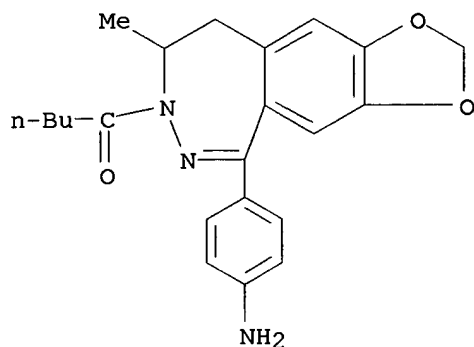


RN 143691-39-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



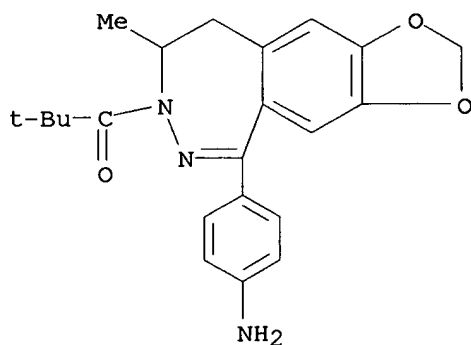
RN 143691-40-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-

methyl-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



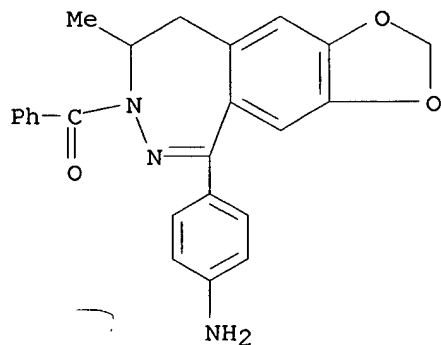
RN 143691-41-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-42-3 CAPLUS

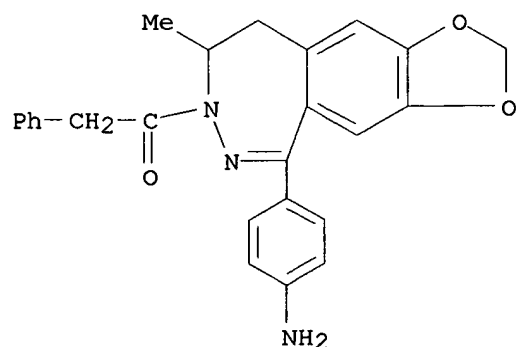
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-benzoyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-43-4 CAPLUS

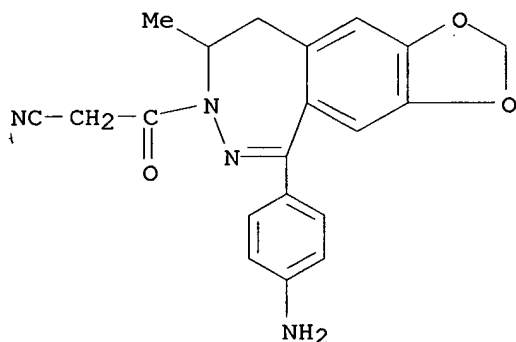
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-8-

methyl-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



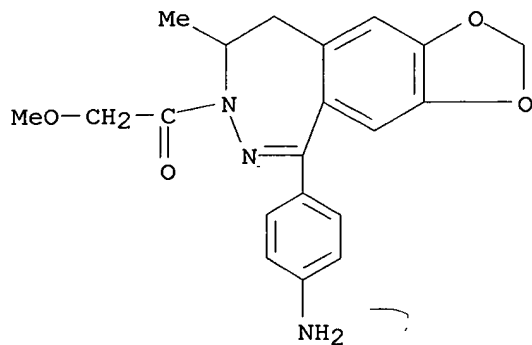
RN 143691-44-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyanoacetyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-45-6 CAPLUS

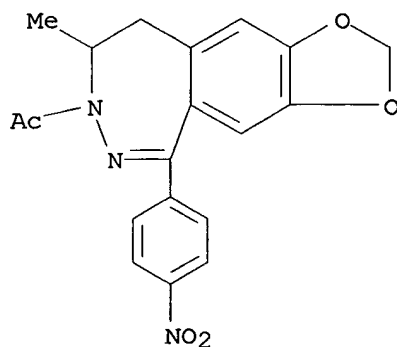
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-7-(methoxyacetyl)-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-46-7 CAPLUS

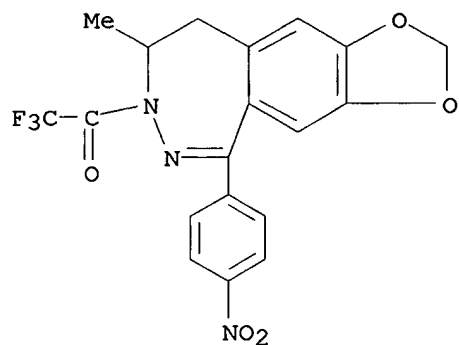
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-8,9-dihydro-8-methyl-5-

(4-nitrophenyl)- (9CI) (CA INDEX NAME)



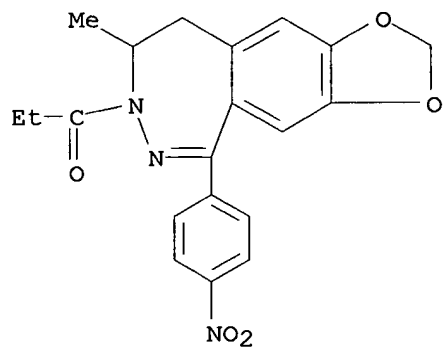
RN 143691-47-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



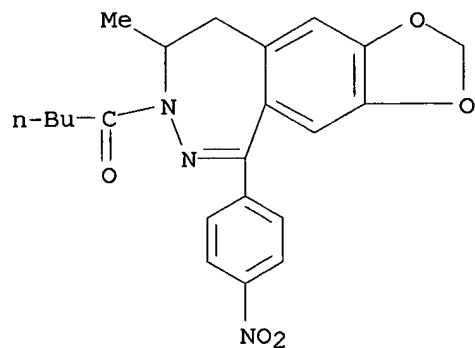
RN 143691-48-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)

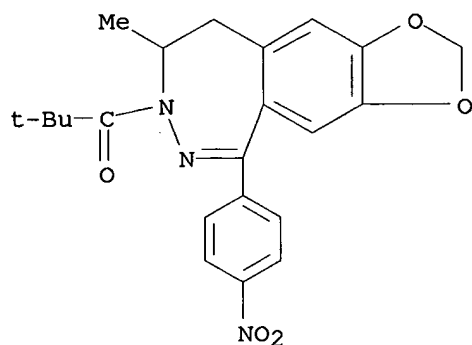


RN 143691-49-0 CAPLUS

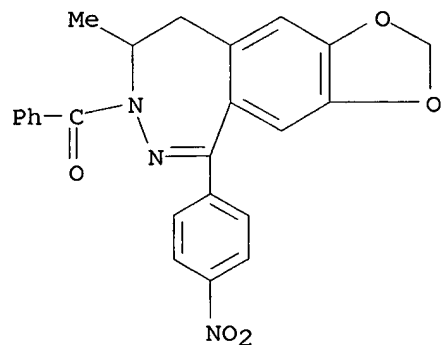
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



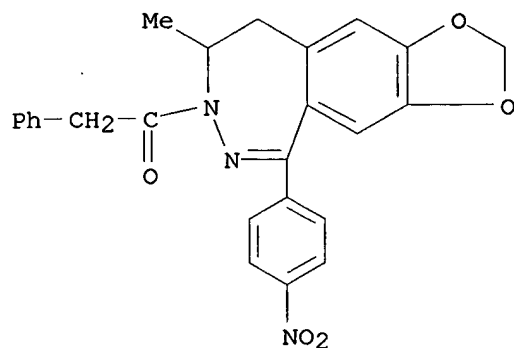
RN 143691-50-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-(2,2-dimethyl-1-oxopropyl)-8,9-
 dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-51-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-benzoyl-8,9-dihydro-8-methyl-5-
 (4-nitrophenyl)- (9CI) (CA INDEX NAME)

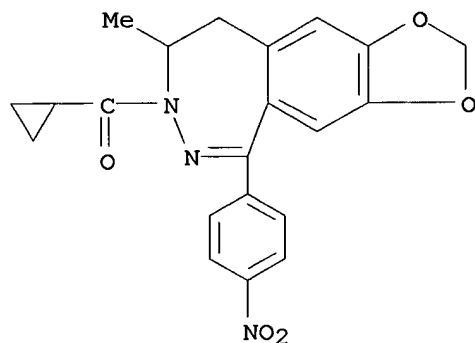


RN 143691-52-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-
 nitrophenyl)-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



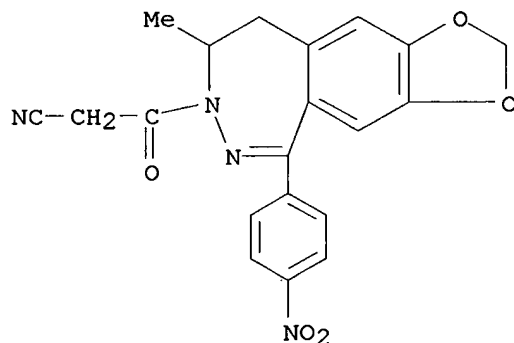
RN 143691-53-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



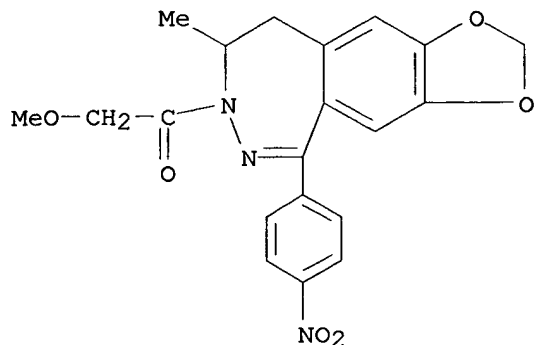
RN 143691-54-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyanoacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

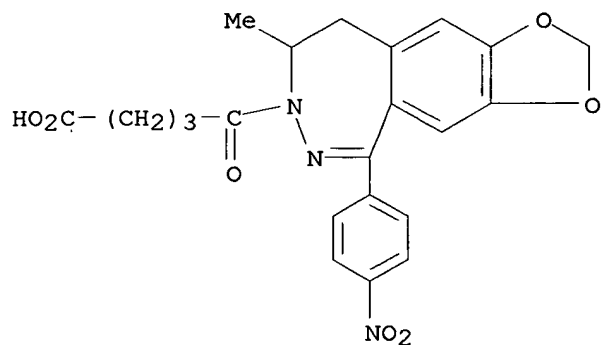


RN 143691-55-8 CAPLUS

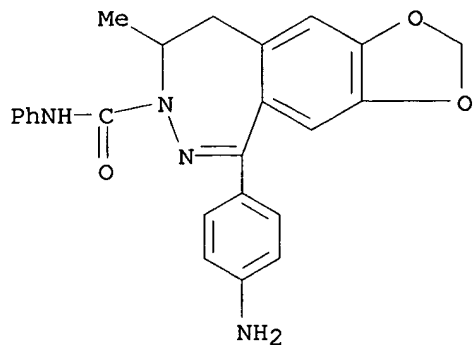
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-7-(methoxyacetyl)-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



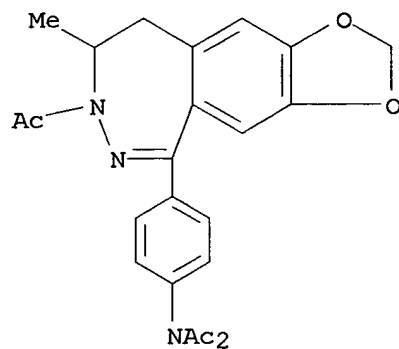
RN 143691-56-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-.delta.-oxo- (9CI) (CA INDEX
 NAME)



RN 143691-57-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-N-phenyl- (9CI) (CA INDEX NAME)



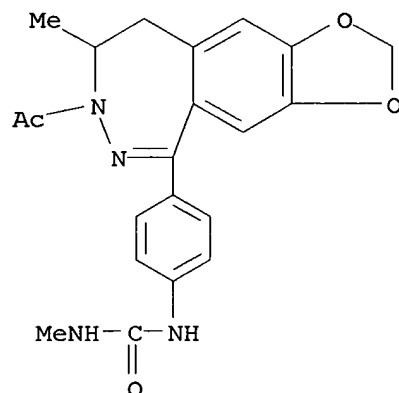
RN 143691-58-1 CAPLUS
 CN Acetamide,
 N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143691-59-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-

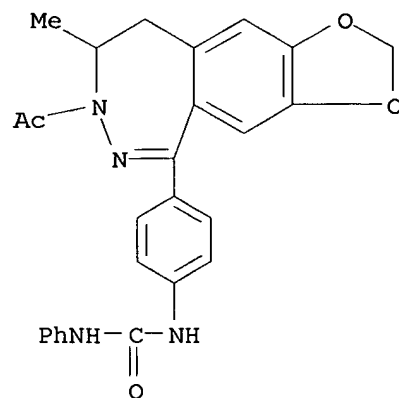
[4-[[(methylamino) carbonyl] amino] phenyl] - (9CI) (CA INDEX NAME)



RN 143691-60-5 CAPLUS

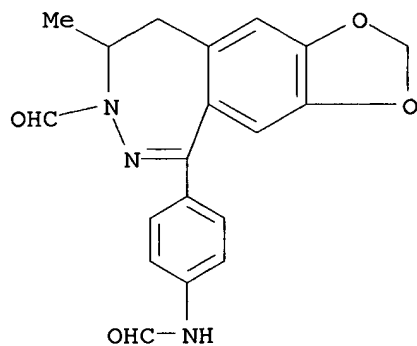
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-

[4-[[(phenylamino) carbonyl] amino] phenyl] - (9CI) (CA INDEX NAME)



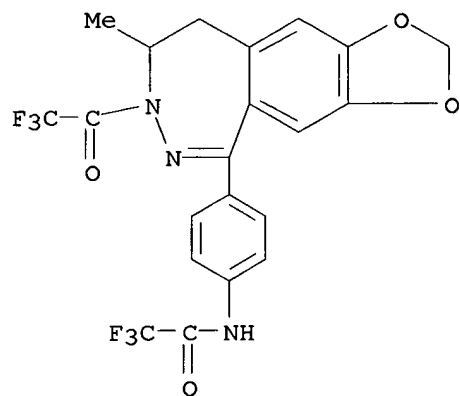
RN 143691-61-6 CAPLUS

CN Formamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



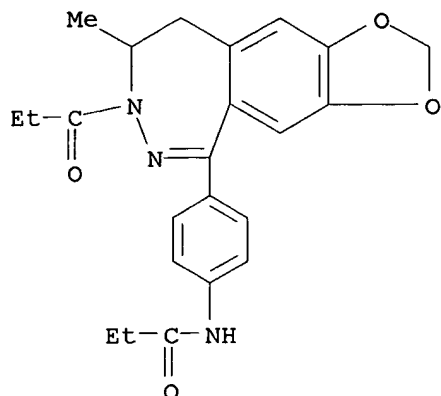
RN 143691-62-7 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



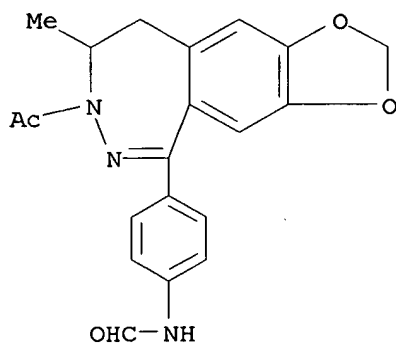
RN 143691-63-8 CAPLUS

CN Propanamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



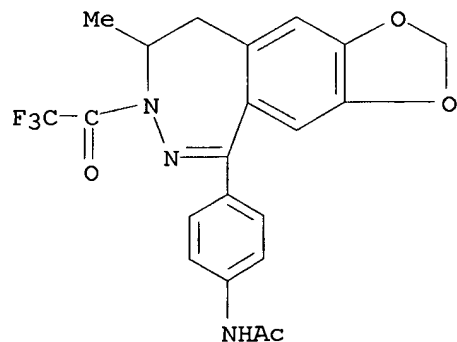
RN 143691-64-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



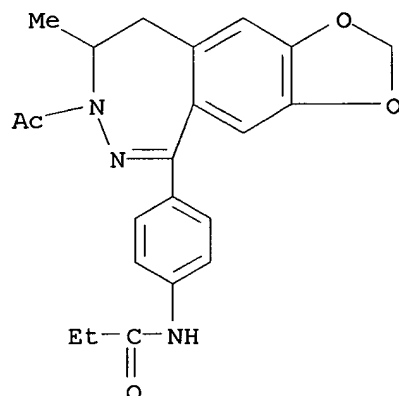
RN 143691-65-0 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



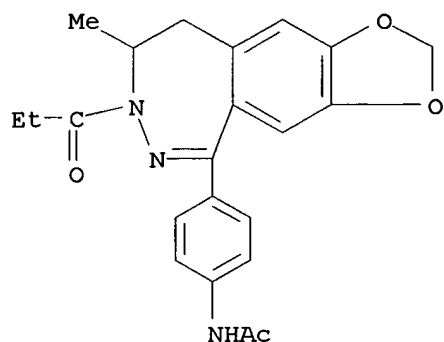
RN 143691-66-1 CAPLUS

CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



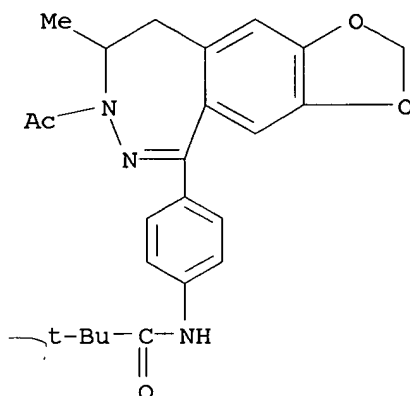
RN 143691-67-2 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-68-3 CAPLUS

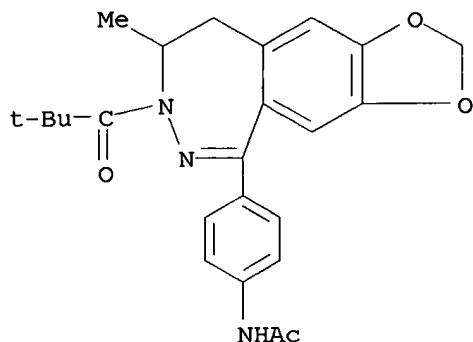
CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 143691-69-4 CAPLUS

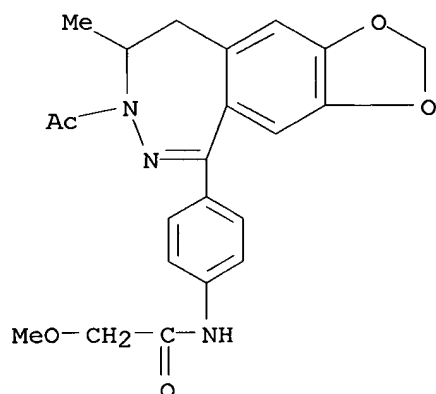
CN Acetamide, N-[4-([7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-

dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



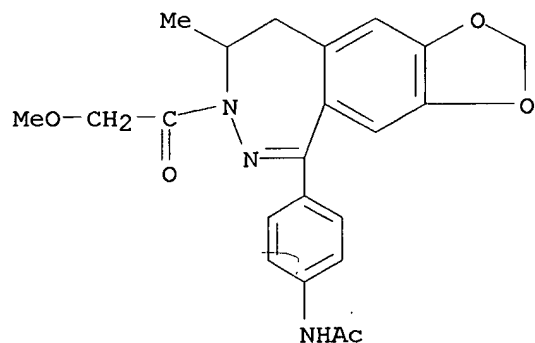
RN 143691-70-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



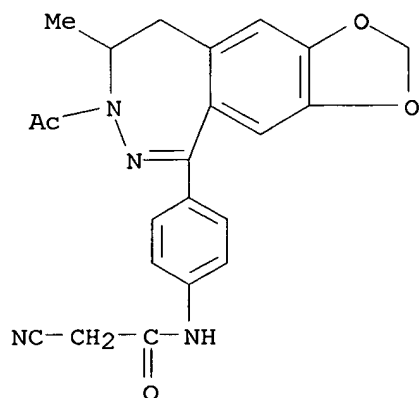
RN 143691-71-8 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-7-(methoxyacetyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



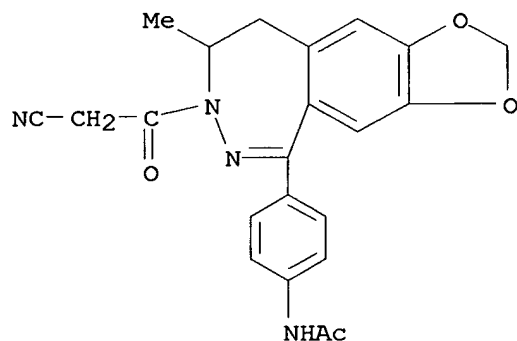
RN 143691-72-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-cyano- (9CI) (CA INDEX NAME)



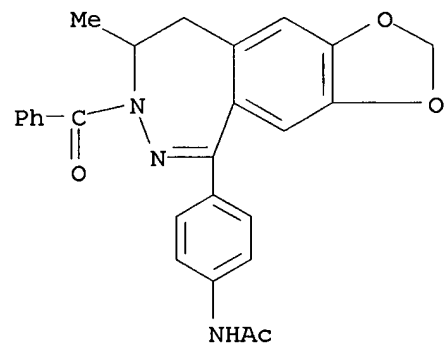
RN 143691-73-0 CAPLUS

CN Acetamide, N-[4-[7-(cyanoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



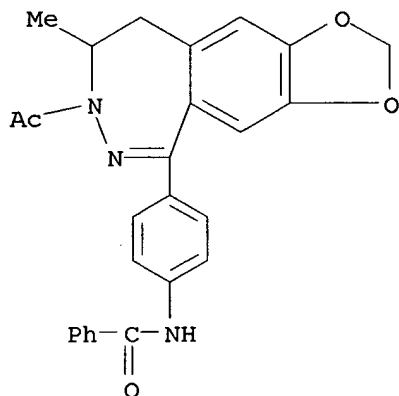
RN 143691-74-1 CAPLUS

CN Acetamide, N-[4-(7-benzoyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



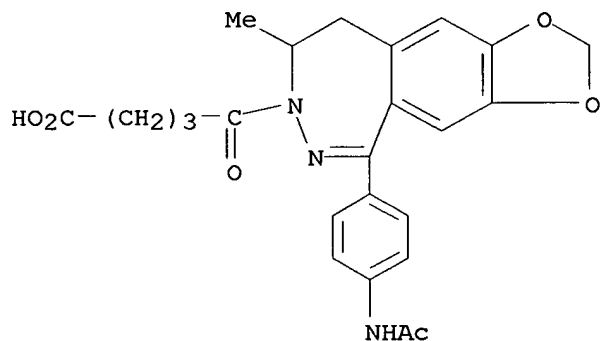
RN 143691-75-2 CAPLUS

CN Benzamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



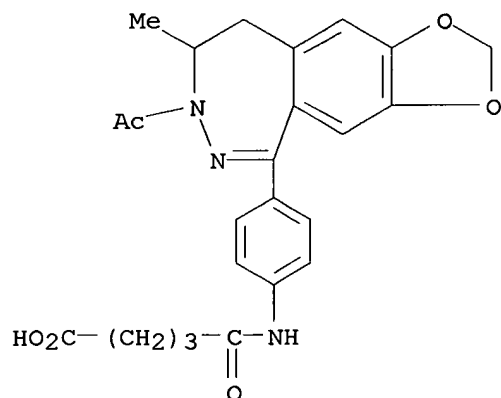
RN 143691-76-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid, 5-[4-(acetylamino)phenyl]-8,9-dihydro-8-methyl-.delta.-oxo- (9CI) (CA INDEX NAME)



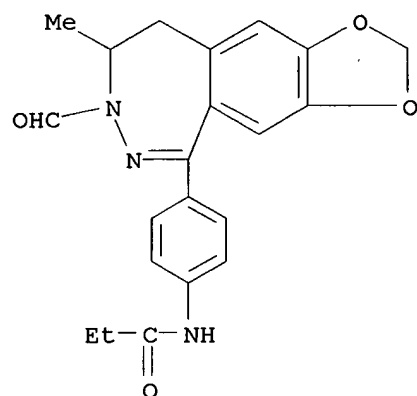
RN 143691-77-4 CAPLUS

CN Pentanoic acid, 5-[[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]amino]-5-oxo- (9CI) (CA INDEX NAME)



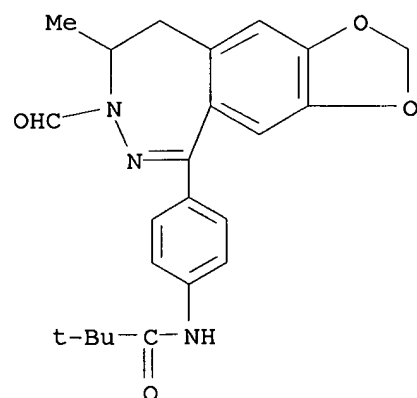
RN 143691-78-5 CAPLUS

CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



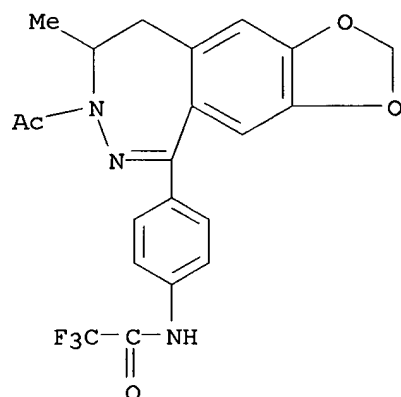
RN 143691-79-6 CAPLUS

CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



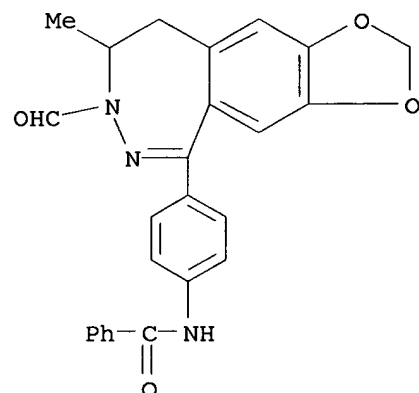
RN 143691-80-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



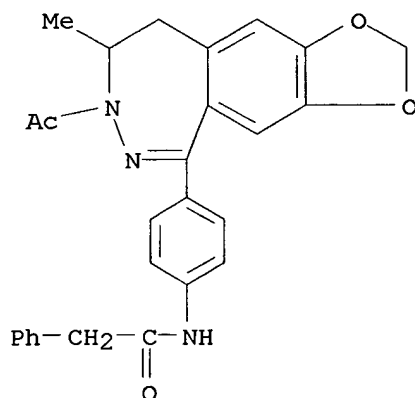
RN 143691-81-0 CAPLUS

CN Benzamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



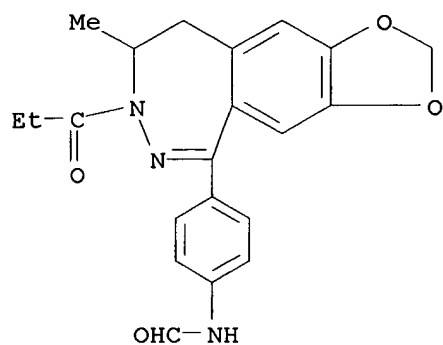
RN 143691-82-1 CAPLUS

CN Benzeneacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



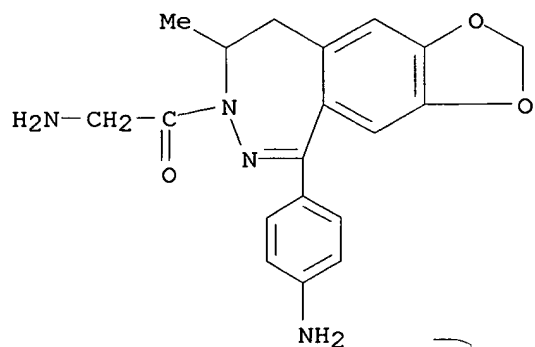
RN 143691-83-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 143691-88-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

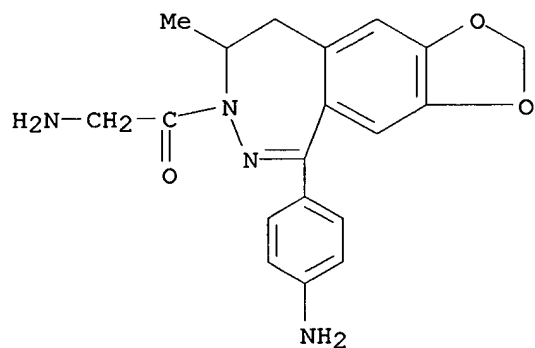


RN 143691-89-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

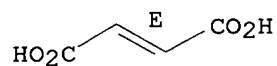
CRN 143691-88-7
CMF C19 H20 N4 O3



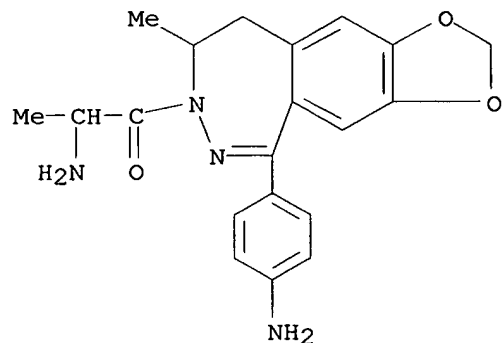
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



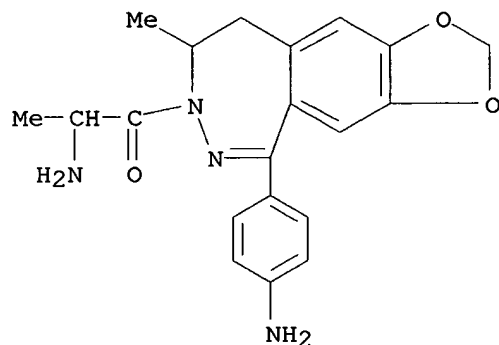
RN 143691-90-1 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-91-2 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

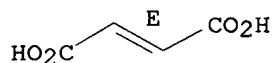
CRN 143691-90-1
CMF C20 H22 N4 O3



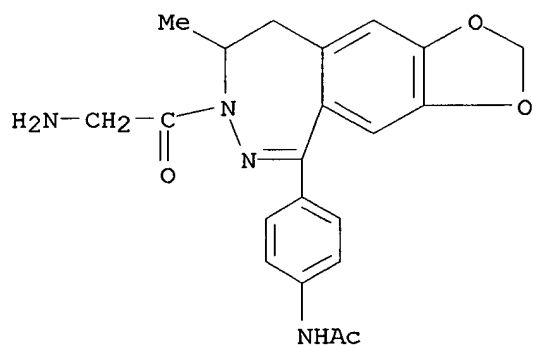
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



RN 143691-93-4 CAPLUS
CN Acetamide, N-[4-[7-(aminoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

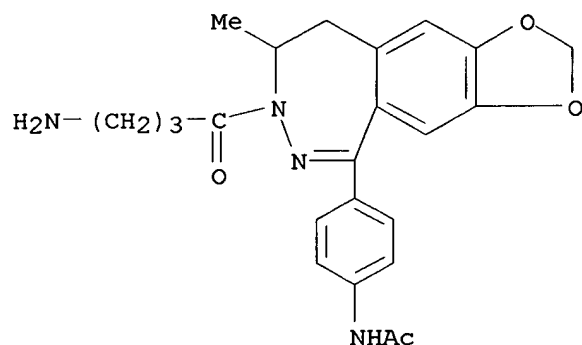


RN 143691-95-6 CAPLUS
CN Acetamide, N-[4-[7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143691-94-5

CMF C23 H26 N4 O4



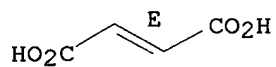
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



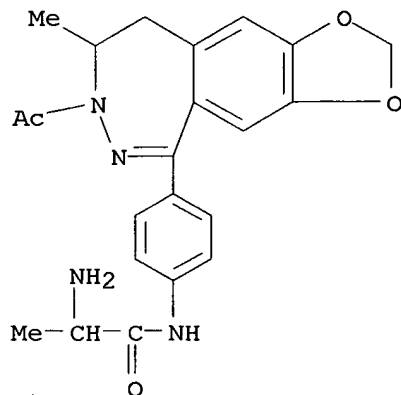
RN 143691-97-8 CAPLUS

CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, (2E)-2-butenedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 143691-96-7

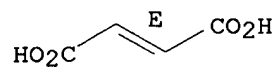
CMF C22 H24 N4 O4



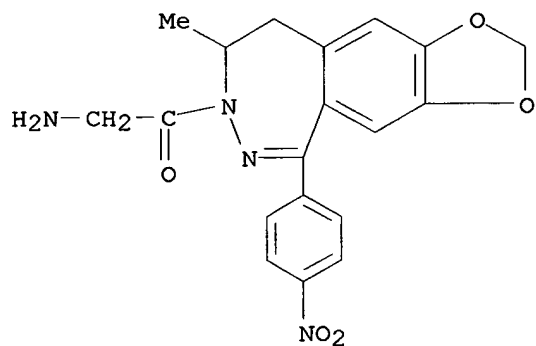
CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

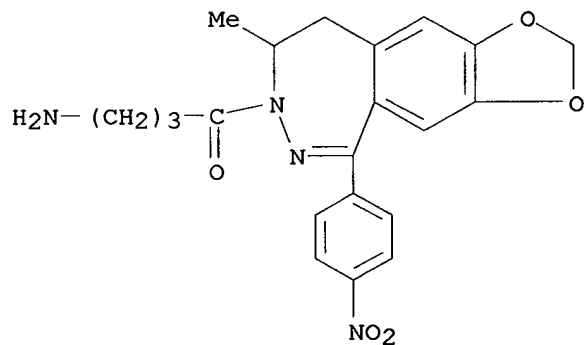
Double bond geometry as shown.



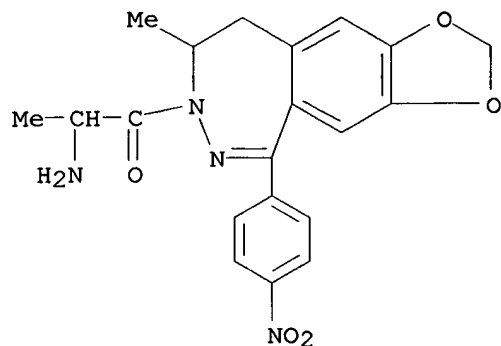
RN 143692-02-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-03-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

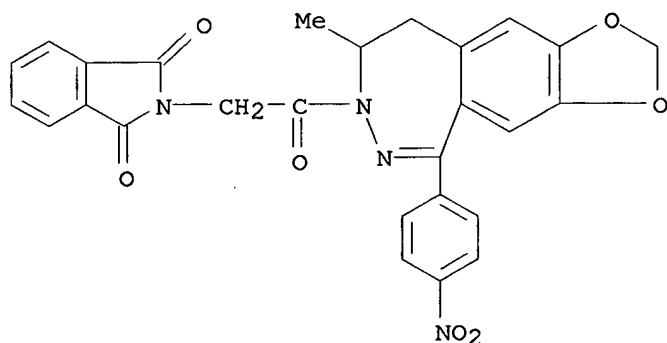


RN 143692-04-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



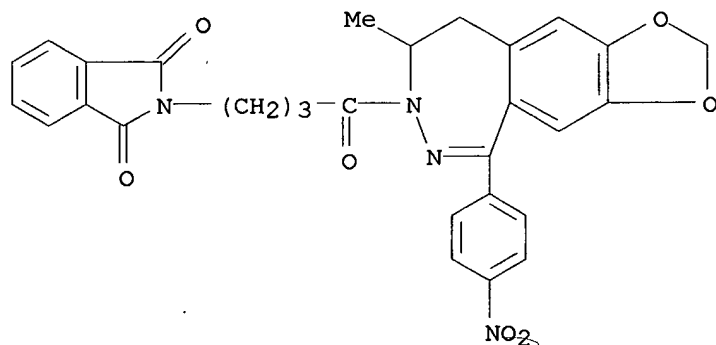
RN 143692-05-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



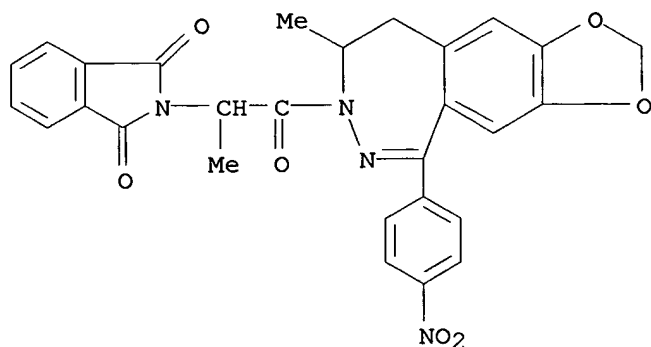
RN 143692-06-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-07-3 CAPLUS

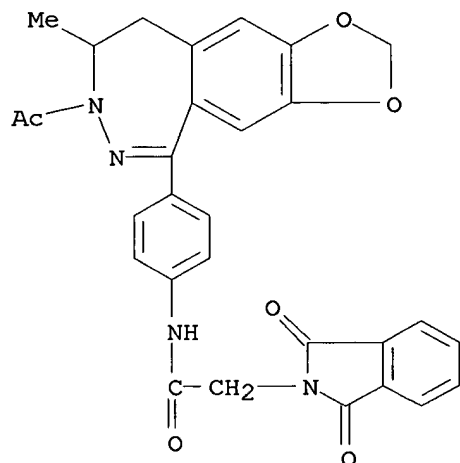
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-08-4 CAPLUS

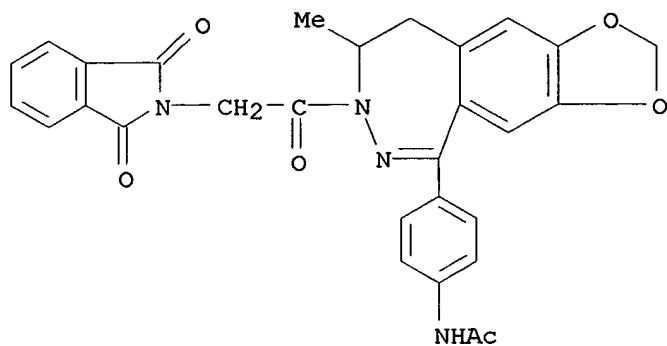
CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo- (9CI)

(CA INDEX NAME)



RN 143692-09-5 CAPLUS

CN Acetamide, N-[4-[7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

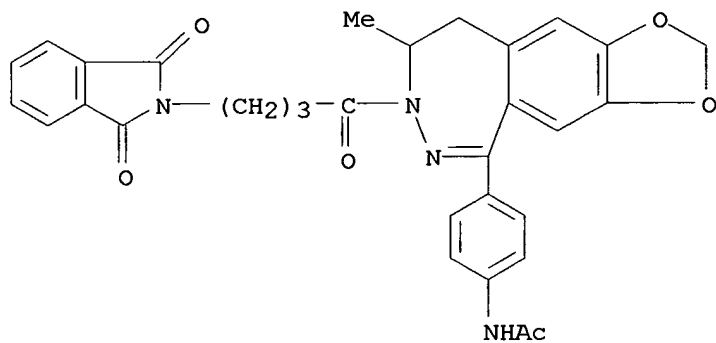


RN 143692-10-8 CAPLUS

CN Acetamide,

N-[4-[7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-

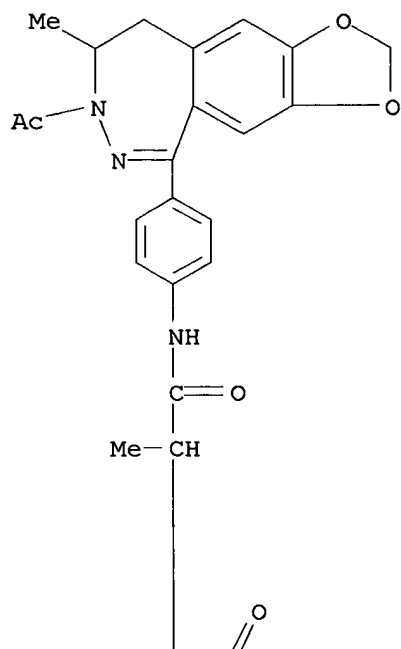
8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-
(9CI) (CA INDEX NAME)



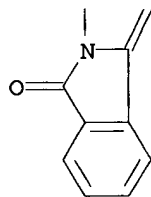
RN 143692-11-9 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-.alpha.-methyl-1,3-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



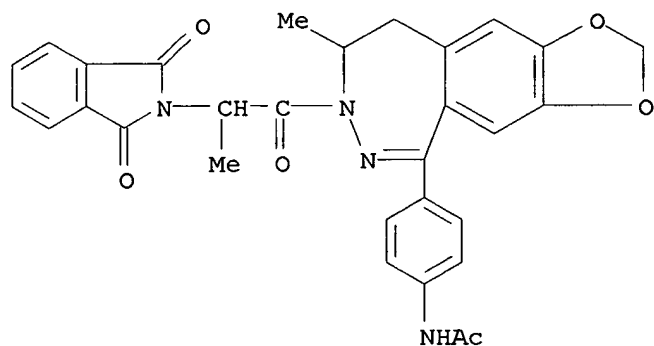
PAGE 2-A



RN 143692-12-0 CAPLUS

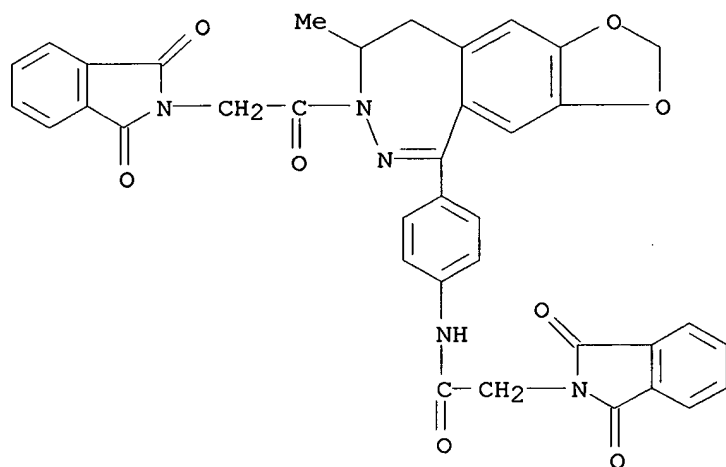
CN Acetamide, N-[4-[7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-

oxopropyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



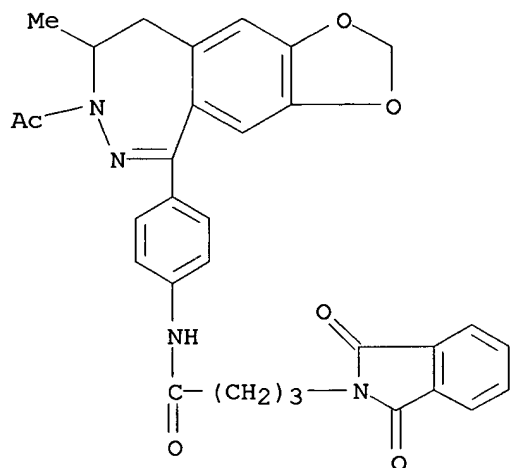
RN 143692-13-1 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[4-[7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 143692-14-2 CAPLUS

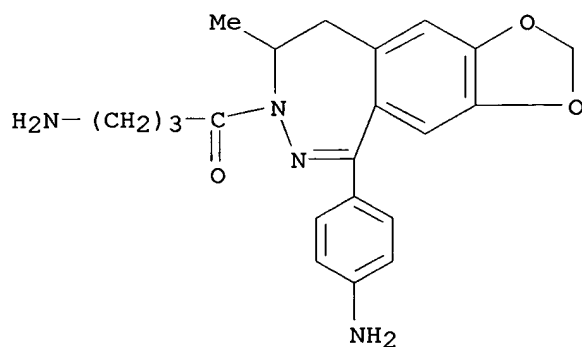
CN 2H-Isoindole-2-butanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 143692-16-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

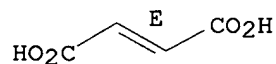
CRN 143692-15-3
 CMF C21 H24 N4 O3



CM 2

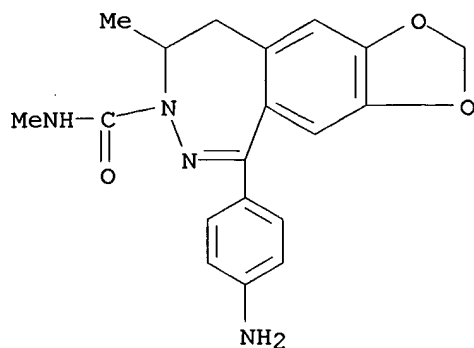
CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.



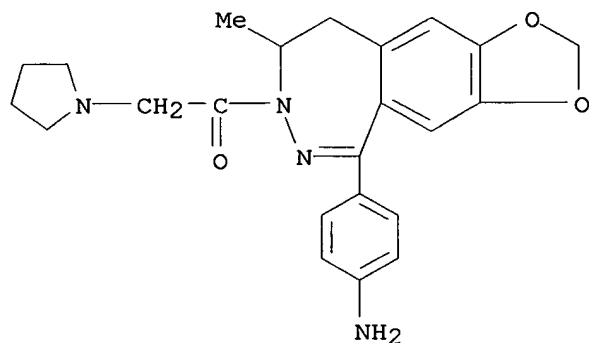
RN 143692-18-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 143692-19-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
5-(4-aminophenyl)-8,9-dihydro-8-
methyl-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



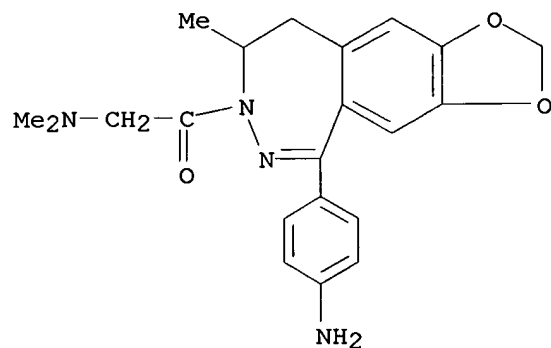
RN 143692-21-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
[(dimethylamino)acetyl]-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 143692-20-0

CMF C21 H24 N4 O3



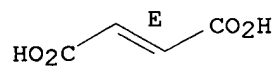
CM 2

CRN 110-17-8

CMF C4 H4 O4

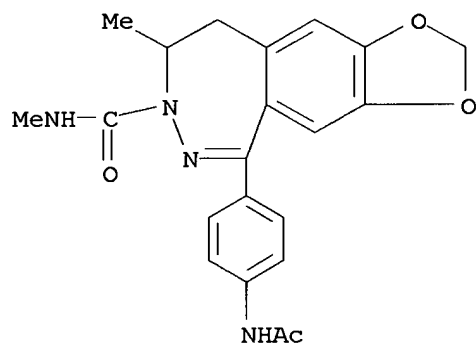
CDES 2:E

Double bond geometry as shown.



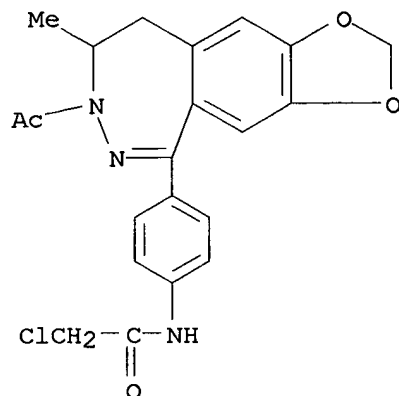
RN 143692-26-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-[4-(acetylamino)phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX
NAME)



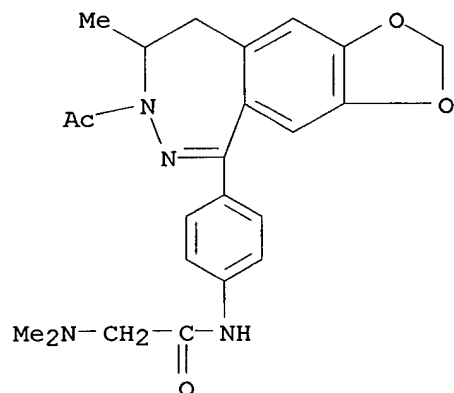
RN 143692-27-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-chloro- (9CI) (CA INDEX NAME)



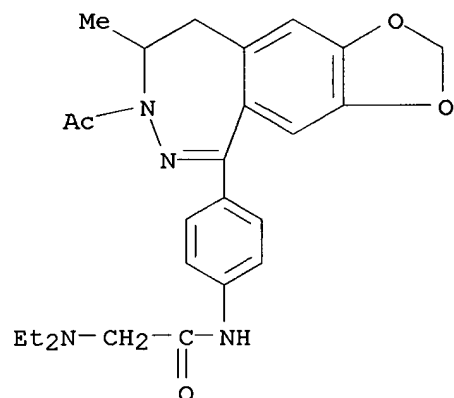
RN 143692-28-8 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)



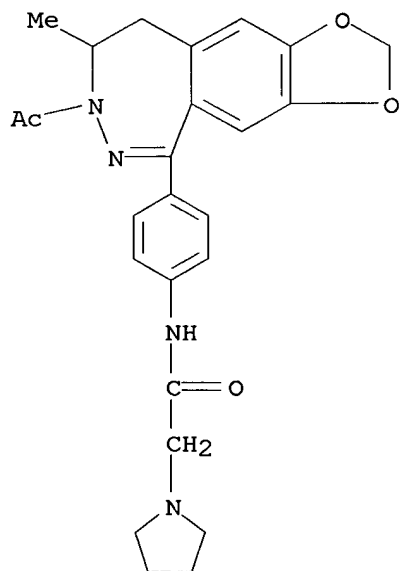
RN 143692-29-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-(diethylamino)- (9CI) (CA INDEX NAME)



RN 143692-30-2 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



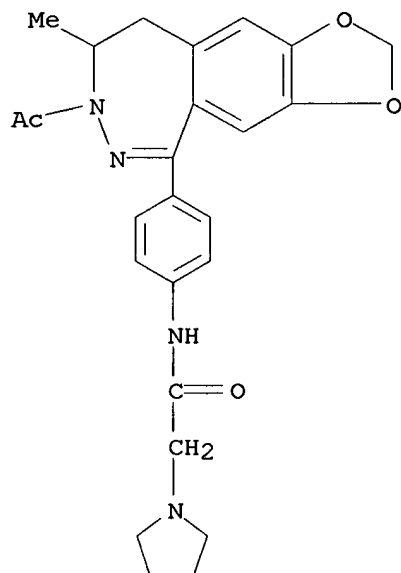
RN 143692-31-3 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-30-2

CMF C25 H28 N4 O4



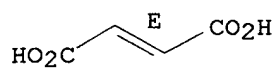
CM . 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

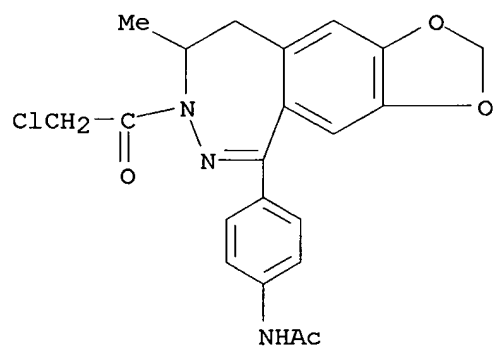
Double bond geometry as shown.



RN 143692-32-4 CAPLUS

CN Acetamide,

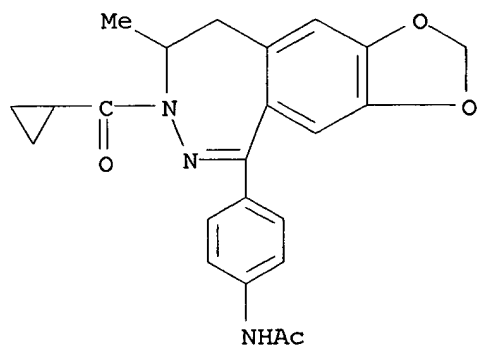
N-[4-[7-(chloroacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143692-34-6 CAPLUS

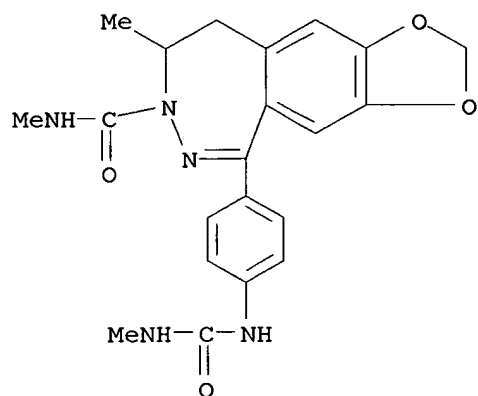
CN Acetamide, N-[4-[7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-7H-1,3-

dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



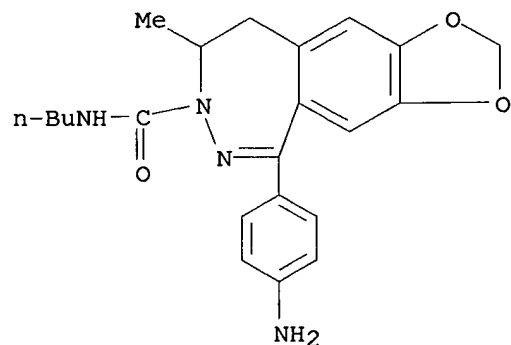
RN 143692-35-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-[4-[(methylamino)carbonyl]amino]phenyl]-
(9CI)
(CA INDEX NAME)

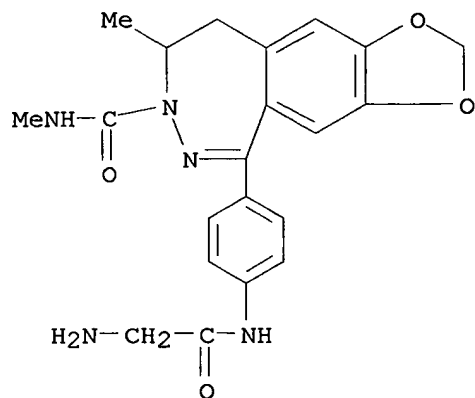


RN 143692-36-8 CAPLUS

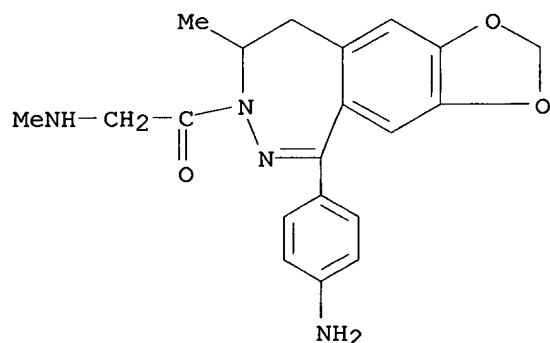
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-N-butyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



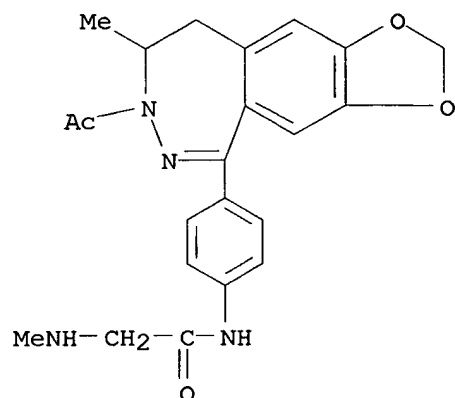
RN 143692-37-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-[(aminoacetyl)amino]phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA
 INDEX NAME)



RN 143692-38-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-[(methylamino)acetyl]- (9CI) (CA INDEX NAME)

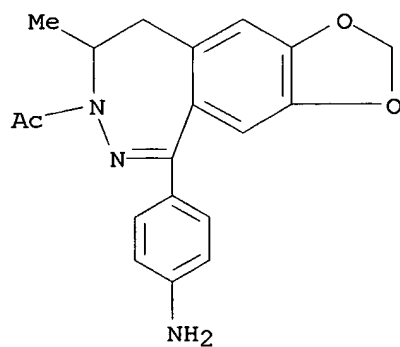


RN 143692-39-1 CAPLUS
 CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]-2-(methylamino)- (9CI) (CA INDEX NAME)



RN 143692-40-4 CAPLUS

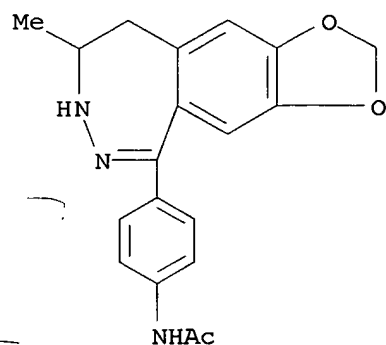
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 143692-41-5 CAPLUS

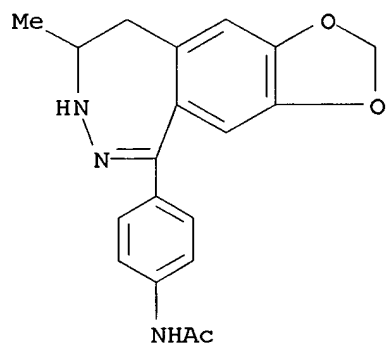
CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143692-42-6 CAPLUS
 CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

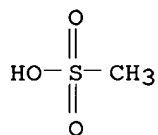
CM 1

CRN 143692-41-5
 CMF C19 H19 N3 O3

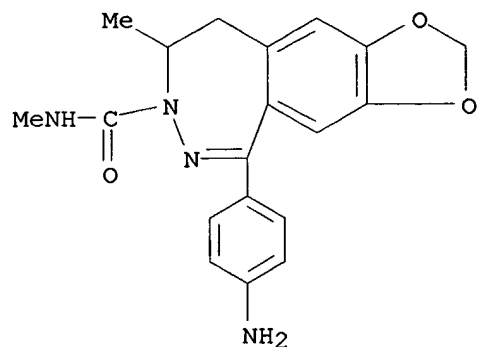


CM 2

CRN 75-75-2
 CMF C H4 O3 S



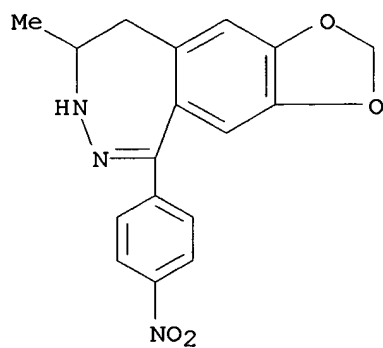
RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



⊙ HCl

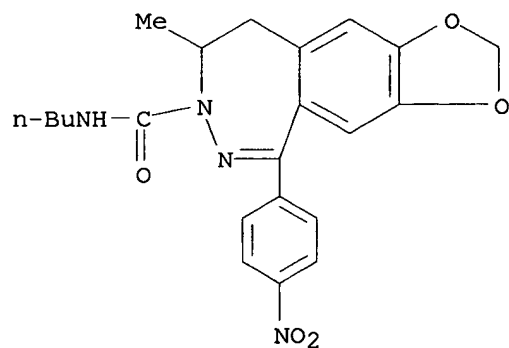
RN 143692-49-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



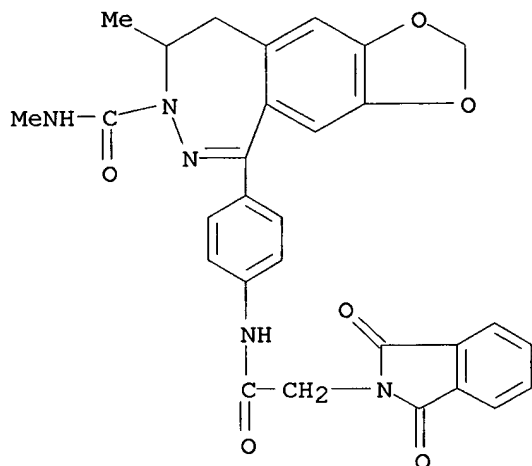
RN 143692-51-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, N-butyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

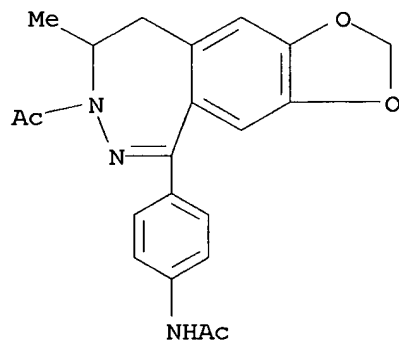


RN 143692-52-8 CAPLUS

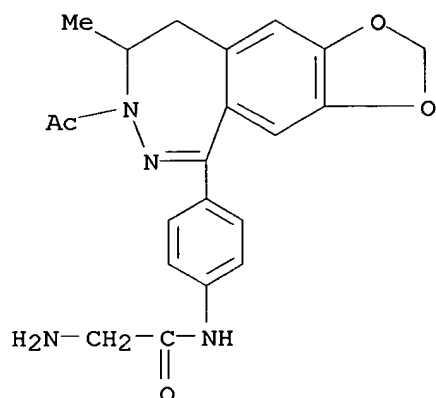
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-[4-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]amino]phenyl]-8,9-
dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 143692-53-9 CAPLUS
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

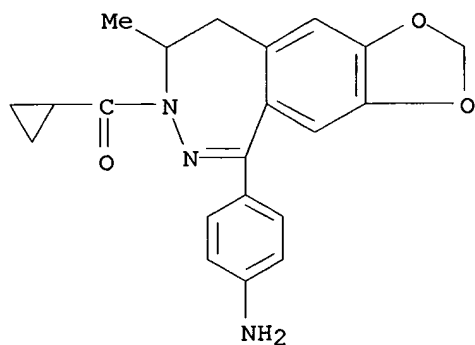


RN 143692-54-0 CAPLUS
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-amino- (9CI) (CA INDEX NAME)



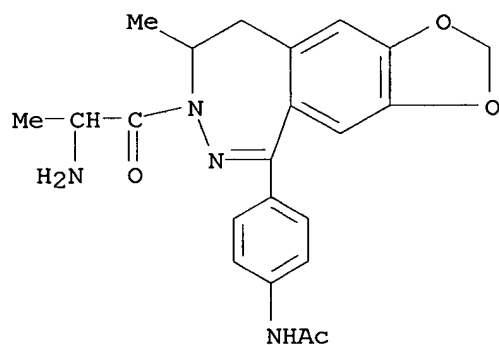
RN 143715-44-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



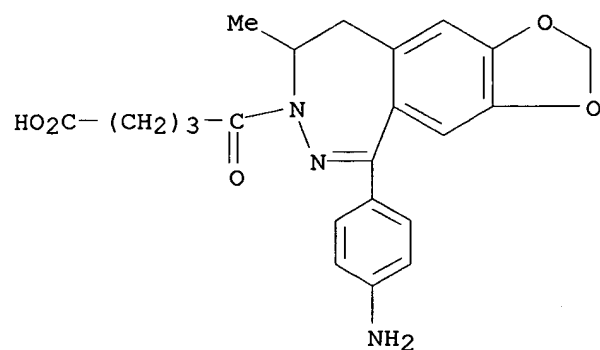
RN 143715-46-2 CAPLUS

CN Acetamide, N-[4-[7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143715-47-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-.delta.-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

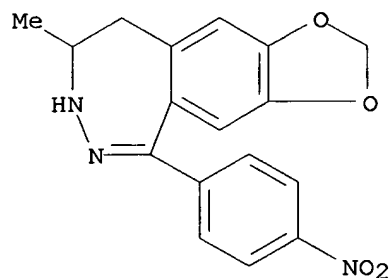


● HCl

RN 161832-62-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (-)- (9CI) (CA INDEX NAME)

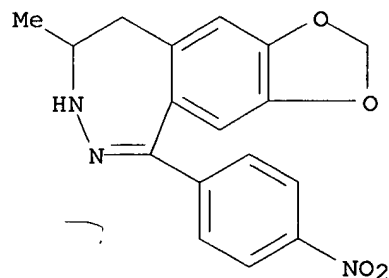
Rotation (-).



RN 161832-63-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

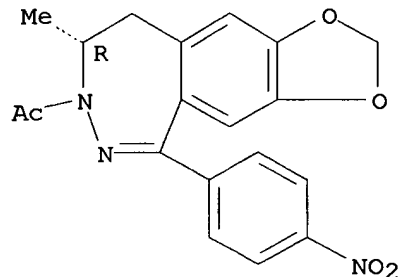


RN 161832-64-0 CAPLUS

CN ~~7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,~~
7-acetyl-8,9-dihydro-8-methyl-5-

(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

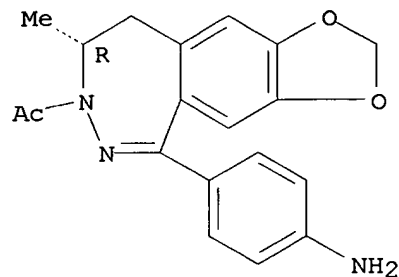
Absolute stereochemistry. Rotation (-).



RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

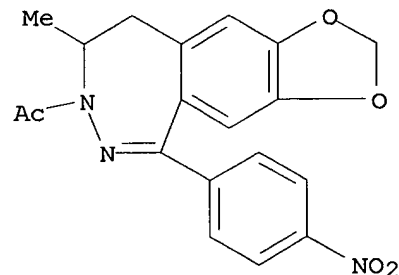
Absolute stereochemistry.



RN 161832-66-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

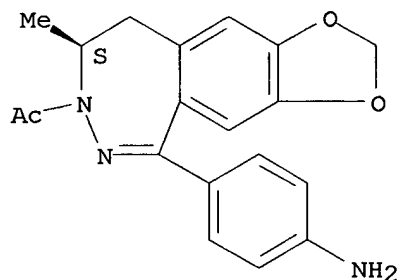
Rotation (+).



RN 161832-67-3 CAPLUS

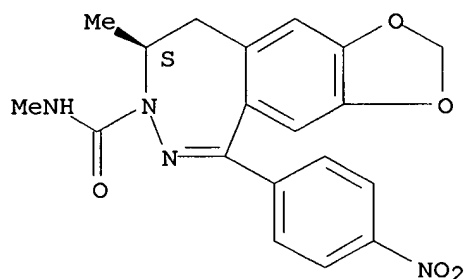
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



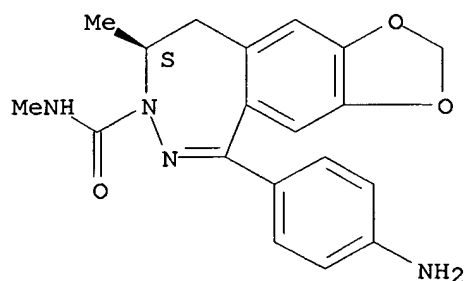
RN 161832-68-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



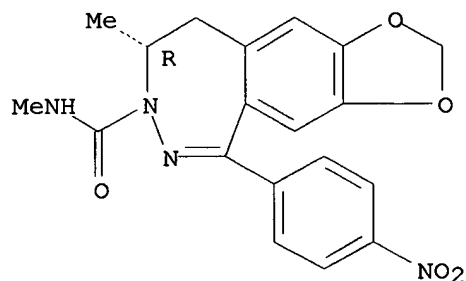
RN 161832-69-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 161832-70-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)- (9CI) (CA INDEX NAME)

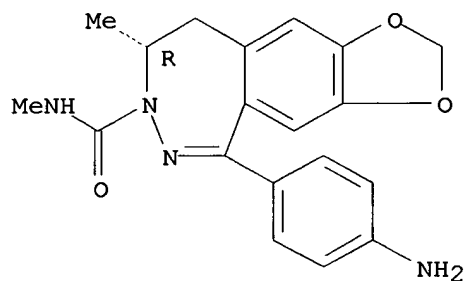
Absolute stereochemistry.



RN 161832-71-9 CAPLUS

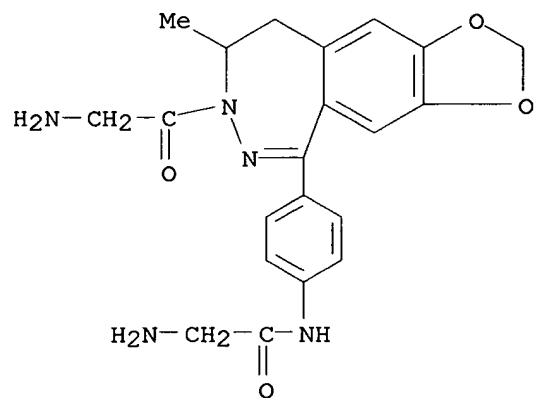
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



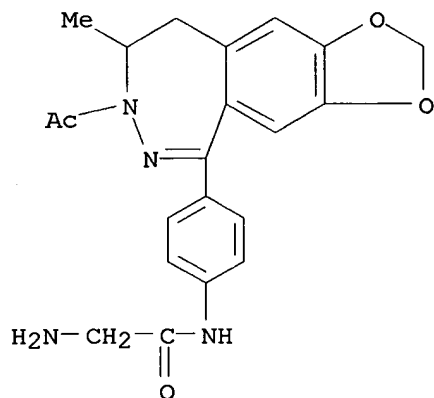
RN 173087-57-5 CAPLUS

CN Acetamide, 2-amino-N-[4-[7-(aminoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 173087-59-7 CAPLUS

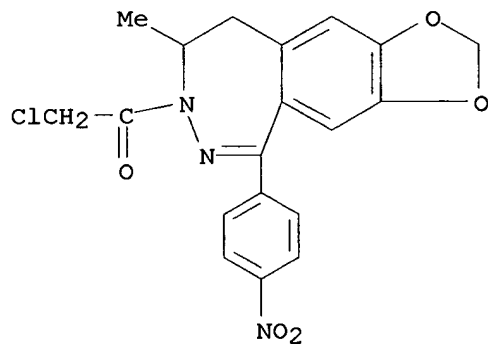
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 173087-61-1 CAPLUS

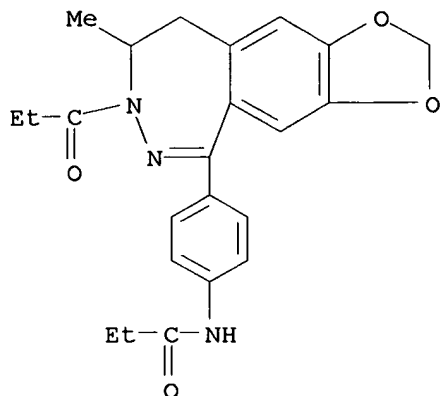
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(chloroacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 192524-33-7 CAPLUS

CN Propanamide,

N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 114460-09-2P 143692-44-8P 143692-45-9P
143692-46-0P 143692-47-1P 143692-50-6P
173087-60-0P 173087-62-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-acyl-2,3-benzodiazepine derivs. for treating acute and
chronic neurodegenerative disorders)

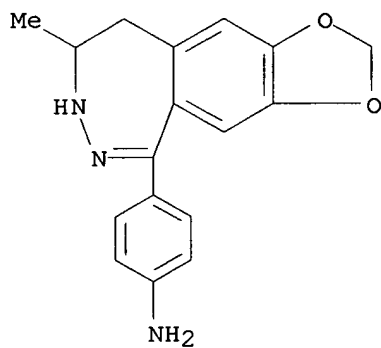
RN 114460-09-2 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 114460-08-1

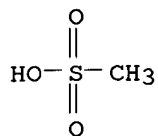
CMF C17 H17 N3 O2



CM 2

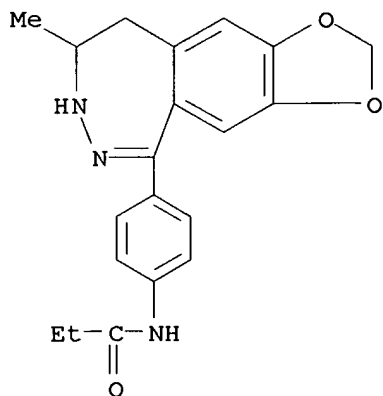
CRN 75-75-2

CMF C H4 O3 S



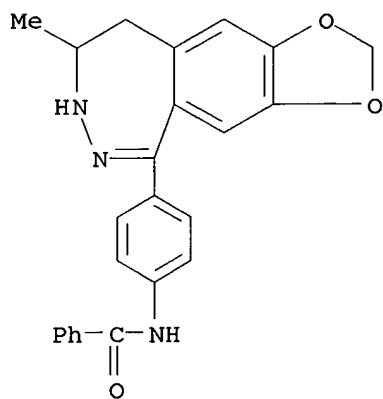
RN 143692-44-8 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



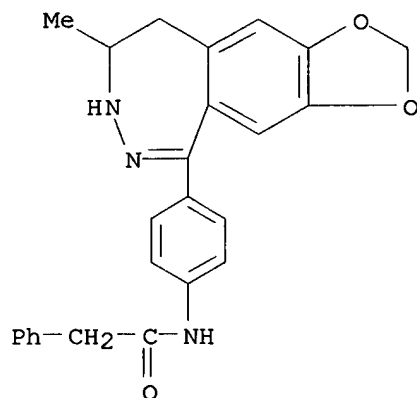
RN 143692-45-9 CAPLUS

CN Benzamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



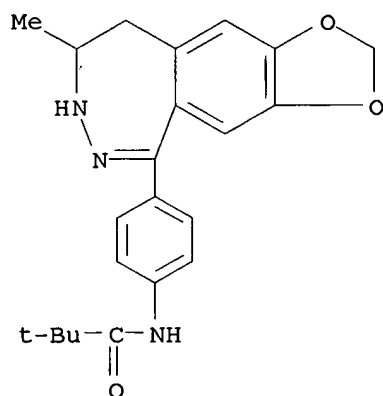
RN 143692-46-0 CAPLUS

CN Benzeneacetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



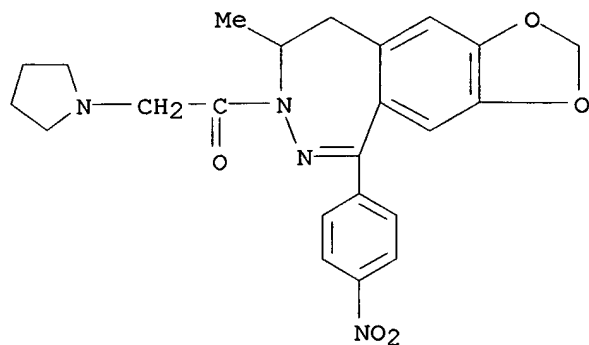
RN 143692-47-1 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 143692-50-6 CAPLUS

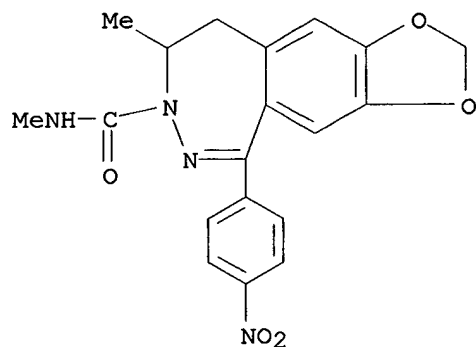
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



RN 173087-60-0 CAPLUS

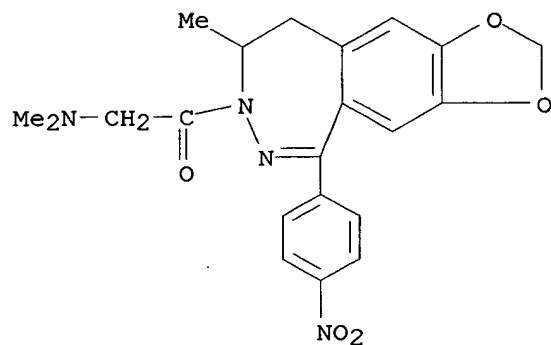
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,

8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

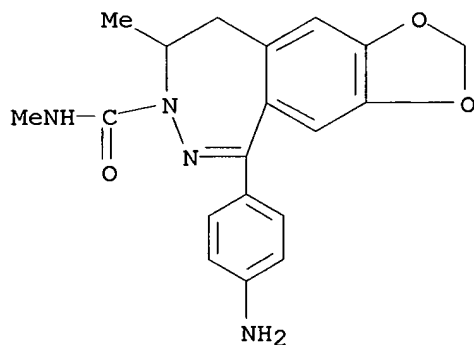


RN 173087-62-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(dimethylamino)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

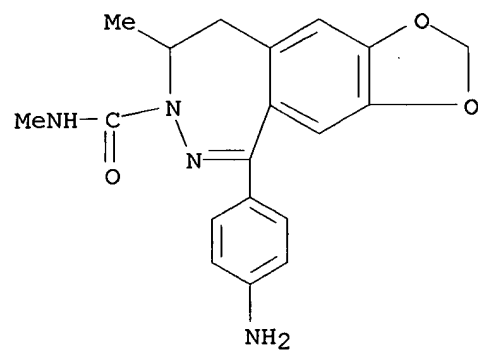


L23 ANSWER 30 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1997:420268 CAPLUS
 DN 127:130823
 TI GYKI 53655, a 2,3-benzodiazepine, non-competitively protects cultured neurons against AMPA toxicity
 AU Kovacs, Attila D.; Szabo, Geza
 CS Department of Biochemistry, EGIS Biological Laboratories, EGIS Pharmaceuticals Ltd., P.O. Box 100, Budapest, H-1475/10, Hung.
 SO Eur. J. Pharmacol. (1997), 331(1), 93-96
 CODEN: EJPHAZ; ISSN: 0014-2999
 PB Elsevier
 DT Journal
 LA English
 AB The nature of the neuroprotection by the competitive .alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA) receptor antagonist, 6-nitro-7-sulfamoyl-benzo[f]quinoxaline-2,3-dione (NBQX), and the non-competitive AMPA receptor antagonist, 1-(4-aminophenyl)-3-methylcarbamoyl-4-methyl-3,4-dihydro-7,8-methylenedioxy-5H-2,3-benzodiazepine (GYKI 53655), was investigated in mature telencephalic neuron cultures of the rat. NBQX protected cultured neurons against AMPA-induced delayed toxicity in a competitive manner: the AMPA concn.-response curve was shifted to the right in parallel and concn. dependently. In contrast, GYKI 53655 decreased the maximal neurotoxic effect of AMPA considerably but without affecting the EC50 for AMPA toxicity, which indicated the non-competitive mode of its action. Thus
 we found a clear relation between the nature of in vitro neuroprotection and the mode of AMPA channel block.
 IT **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (GYKI 53655 and NBQX neuroprotection against AMPA neurotoxicity)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



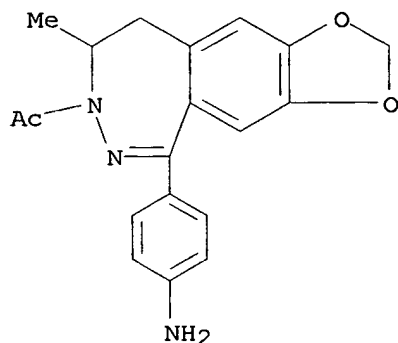
© HCl

123 ANSWER 31 OF 62 CAPLUS COPYRIGHT 2001 ACS
 1997:242666 CAPLUS
 DN 126:312536
 TI Activation and desensitization of hippocampal kainate receptors
 AU Wilding, Timothy J.; Huettner, James E.
 CS Department of Cell Biology and Physiology, Washington University School
 of
 Medicine, St. Louis, MO, 63110, USA
 SO J. Neurosci. (1997), 17(8), 2713-2721
 CODEN: JNRSDS; ISSN: 0270-6474
 PB Society for Neuroscience
 DT Journal
 LA English
 AB We have used whole-cell recordings and rapid agonist applications to
 rat characterize the physiol. properties of kainate receptors expressed by
 AMPA hippocampal neurons in dissoc. cell culture. Activation of NMDA and
 receptors was prevented by inclusion of the noncompetitive antagonists
 MK-801 (2 .mu.M) and GYKI 53655 (100 .mu.M), resp. In the presence of
 these inhibitors, both kainate (EC50 = 23 .mu.M) and glutamate (EC50 =
 310 .mu.M) evoked desensitizing currents. Maximal peak currents for kainate
 with GYKI 53655 were 15.+-.3% as large as in control solns. without GYKI.
 In contrast to currents mediated by AMPA receptors, kainate currents
 recorded in GYKI were blocked potently by lanthanum (IC50 = 2 .mu.M) and
 were desensitized by 1 .mu.M 2S, 4R-4-methylglutamate (SYM 2081).
 Coapplication of either 5 .mu.M AMPA or 500 .mu.M aspartate had little
 effect on responses to kainate, although AMPA alone elicited current at 1
 mM. In most cells, the currents evoked by kainate, glutamate, and SYM
 2081 varied linearly with membrane potential and reversed near 0 mV.
 Kainate elicited substantial current at steady state (.apprx.30% of
 peak),
 whereas responses to glutamate and SYM 2081 desensitized almost
 completely
 within 0.2-2 s. Inhibition produced by a 10 s desensitizing prepulse was
 half-maximal at 0.22 .mu.M for SYM 2081 and 13 .mu.M for glutamate.
 Recovery from desensitization to kainate and glutamate was >80% complete
 within 60 s but was three- to fourfold slower after exposure to SYM 2081.
 Exposure to Con A blocked desensitization of the currents but also
 reduced
 the peak current amplitudes. Collectively, these results confirm that
 kainate-preferring receptors underlie the currents evoked by kainate,
 glutamate, or SYM-2081 in the presence of GYKI 53655; they are not
 mediated by electrogenic transport or by AMPA-preferring receptors that
 are insensitive to GYKI. In contrast to previous work on embryonic
 hippocampal neurons, our results show that the properties of kainate
 receptors expressed by cells from older animals are distinct from those
 displayed by homomeric assemblies of the GluR6 subunit.
 IT 143692-48-2, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BIOL
 (Biological study)
 (activation and desensitization of hippocampal kainate receptors in
 cultured neurons from 2-5-day old rats)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA
 INDEX NAME)

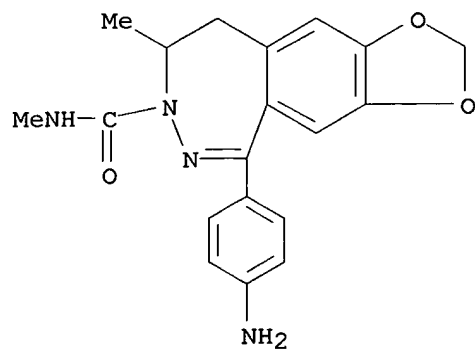


● HCl

123 ANSWER 32 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1997:225394 CAPLUS
 DN 126:287973
 TI Comparison of neuroprotective efficacy of competitive and noncompetitive
 AMPA antagonists in vitro
 AU Kovacs, Attila D.; Szabo, Geza
 CS Dep. Biochemistry, EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.
 SO Environ. Toxicol. Pharmacol. (1997), 3(1), 69-72
 CODEN: ETOPFR; ISSN: 1382-6689
 PB Elsevier
 DT Journal
 LA English
 AB The neuroprotective efficacy of the most potent known competitive AMPA
 (.alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid) antagonist
 [2,3-dihydroxy-6-nitro-7-sulfamoylbenzo(f)quinoxaline (NBQX)] and 3
 recently developed 2,3-benzodiazepine-type noncompetitive AMPA
 antagonists
 (GYKI 52466, 53405 and 53655) was investigated in primary cultures of rat
 telencephalic neurons. NBQX protected cultured neurons against AMPA (20
 .mu.M for 21-23 h)-induced toxicity, with an EC50 of 0.5 .mu.M. In the
 same test GYKI 52466, 53405 and 53655 had EC50 values of 10.6, 9.3 and
 5.1 .mu.M, resp. Thus, the competitive antagonist NBQX was 10-fold more
 effective as a neuroprotectant in vitro than the most potent
 noncompetitive GYKI compd. (GYKI 53655).
 IT **143691-37-6**, GYKI 53405 **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (neurotoxicity from AMPA antagonism by)
 RN 143691-37-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
 dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA
 INDEX NAME)



● HCl

123 ANSWER 33 OF 62 CAPLUS COPYRIGHT 2001 ACS

1997:199528 CAPLUS

DN 126:288383

TI Activity of 2,3-benzodiazepines at native rat and recombinant human glutamate receptors in vitro: stereospecificity and selectivity profiles
AU Bleakman, David; Ballyk, Barbara A.; Schoepp, Darryle D.; Palmer, Andrew J.; Bath, Catherine P.; Sharpe, Erica F.; Woolley, Marie L.; Bufton, Hywel

R.; Kamboj, Rajender K.; et al.

CS Eli Lilly and Co., Lilly Research Centre, Erl Wood Manor, Windlesham, GU20

6PH, UK

SO Neuropharmacology (1997), Volume Date 1996, 35(12), 1689-1702

CODEN: NEPHBW; ISSN: 0028-3908

PB Elsevier

DT Journal

LA English

AB The activity and selectivity of the glutamate receptor antagonists belonging to the 2,3-benzodiazepine class of compds. have been examd. at recombinant human non-NMDA glutamate receptors expressed in HEK293 cells and on native rat NMDA and non-NMDA receptors in vitro. The racemic 2,3-benzodiazepines GYKI52466, LY293606 (GYKI53405) and LY300168 (GYKI53655) inhibited AMPA (10 μ M)-mediated responses in recombinant human GluR1 receptors expressed in HEK293 cells with approx. IC50 values of 18 μ M, 24 μ M and 6 μ M, resp., and AMPA (10 μ M) responses

in recombinant human GluR4 expressing HEK293 cells with approx. IC50 values of 22 μ M, 28 μ M and 5 μ M, resp. GYKI 52466, LY293606 and LY300168 were non-competitive antagonists of AMPA receptor-mediated responses in acutely isolated rat cerebellar Purkinje neurons with approx.

IC50 values of 10 μ M, 8 μ M and 1.5 μ M, resp. The activity of racemic compds. LY293606 and LY300168 was established to reside in the

(-) isomer of each compd. At a concn. of 100 μ M, GYKI52466, LY293606 and LY300168 produced <30% inhibition of kainate-activated currents evoked in HEK293 cells expressing either human homomeric GluR5 or GluR6 receptors

or heteromeric GluR6+KA2 kainate receptors. The activity of the 2,3-benzodiazepines at 100 μ M was weak at kainate receptors, but was stereoselective. Similar levels of inhibition were obsd. for kainate-induced currents in dorsal root ganglion neurons. Intact tissue preps. were also used to examine the stereoselective actions of the 2,3-benzodiazepines. In the cortical wedge prepn., the active isomer of LY300168, LY303070, produced a non-competitive antagonism of AMPA-evoked depolarizations with smaller changes in depolarizations induced by kainate

and no effect on NMDA-dependent depolarizations. LY303070 was also effective in preventing 30 μ M AMPA-induced depolarizations in isolated spinal cord dorsal roots with an approx. IC50 value of 1 μ M. Synaptic transmission in the hemisectioned spinal cord prepn. was stereoselectively antagonized by the active isomers of LY300168 and LY293606. In summary, these results indicate that 2,3-benzodiazepines are potent, selective and stereospecific antagonists of the AMPA subtype of the non-NMDA glutamate receptor.

IT 143691-37-6, LY 293606 143692-18-6, LY 300168

161832-65-1, LY 300164 161832-67-3, LY 300165

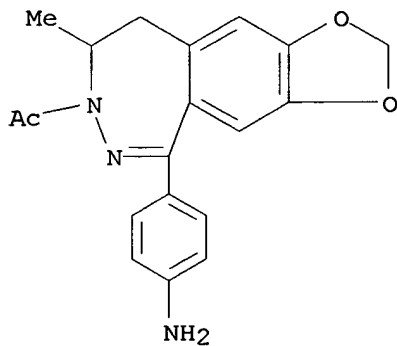
161832-69-5, LY 303071 161832-71-9, LY 303070

RL: BAC (Biological activity or effector, except adverse); BIOL

(Biological study)
(stereospecificity and selectivity profiles of activity of
benzodiazepines at native rat and recombinant human glutamate
receptors
in vitro)

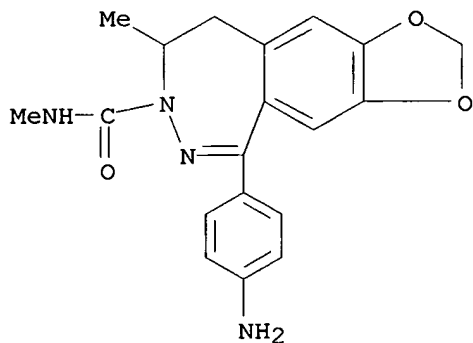
RN 143691-37-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143692-18-6 CAPLUS

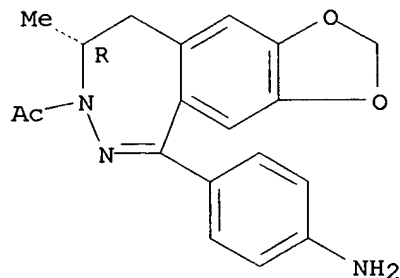
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

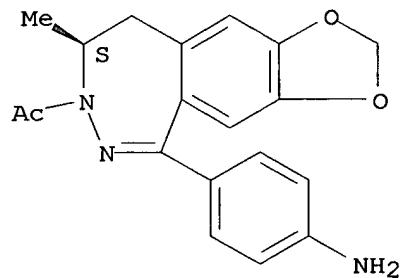
Absolute stereochemistry.



RN 161832-67-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

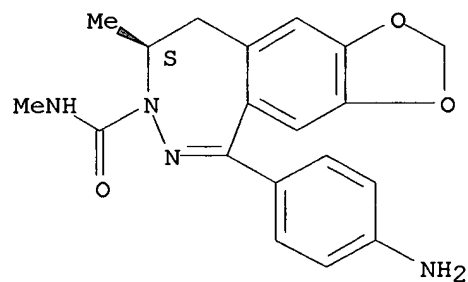
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

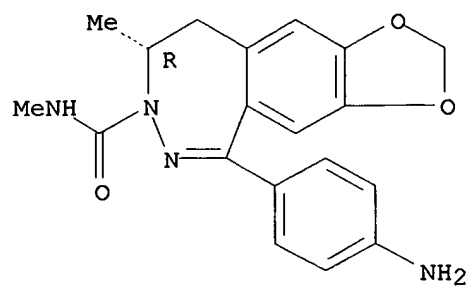
Absolute stereochemistry. Rotation (+).



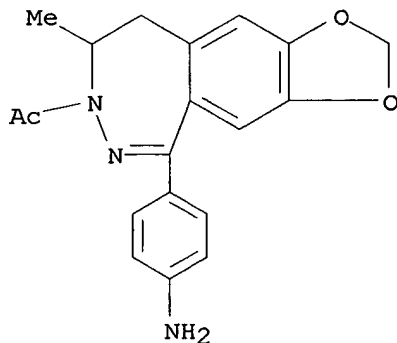
RN 161832-71-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

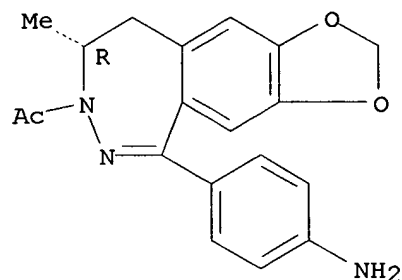


300
 53861 of 62
 123 ANSWER 34 OF 62 CAPLUS COPYRIGHT 2001 ACS
 1997:199527 CAPLUS
 DN 126:287923
 TI Stereoselective effects of 2,3-benzodiazepines in vivo: electrophysiology and neuroprotection studies
 AU Lodge, David; Bond, Ann; O'Neill, Michael J.; Hicks, Caroline A.; Jones, Martyn G.
 CS Lilly Research Centre, Erl Wood Manor, Windlesham, GU20 6PH, UK
 SO Neuropharmacology (1997), Volume Date 1996, 35(12), 1681-1688
 CODEN: NEPHBW; ISSN: 0028-3908
 PB Elsevier
 DT Journal
 LA English
 AB The stereoselectivity and potency of 3N-substituted 2,3-benzodiazepines were examd. in vivo against excitation of spinal neurons induced by electrophoretic ejection of N-methyl-D-aspartate (NMDA), .alpha.-amino-3-hydroxy-5-methylisoxazole-4-propionate (AMPA) and kainate in anesthetized rats. AMPA receptor antagonist activity resided in the (-) isomers, LY300164 and LY303070, which were effective given electrophoretically, i.v. (2.5-5 mg/kg) or orally (10 mg/kg). The same stereoselectivity was obsd. in neuroprotection studies. Thus, systemic administration of the (-) isomer, but not the (+) isomer, of these 2,3-benzodiazepines before or immediately after bilateral carotid artery occlusion in the gerbil was neuroprotective. For example, 10 mg/kg of LY300164 i.p. or orally provided survival of .ltoreq.25% of hippocampal CA1 neurons.
 IT 143691-37-6, LY 293606 161832-65-1, Ly300164
 161832-67-3, LY 300165 161832-69-5, LY 303071
 161832-71-9, LY 303070
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (stereoselective effects of benzodiazepines in vivo in electrophysiol. and neuroprotection studies in relation to AMPA receptor antagonist activity)
 RN 143691-37-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

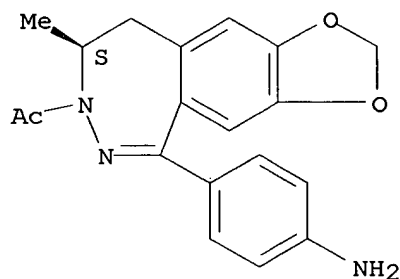
Absolute stereochemistry.



RN 161832-67-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

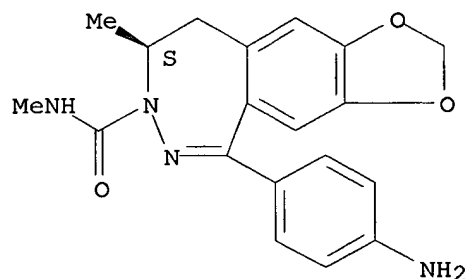
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

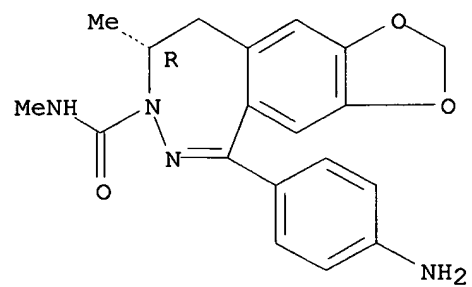
Absolute stereochemistry. Rotation (+).



RN 161832-71-9 CAPLUS

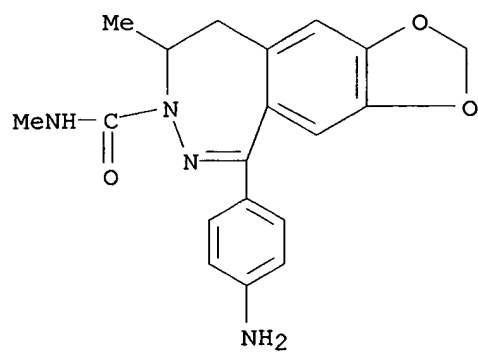
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L23 ANSWER 35 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1997:183636 CAPLUS
 DN 126:220635
 TI Pharmacology of directionally selective ganglion cells in the rabbit retina
 AU Kittila, Christopher A.; Massey, Stephen C.
 CS Department of Ophthalmology and Visual Science, University of Texas Medical School, Houston, TX, 77030, USA
 SO J. Neurophysiol. (1997), 77(2), 675-689
 CODEN: JONEA4; ISSN: 0022-3077
 PB American Physiological Society
 DT Journal
 LA English
 AB In this report we describe extracellular recordings made from ON and ON-OFF directionally selective (DS) ganglion cells in the rabbit retina during perfusion with agonists and antagonists to acetylcholine (ACh), glutamate, and .gamma.-aminobutyric acid (GABA). Nicotinic ACh agonists strongly excited DS ganglion cell in a dose-dependent manner. Dose-response curves showed a wide range of potencies, with (.+-.)-exo-2-(6-chloro-3-pyridinyl)-7-azabicyclo[2.2.1] heptane dihydrochloride (epibatidine) .mchgt. nicotine > 1,1-dimethyl-4-phenylpiperazinium iodide = carbachol. In addn., the mixed cholinergic agonist carbachol produced a small excitation, mediated by muscarinic receptors, that could be blocked by atropine. The specific nicotinic antagonists hexamethonium bromide (100 .mu.M), dihydro-.beta.-erythroidine (50 .mu.M), mecamylamine (50 .mu.M), and tubocurarine (50 .mu.M) blocked the responses to nicotinic agonists. In addn., nicotinic antagonists reduced the light-driven input to DS ganglion cells by .apprx.50%. However, attenuated responses were still DS. We deduce that cholinergic input is not required for directional selectivity. These expts. reveal the importance of bipolar cell input mediated by glutamate. N-methyl-D-aspartic acid (NMDA) excited DS ganglion cells, but NMDA antagonists did not abolish directional selectivity. However, a combined cholinergic and NMDA blockade reduced the responses of DS ganglion cells by >90%. This indicates that most of the noncholinergic excitatory input appears to be mediated by NMDA receptors, with a small residual made up by .alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA)/kainate (KA) receptors. Responses to AMPA and KA were highly variable and often evoked a mixt. of excitation and inhibition due to the release of ACh and GABA. Under cholinergic blockade AMPA/KA elicited a strong GABA-mediated inhibition in DS ganglion cells. AMPA/KA antagonists, such as 2,3-dihydroxy-6-nitro-7-sulfamoylbenzo(F)quinoxaline dione and GYKI-53655, promoted null responses and abolished directional selectivity due to the blockade of GABA release. We conclude that GABA release, mediated by non-NMDA glutamate receptors, is an essential part of the mechanism of directional selectivity. The source of the GABA is unknown, but may arise from starburst amacrine cells.
 IT 143692-48-2, GYKI-53655
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (pharmacol. of directionally selective ganglion cells in the rabbit retina)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- monohydrochloride (9CI) (CA

INDEX NAME)



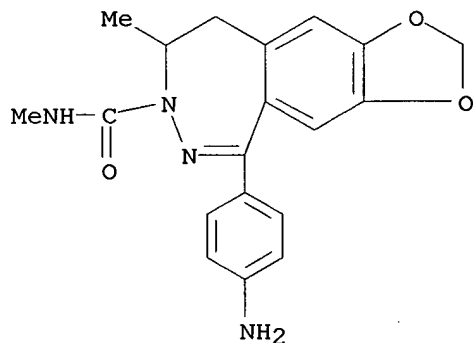
● HCl

see 61 of 62

ANSWER 36 OF 62 CAPLUS COPYRIGHT 2001 ACS
 1997:13664 CAPLUS
 126:113223
 Glutamate receptors of the kainate type and synaptic transmission
 Lerma, Juan; Morales, Miguel; Vicente, Maria A.; Herreras, Oscar
 Dep. Neural Plasticity, Inst. Cajal, Madrid, 28002, Spain
 Trends Neurosci. (1997), 20(1), 9-12
 CODEN: TNSCDR; ISSN: 0166-2236
 Elsevier
 Journal; General Review
 English
 A review, with 45 refs. Glutamic acid is an important excitatory neurotransmitter in the mammalian CNS. It has been established that synaptic transmission is mediated mostly by the ionotropic glutamate receptors AMPA and NMDA, with fast and slow kinetics, resp. The recent demonstration in hippocampal neurons of a class of glutamate receptors that are activated by kainate and not by AMPA (i.e., kainate-selective receptors) opens the possibility that receptors, others than those of the AMPA type, might also be involved in fast neurotransmission. The lack of specific pharmacol. tools to dissect out AMPA from kainate receptors has hampered the functional study of kainate receptors. However, the recent finding that a 2,3-benzodiazepine (GYKI 53655) behaves as a selective antagonist of AMPA receptors allows us to address the question of the role of rapidly inactivating kainate receptors in synaptic transmission.

IT **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (glutamate receptors of kainate type and synaptic transmission)

RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



⊙ HCl

see 29 962
 53
 10
 L29 ANSWER 37 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1996:460121 CAPLUS

DN 125:151280

TI Chiral separation of optically active 2,3-benzodiazepine derivatives by high performance liquid chromatography

AU Bidlo-Igloy, Margit

CS Inst. Drug Res., Budapest, H-1325, Hung.

SO J. Pharm. Biomed. Anal. (1996), 14(8-10), 1389-1394

CODEN: JPBADA; ISSN: 0731-7085

DT Journal

LA English

AB Cellulose derivs. (Chiralcel OF and OJ) were used for the sepn. of 4,5-dihydro-2,3-benzodiazepines by HPLC. A higher degree of resoln. was obtained on the Chiralcel OF column if the mol contained an arom. NO2 or NH2 group.

IT 114460-08-1 143691-37-6 143692-18-6

143692-41-5 143692-49-3 143692-53-9

161832-62-8 161832-63-9 161832-65-1

161832-67-3 161832-69-5 161832-71-9

161832-72-0 161832-73-1 164933-48-6 — 51

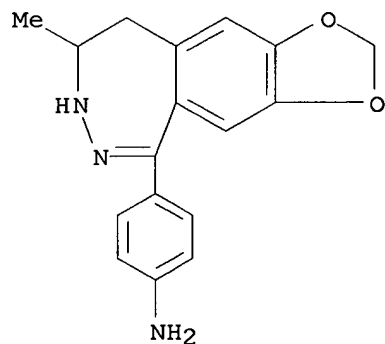
179862-76-1 179862-77-2 179862-79-4

RL: ANT (Analyte); ANST (Analytical study)

(chiral sepn. of benzodiazepine derivs. by HPLC)

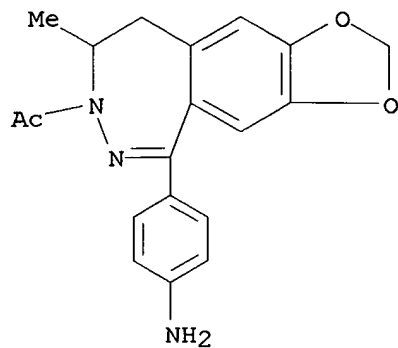
RN 114460-08-1 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)

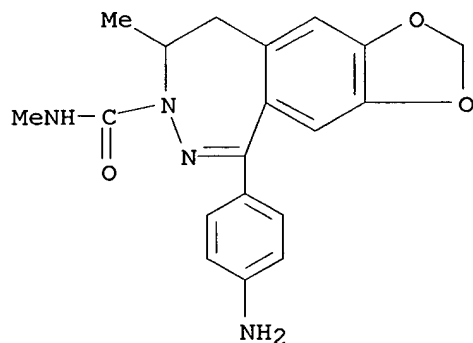


RN 143691-37-6 CAPLUS

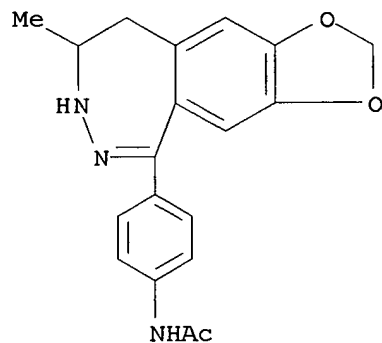
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



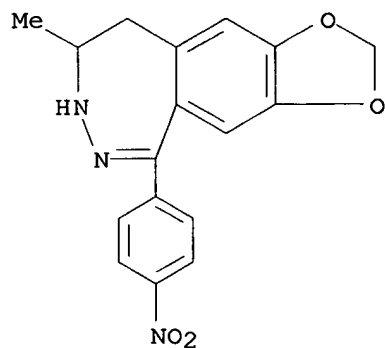
RN 143692-18-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 143692-41-5 CAPLUS
 CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

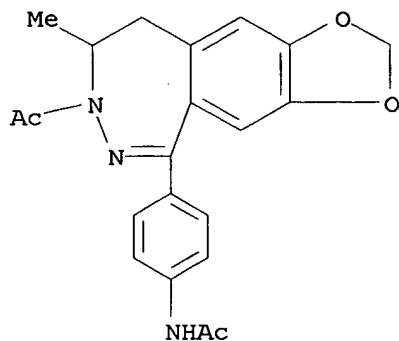


RN 143692-49-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-
 nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-53-9 CAPLUS

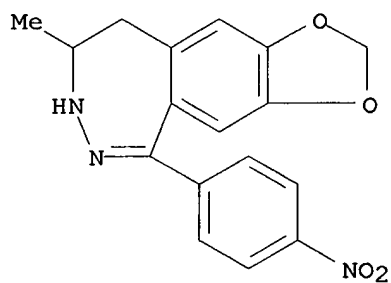
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 161832-62-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (-)- (9CI) (CA INDEX NAME)

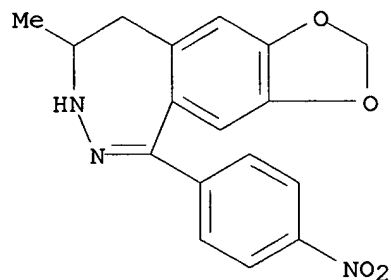
Rotation (-).



RN 161832-63-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

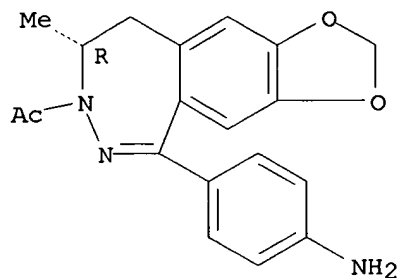
Rotation (+).



RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

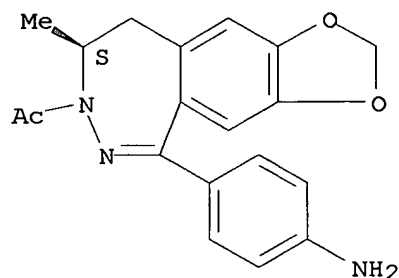
Absolute stereochemistry.



RN 161832-67-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

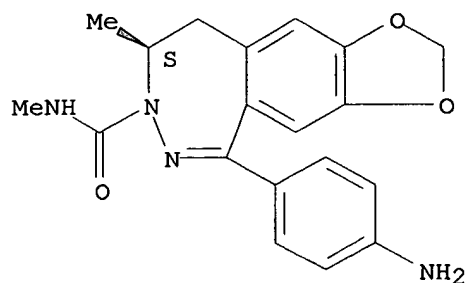
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

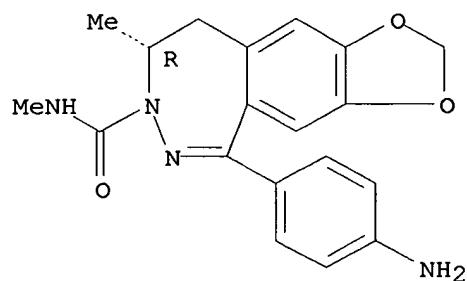
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



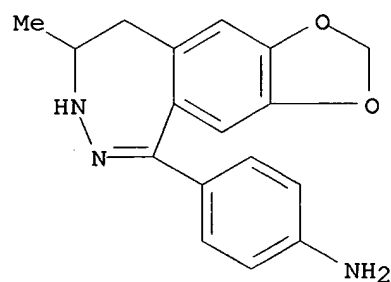
RN 161832-71-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



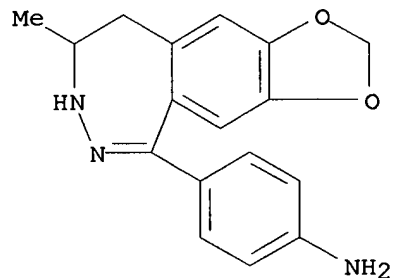
RN 161832-72-0 CAPLUS
 CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 161832-73-1 CAPLUS
 CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, (+)- (9CI) (CA INDEX NAME)

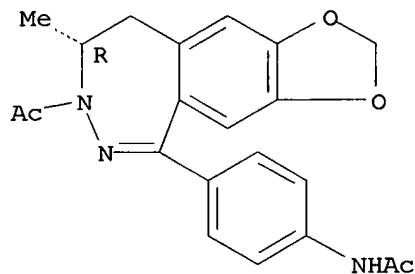
Rotation (+).



RN 164933-48-6 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (R)- (9CI) (CA INDEX NAME)

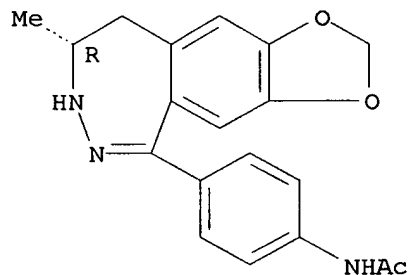
Absolute stereochemistry.



RN 179862-76-1 CAPLUS

CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (R)- (9CI) (CA INDEX NAME)

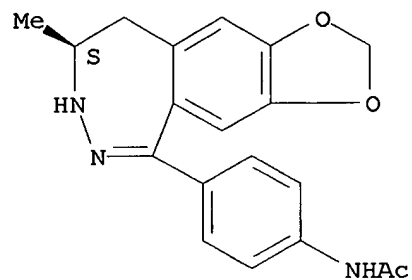
Absolute stereochemistry.



RN 179862-77-2 CAPLUS

CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (S)- (9CI) (CA INDEX NAME)

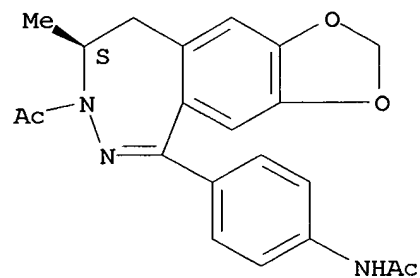
Absolute stereochemistry.



RN 179862-79-4 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



✓
 L23 ANSWER 38 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1996:380208 CAPLUS

DN 125:114647

TI N-acyl-2,3-benzodiazepine derivatives and a method of treating spasms of the skeletal musculature therewith

IN Andrasi, Ferenc; Berzsenyi, Pal; Botka, Peter; Farkas, Sandor; Goldschmidt, Katalin; Hamori, Tamas; Koroesi, Jenő; Moravcsik, Imre; Tarnawa, Istvan

PA Gyogyszerkutató Intézet, Hung.

SO U.S., 22 pp. Cont.-in-part of U.S. Ser. No. 423,380, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5521174	A	19960528	US 1995-477799	19950607
	HU 59684	A2	19920629	HU 1990-8398	19901221
	US 5459137	A	19951017	US 1993-80604	19930621
PRAI	HU 1990-8398	A	19901221		
	US 1991-809361	B2	19911217		
	US 1993-48347	B2	19930415		
	US 1993-80604	A3	19930621		
	US 1995-423380	B2	19950417		

OS MARPAT 125:114647

AB The invention relates to the prepn. of novel N-acyl-2,3-benzodiazepine derivs. and a method of treating spasms of the skeletal musculature.

IT **143692-18-6**, 1-(4-Aminophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143715-44-0**, 1-(4-Aminophenyl)-3-cyclopropanecarbonyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **173087-61-1**, 1-(4-Nitrophenyl)-3-

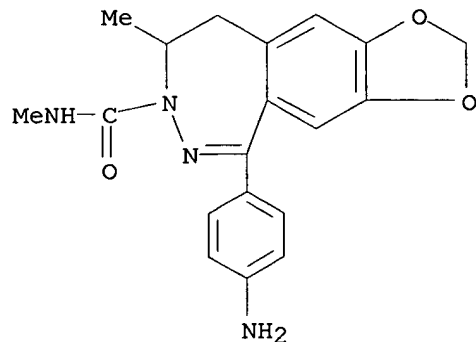
chloroacetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine

RL: RCT (Reactant)

(for prepn. of N-acyl-2,3-benzodiazepines as spasmolytic muscle relaxants)

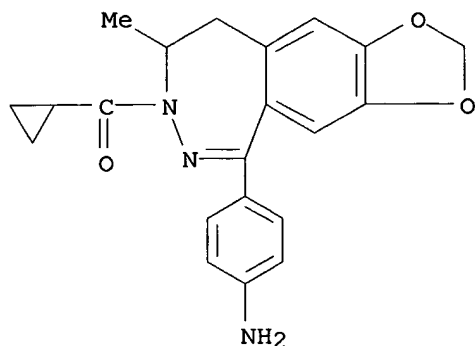
RN 143692-18-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



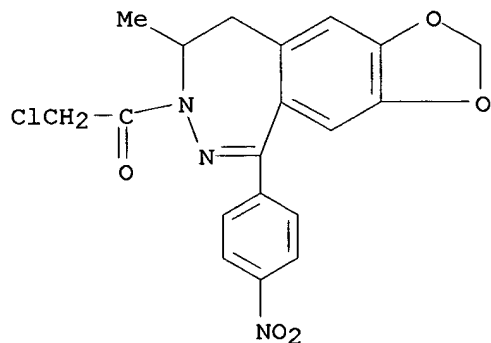
RN 143715-44-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 173087-61-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(chloroacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



IT 143692-43-7P 143692-48-2P 143692-50-6P,

1-(4-Nitrophenyl)-3-(1-pyrrolidinoacetyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-51-7P**, 1-(4-Nitrophenyl)-3-n-butylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-52-8P**, 1-[4-(N-

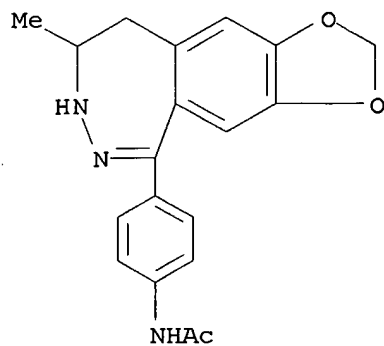
Phthaloylglycylamino)phenyl]-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **173087-60-0P**,

1-(4-Nitrophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **173087-62-2P**, 1-(4-Nitrophenyl)-3-(N,N-dimethylglycyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **179114-31-9P** **179114-32-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(for prepn. of N-acyl-2,3-benzodiazepines as spasmolytic muscle relaxants)

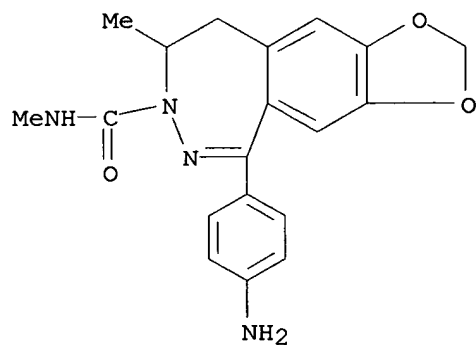
RN 143692-43-7 CAPLUS

CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



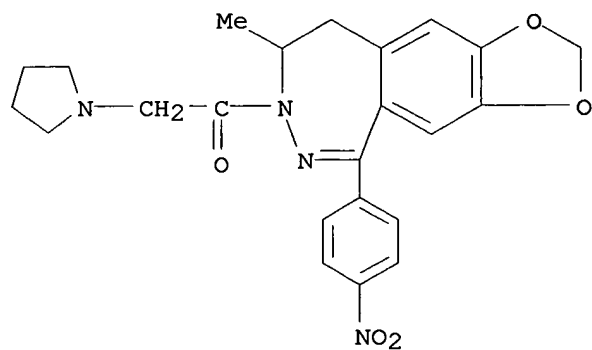
● HCl

RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA
 INDEX NAME)

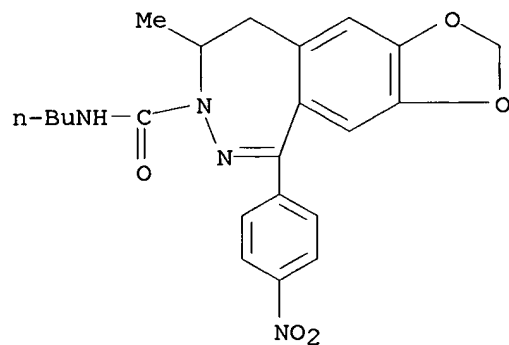


● HCl

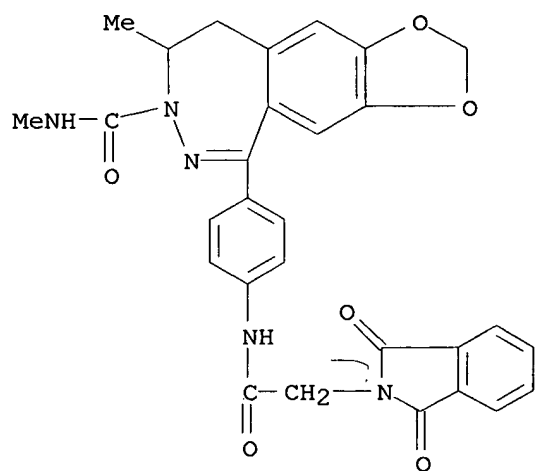
RN 143692-50-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-
 nitrophenyl)-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



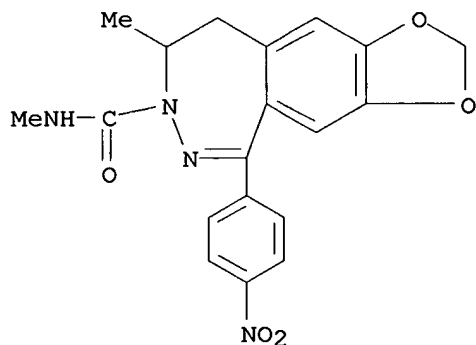
RN 143692-51-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 N-butyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



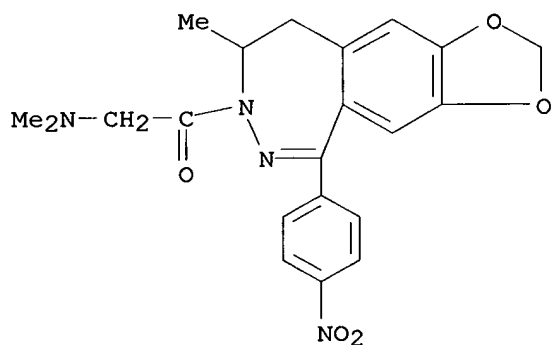
RN 143692-52-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-[[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]amino]phenyl]-8,9-
 dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 173087-60-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



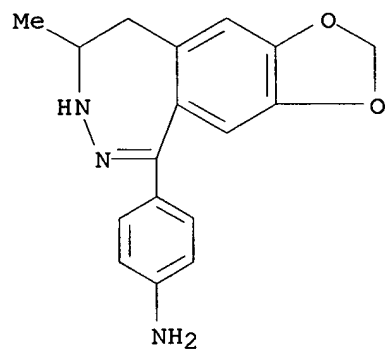
RN 173087-62-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(dimethylamino)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 179114-31-9 CAPLUS
 CN Methanesulfonic acid, trifluoro-, compd. with 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)benzenamine (1:1) (9CI) (CA INDEX NAME)

CM 1

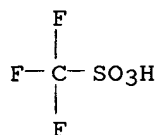
CRN 114460-08-1
 CMF C17 H17 N3 O2



CM 2

CRN 1493-13-6

CMF C H F3 O3 S



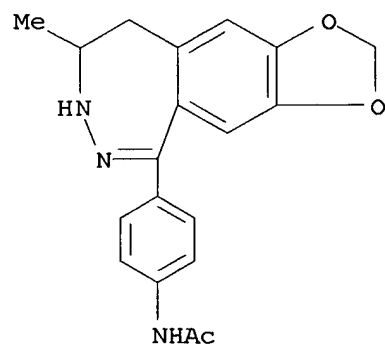
RN 179114-32-0 CAPLUS

CN Methanesulfonic acid, trifluoro-, compd. with N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]acetamide (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 143692-41-5

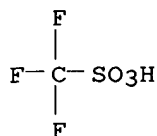
CMF C19 H19 N3 O3



CM 2

CRN 1493-13-6

CMF C H F3 O3 S



IT **143691-23-0P**, 1-(4-Diacetylaminophenyl)-3-acetyl-4-methylene-7,8-methylenedioxy-4,5-dihydro-3H-2,3-benzodiazepine **143691-37-6P**,

1-(4-Aminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143691-38-7P 143691-39-8P**,
 1-(4-Aminophenyl)-3-propionyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143691-40-1P 143691-41-2P**
143691-42-3P 143691-43-4P 143691-44-5P
143691-45-6P 143691-46-7P 143691-47-8P
143691-48-9P 143691-49-0P 143691-50-3P
143691-51-4P 143691-52-5P 143691-53-6P
143691-54-7P 143691-55-8P 143691-56-9P
143691-57-0P 143691-58-1P 143691-59-2P
143691-60-5P 143691-61-6P 143691-62-7P
143691-63-8P, 1-(4-Propionylaminophenyl)-3-propionyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143691-64-9P**
143691-65-0P 143691-66-1P, 1-(4-Propionylaminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine
143691-67-2P, 1-(4-Acetylaminophenyl)-3-propionyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143691-68-3P**
143691-69-4P 143691-70-7P 143691-71-8P
143691-72-9P 143691-73-0P 143691-74-1P
143691-75-2P 143691-76-3P 143691-77-4P
143691-78-5P, 1-(4-Propionylaminophenyl)-3-formyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143691-79-6P**
143691-80-9P, 1-(4-Trifluoroacetylaminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143691-81-0P**
143691-82-1P 143691-83-2P 143691-88-7P
143691-90-1P 143691-94-5P 143691-96-7P
143692-02-8P, 1-(4-Nitrophenyl)-3-glycyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-03-9P**,

1-(4-Nitrophenyl)-3-(.gamma.-aminobutyryl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-04-0P**, 1-(4-Nitrophenyl)-3-(DL-alanyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-05-1P**, 1-(4-Nitrophenyl)-3-(N-phthaloylglycyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-06-2P**,
 1-(4-Nitrophenyl)-3-(N-phthaloyl-.gamma.-aminobutyryl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-07-3P**
143692-08-4P 143692-09-5P 143692-10-8P
143692-11-9P 143692-12-0P 143692-13-1P
143692-14-2P 143692-16-4P, 1-(4-Aminophenyl)-3-(.gamma.-aminobutyryl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine hydrogen fumarate **143692-18-6P**,
 1-(4-Aminophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-19-7P**, 1-(4-Aminophenyl)-3-(1-pyrrolidinocetyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-21-1P**, 1-(4-Aminophenyl)-3-(N,N-dimethylglycyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine hydrogen fumarate **143692-26-6P**,

1-(4-Acetylaminophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-27-7P**, 1-(4-

Chloroacetylaminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-28-8P**, 1-(4-(N,N-Dimethylglycylamino)phenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-29-9P**, 1-(4-(N,N-Diethylglycylamino)phenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-30-2P**, 1-(4-(1-Pyrrolidinoacetylaminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-31-3P**, 1-[4-(1-Pyrrolidinoacetylaminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine hydrogen fumarate **143692-32-4P**, 1-(4-Acetylaminophenyl)-3-chloroacetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-3H-2,3-benzodiazepine **143692-34-6P**, 1-(4-

Acetylaminophenyl)-3-cyclopropanecarbonyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-35-7P**, N1-[4-(3-Methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepin-1-yl)phenyl]-N3-methylurea **143692-36-8P**, 1-(4-Aminophenyl)-3-n-butylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepin-1-yl **143692-37-9P**,

1-(4-Glycylaminophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-38-0P**, 1-(4-Aminophenyl)-3-(N-methylglycyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-39-1P**, 1-[4-(N-Methylglycylamino)phenyl]-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-40-4P**, 1-(4-Aminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine hydrochloride **143692-49-3P** **143692-53-9P**, 1-(4-Acetylaminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143692-54-0P**, 1-(4-Glycylaminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **143715-44-0P** **143715-46-2P** **143715-47-3P**, 1-(4-Aminophenyl)-3-(4-carboxybutyryl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine hydrochloride **161832-62-8P**, (-)-1-(4-Nitrophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-63-9P**, (+)-1-(4-Nitrophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-64-0P**,

(-)-1-(4-Nitrophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-66-2P**, (+)-1-(4-Nitrophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-67-3P**, (-)-1-(4-Aminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-68-4P**, (-)-1-(4-Nitrophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-69-5P**, (+)-1-(4-

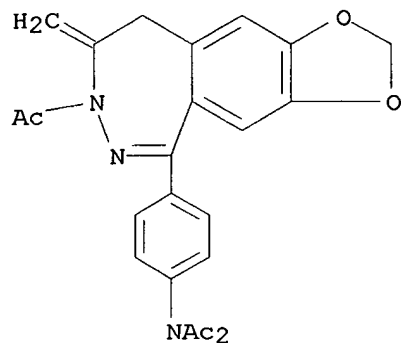
Aminophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-70-8P**, (+)-1-(4-Nitrophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **161832-71-9P**, (-)-1-(4-Aminophenyl)-3-methylcarbamoyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine **173087-57-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. as spasmolytic muscle relaxants)

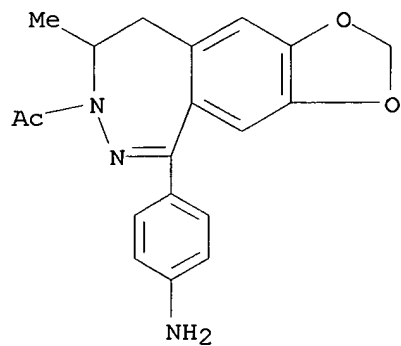
RN 143691-23-0 CAPLUS

CN Acetamide, N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methylene-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



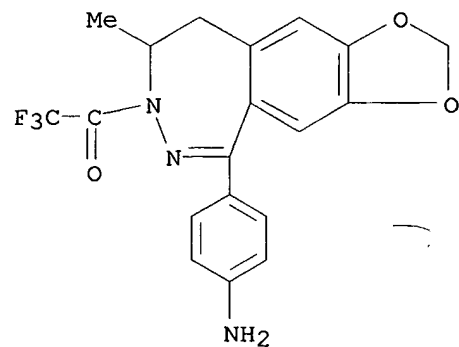
RN 143691-37-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

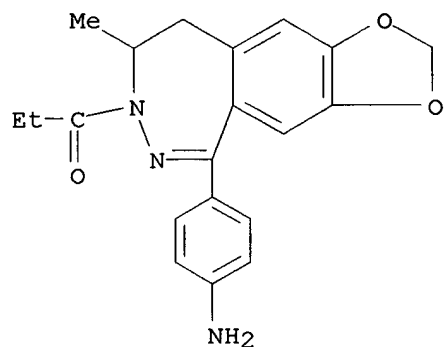


RN 143691-38-7 CAPLUS

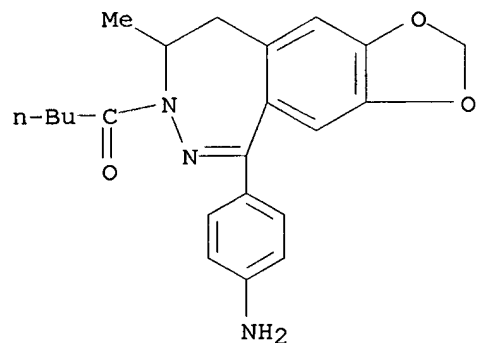
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



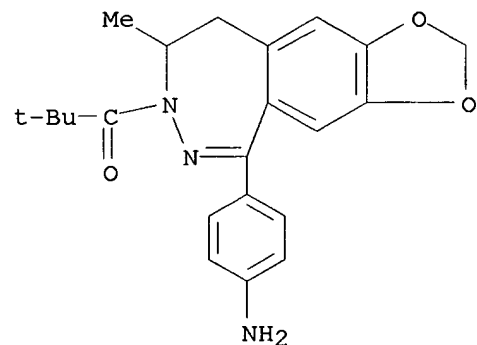
RN 143691-39-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



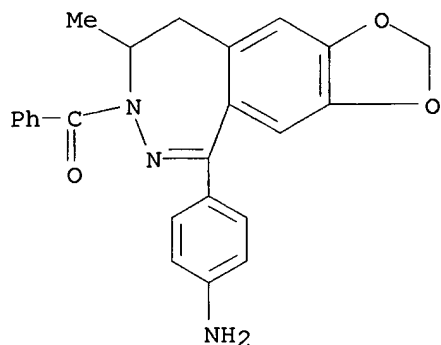
RN 143691-40-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



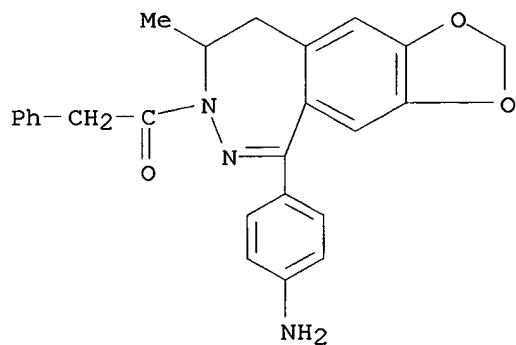
RN 143691-41-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(2,2-
 dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



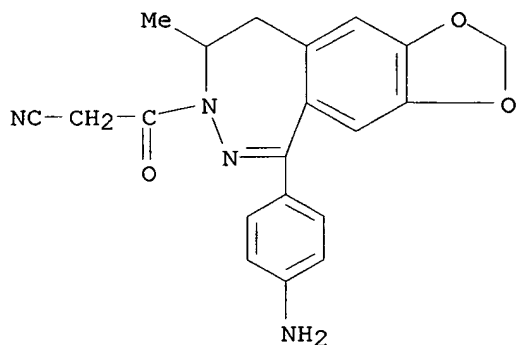
RN 143691-42-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-7-benzoyl-8,9-
 dihydro-8-methyl- (9CI) (CA INDEX NAME)



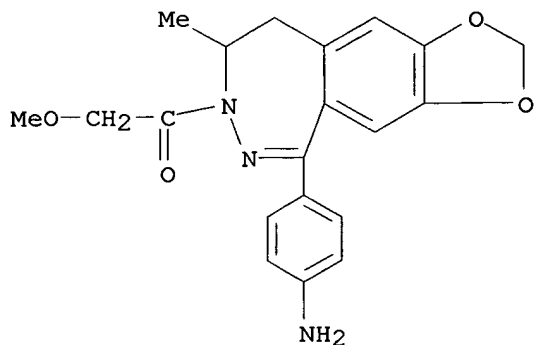
RN 143691-43-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



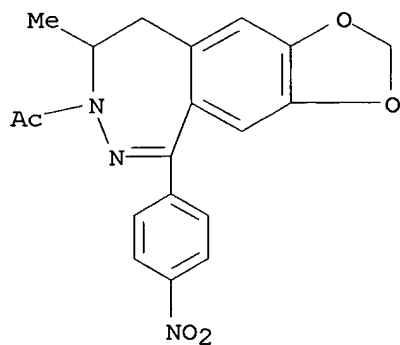
RN 143691-44-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
 (cyanoacetyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



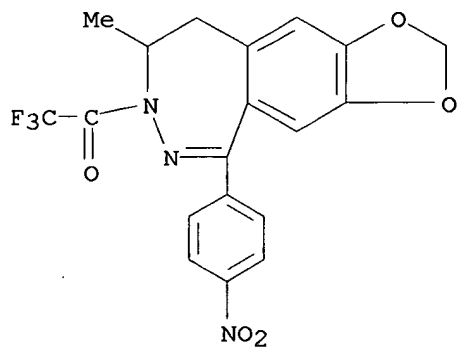
RN 143691-45-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-7-
 (methoxyacetyl)-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-46-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-
 (4-nitrophenyl)- (9CI) (CA INDEX NAME)

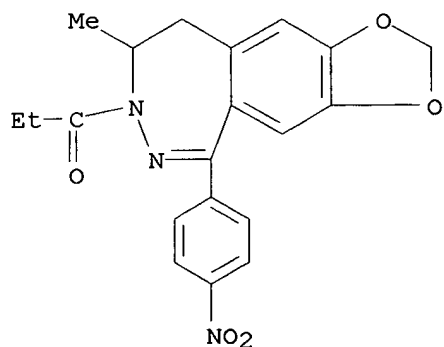


RN 143691-47-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-
 nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



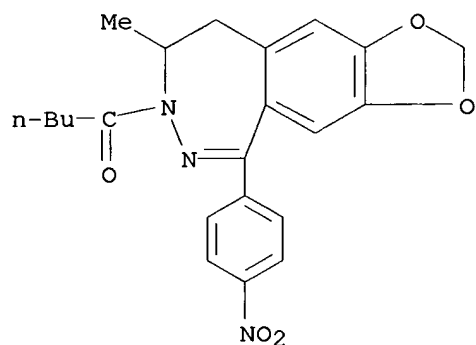
RN 143691-48-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)

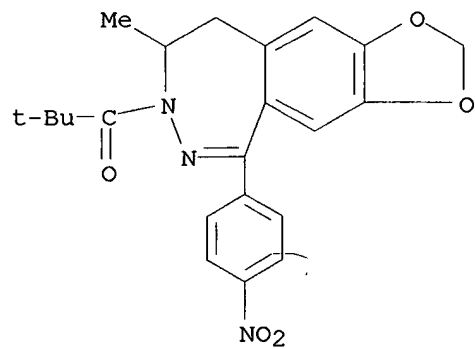


RN 143691-49-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)

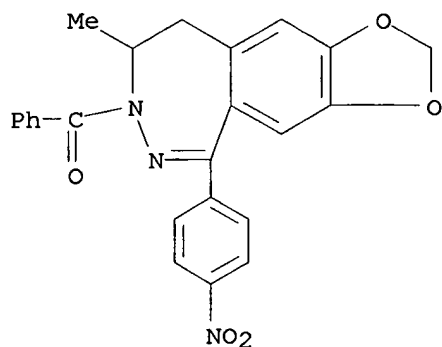


RN 143691-50-3 CAPLUS

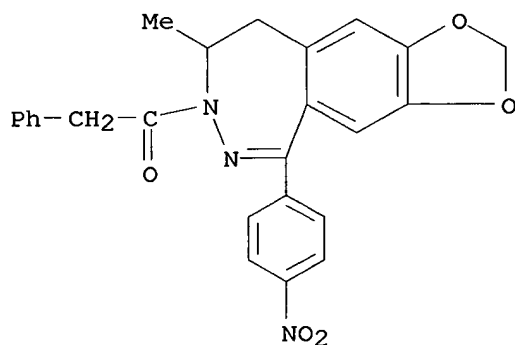
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 143691-51-4 CAPLUS

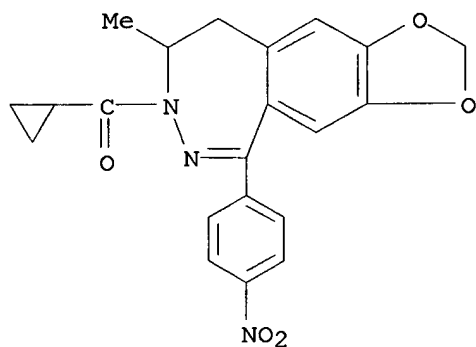
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-benzoyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-
(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-52-5 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(phenylacetyl)- (9CI) (CA INDEX NAME)

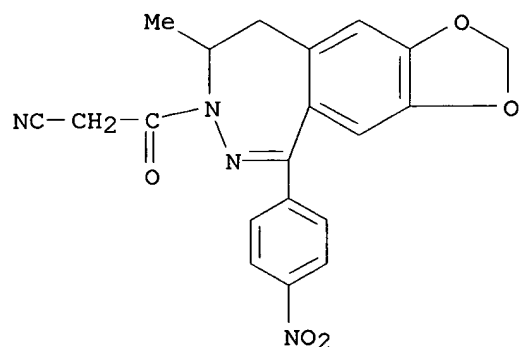


RN 143691-53-6 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

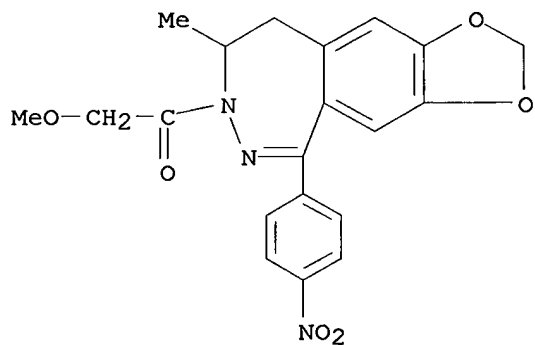


RN 143691-54-7 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyanoacetyl)-8,9-dihydro-8-

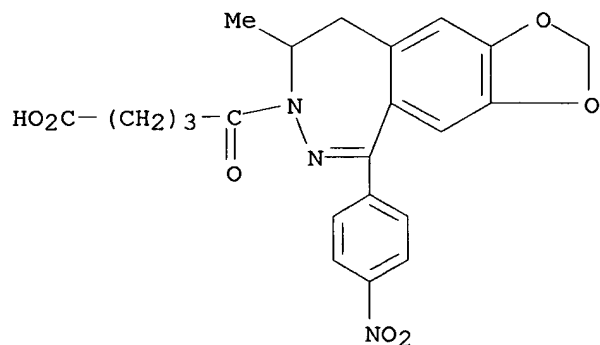
methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-55-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 8,9-dihydro-7-(methoxyacetyl)-8-
 methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

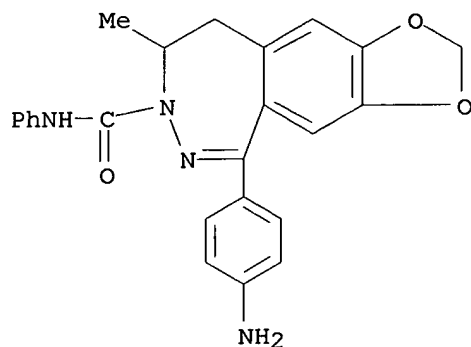


RN 143691-56-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-.delta.-oxo- (9CI) (CA INDEX
 NAME)



RN 143691-57-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide

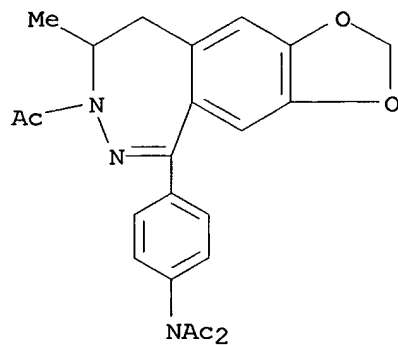
5-(4-aminophenyl)-8,9-dihydro-8-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 143691-58-1 CAPLUS

CN Acetamide,

N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

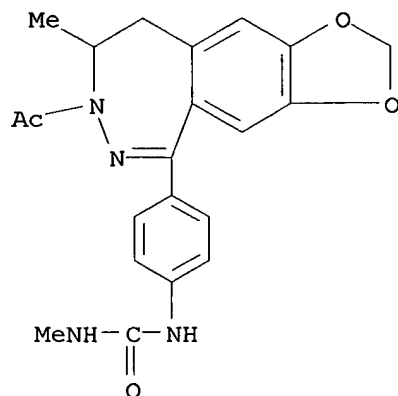


RN 143691-59-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,

7-acetyl-8,9-dihydro-8-methyl-5-

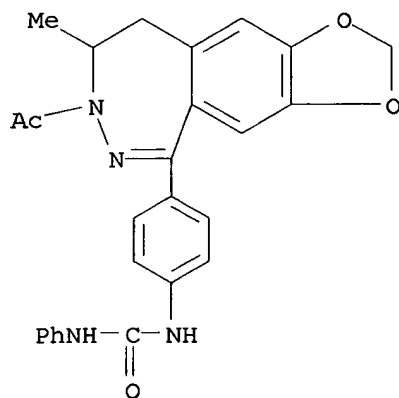
[4-[(methylamino)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-60-5 CAPLUS

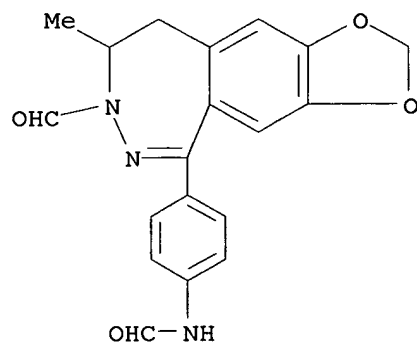
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-

[4-[(phenylamino)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



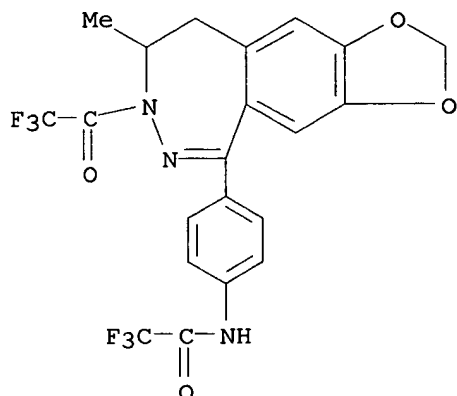
RN 143691-61-6 CAPLUS

CN Formamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143691-62-7 CAPLUS

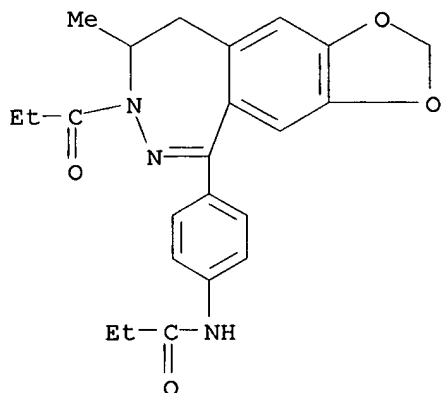
CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



RN 143691-63-8 CAPLUS

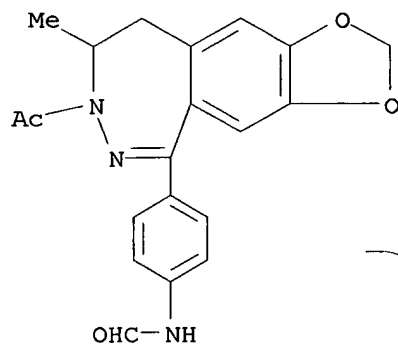
CN Propanamide,

N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



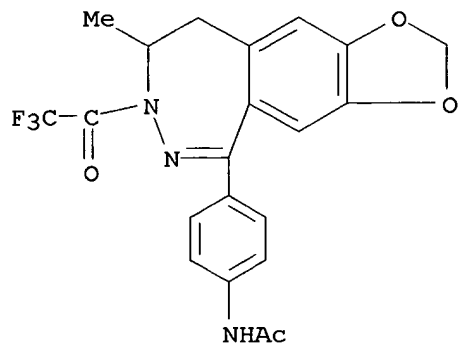
RN 143691-64-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



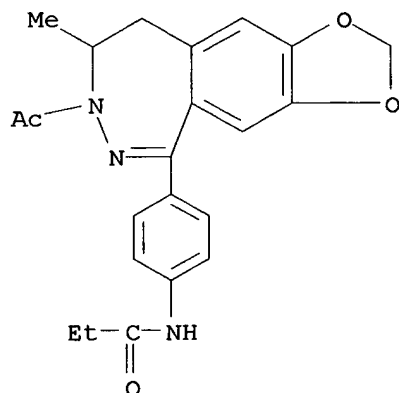
RN 143691-65-0 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



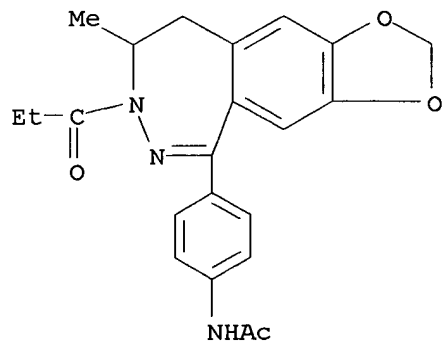
RN 143691-66-1 CAPLUS

CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



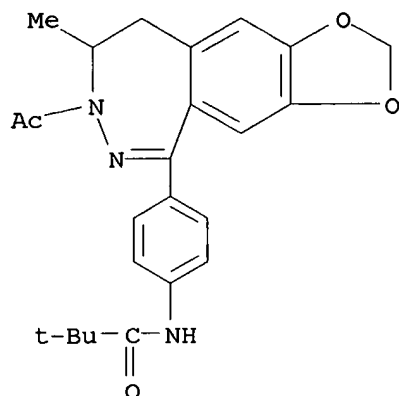
RN 143691-67-2 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-68-3 CAPLUS

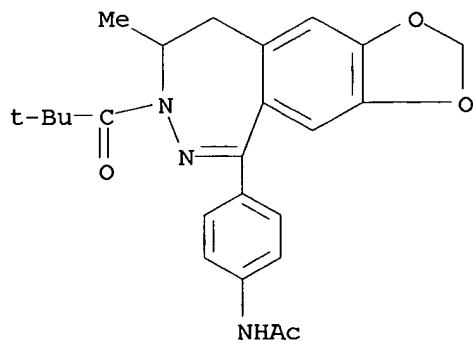
CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 143691-69-4 CAPLUS

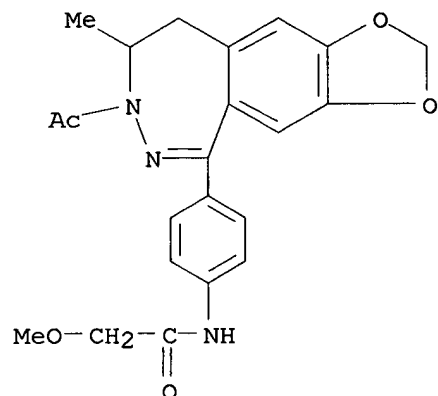
CN Acetamide,

N-[4-[7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-70-7 CAPLUS

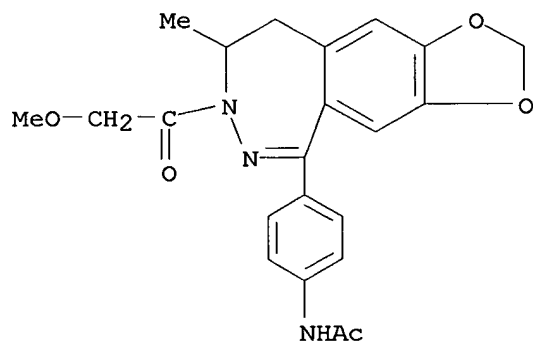
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 143691-71-8 CAPLUS

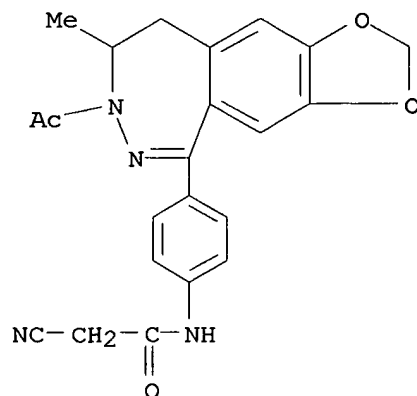
CN Acetamide,

N-[4-[8,9-dihydro-7-(methoxyacetyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



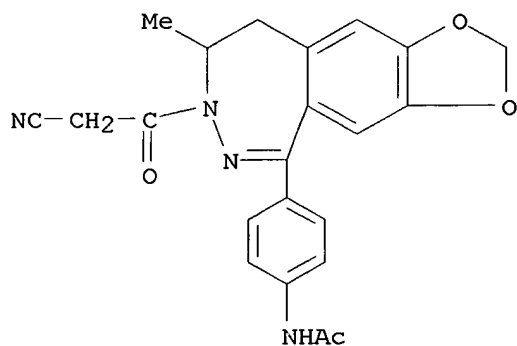
RN 143691-72-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-cyano- (9CI) (CA INDEX NAME)



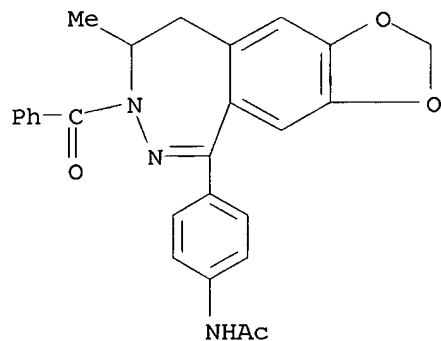
RN 143691-73-0 CAPLUS

CN Acetamide, N-[4-[7-(cyanoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



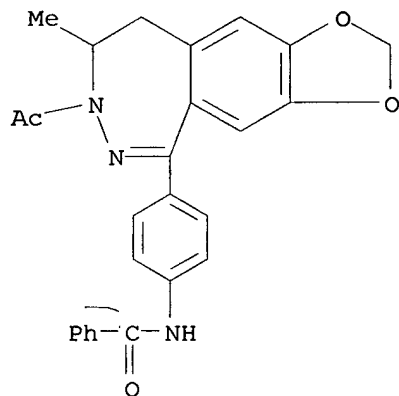
RN 143691-74-1 CAPLUS

CN Acetamide, N-[4-(7-benzoyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



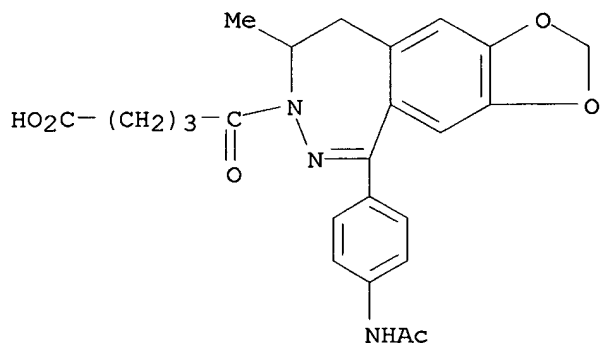
RN 143691-75-2 CAPLUS

CN Benzamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

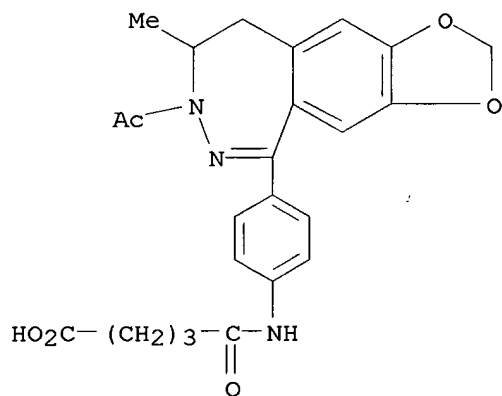


RN 143691-76-3 CAPLUS

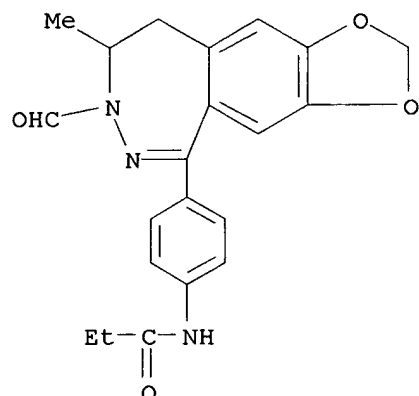
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
5-[4-(acetylamino)phenyl]-8,9-dihydro-8-methyl-.delta.-oxo- (9CI) (CA
INDEX NAME)



RN 143691-77-4 CAPLUS
CN Pentanoic acid, 5-[[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]amino]-5-oxo- (9CI) (CA INDEX NAME)

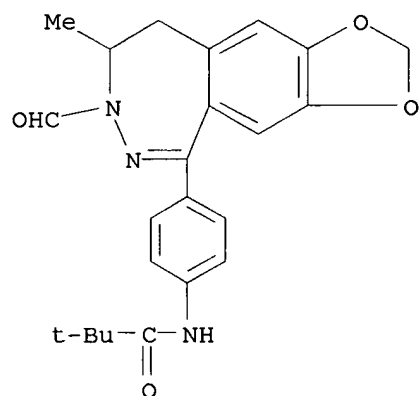


RN 143691-78-5 CAPLUS
CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



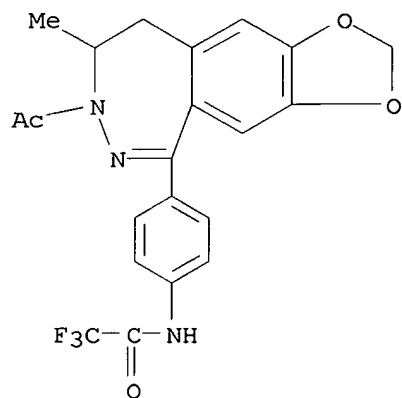
RN 143691-79-6 CAPLUS

CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



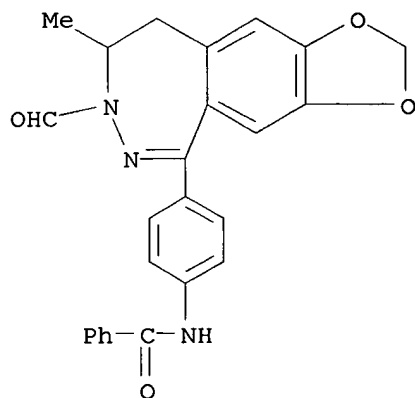
RN 143691-80-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



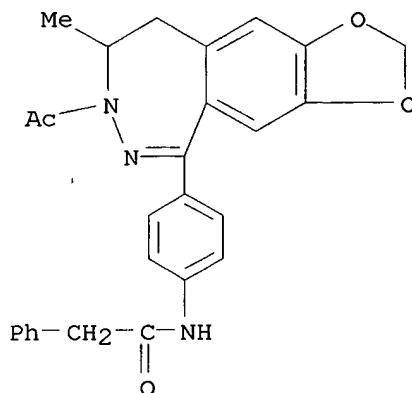
RN 143691-81-0 CAPLUS

CN Benzamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



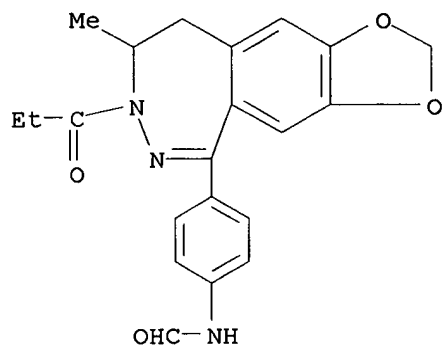
RN 143691-82-1 CAPLUS

CN Benzeneacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



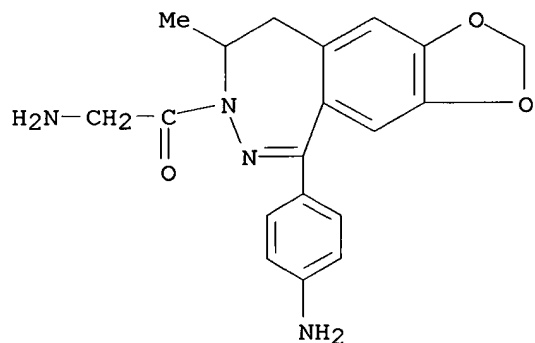
RN 143691-83-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



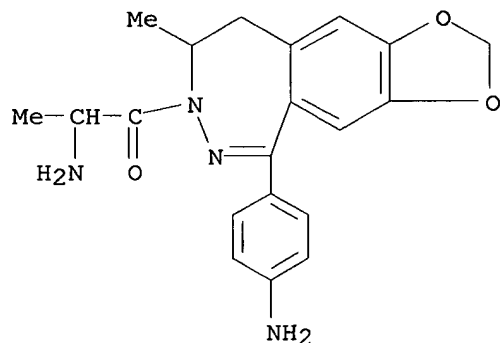
RN 143691-88-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



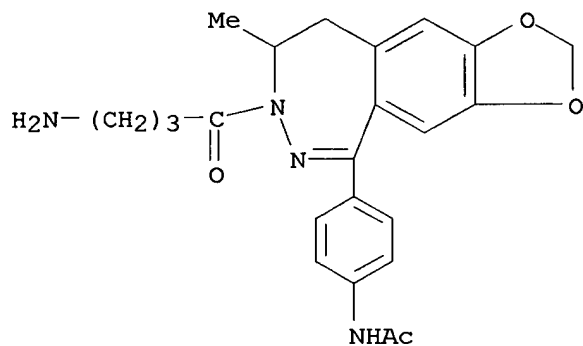
RN 143691-90-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



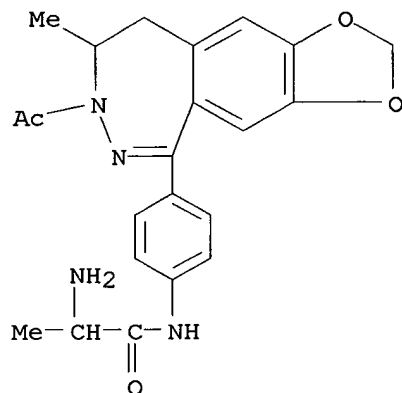
RN 143691-94-5 CAPLUS

CN Acetamide, N-[4-[7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



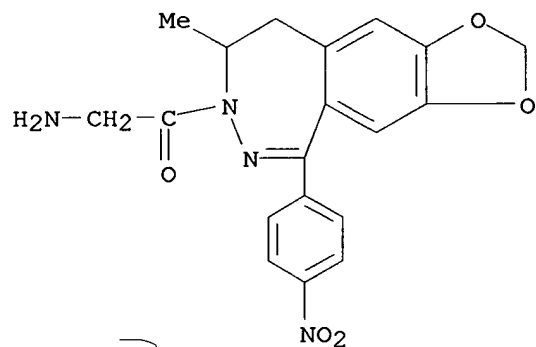
RN 143691-96-7 CAPLUS

CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino- (9CI) (CA INDEX NAME)



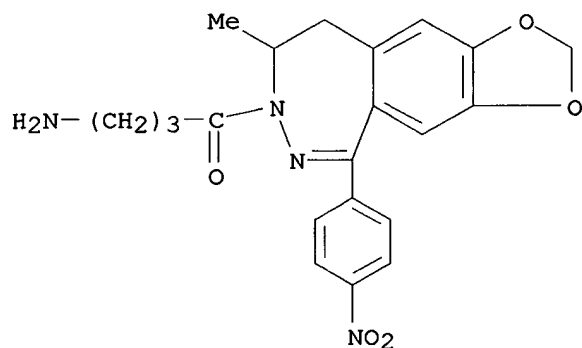
RN 143692-02-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



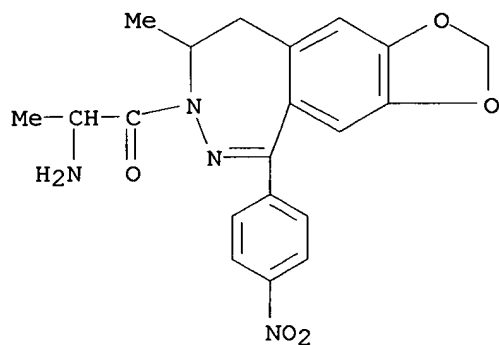
RN 143692-03-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



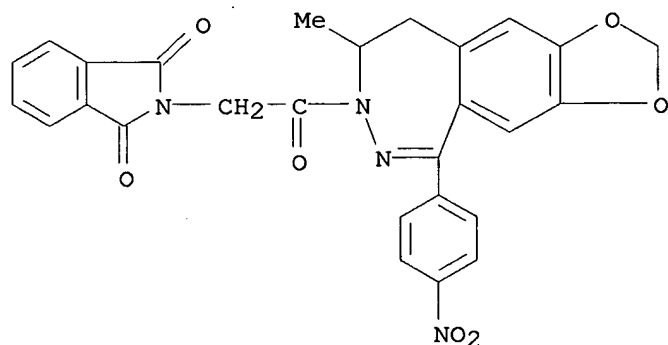
RN 143692-04-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



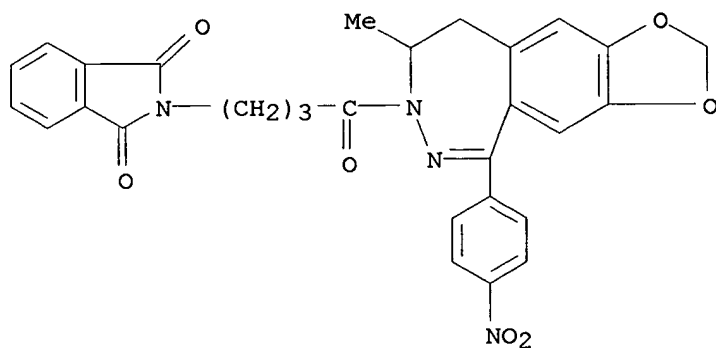
RN 143692-05-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



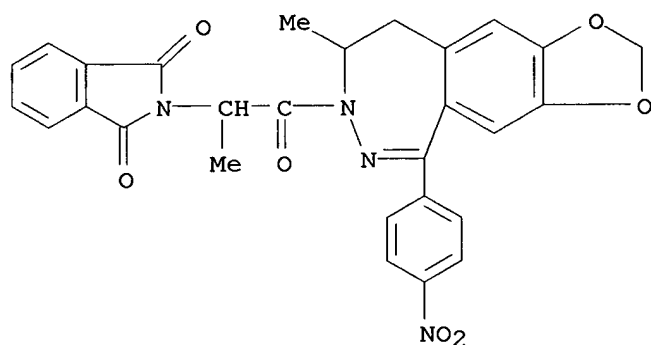
RN 143692-06-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



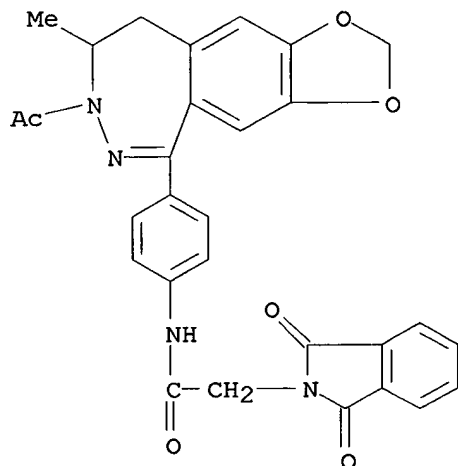
RN 143692-07-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-8,9-dihydro-8-methyl-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



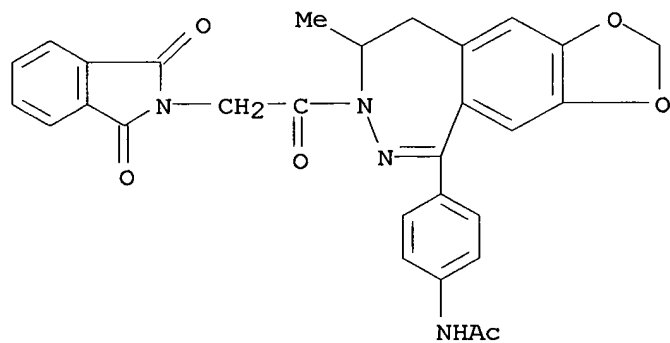
RN 143692-08-4 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo- (9CI)
(CA INDEX NAME)



RN 143692-09-5 CAPLUS

CN Acetamide, N-[4-[7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

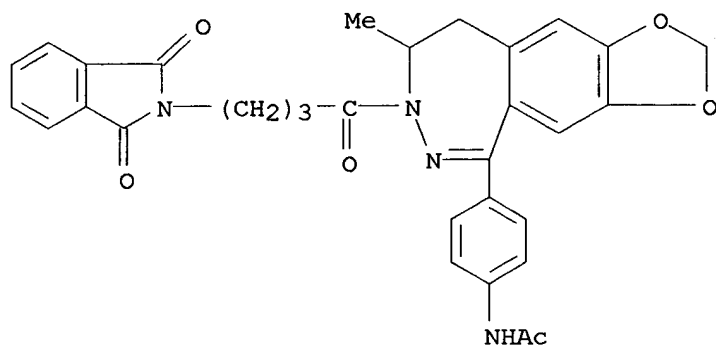


RN 143692-10-8 CAPLUS

CN Acetamide,

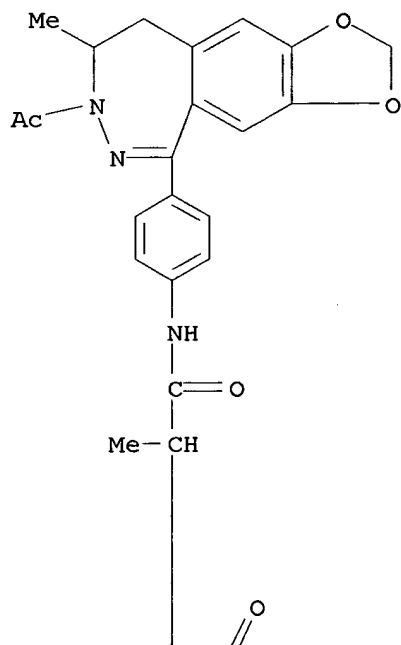
N-[4-[7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-

(9CI) (CA INDEX NAME)

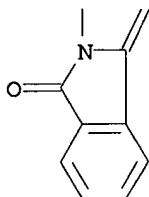


RN 143692-11-9 CAPLUS
 CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-.alpha.-methyl-1,3-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



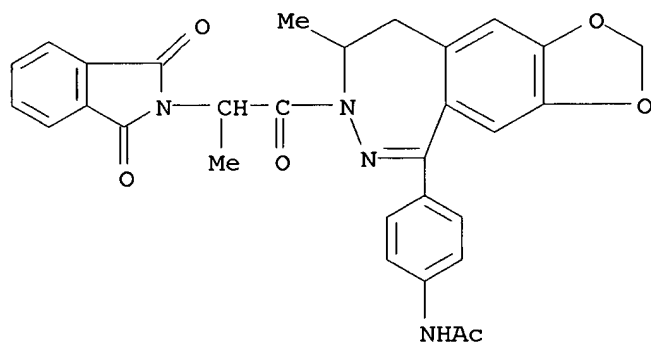
PAGE 2-A



RN 143692-12-0 CAPLUS

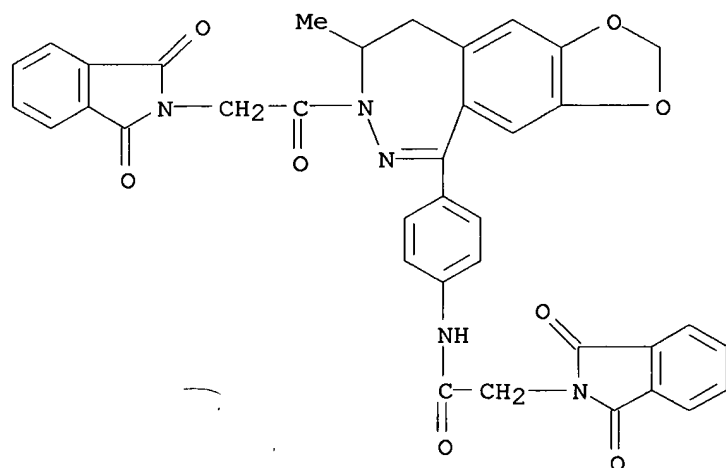
CN Acetamide, N-[4-[7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-

oxopropyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



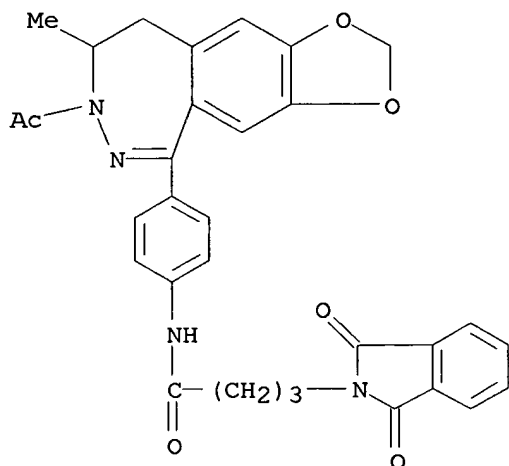
RN 143692-13-1 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[4-[7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 143692-14-2 CAPLUS

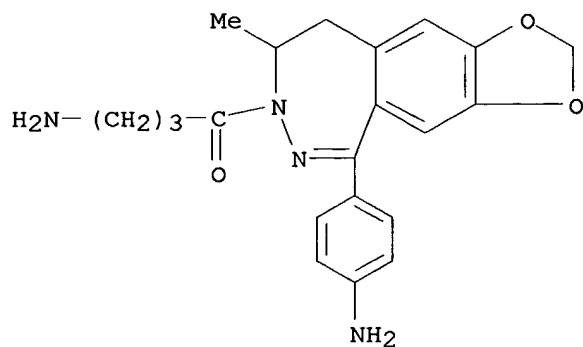
CN 2H-Isoindole-2-butanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo-
(9CI)
(CA INDEX NAME)



RN 143692-16-4 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

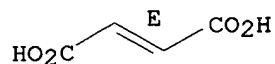
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CMF C21 H24 N4 O3



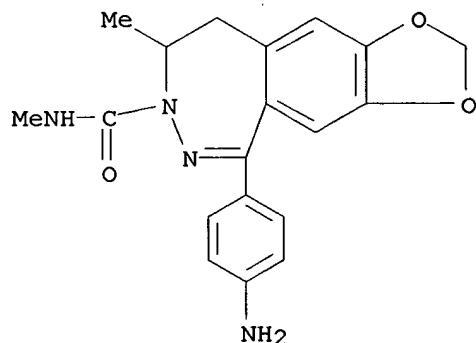
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

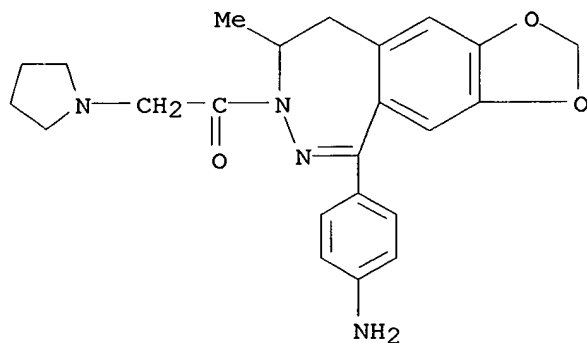
Double bond geometry as shown.



RN 143692-18-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



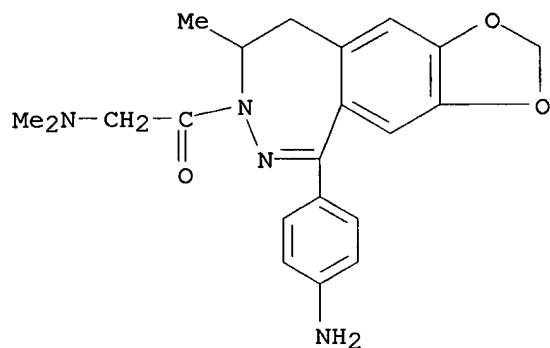
RN 143692-19-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



RN 143692-21-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-[(dimethylamino)acetyl]-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-20-0
 CMF C21 H24 N4 O3



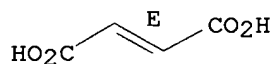
CM 2

CRN 110-17-8

CMF C4 H4 O4

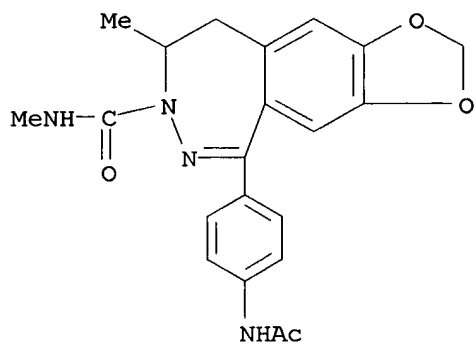
CDES 2:E

Double bond geometry as shown.



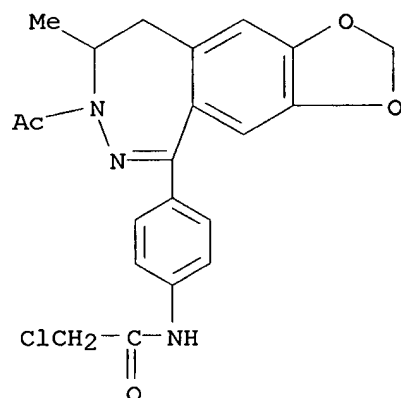
RN 143692-26-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-[4-(acetylamino)phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX
NAME)



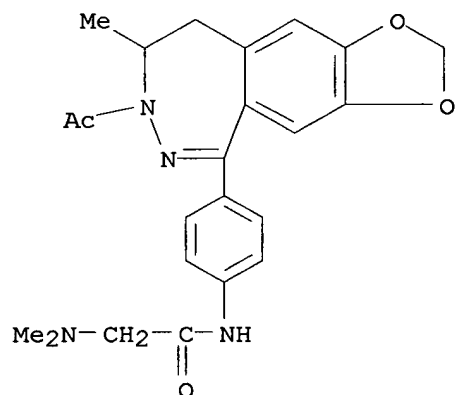
RN 143692-27-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-chloro- (9CI) (CA INDEX NAME)



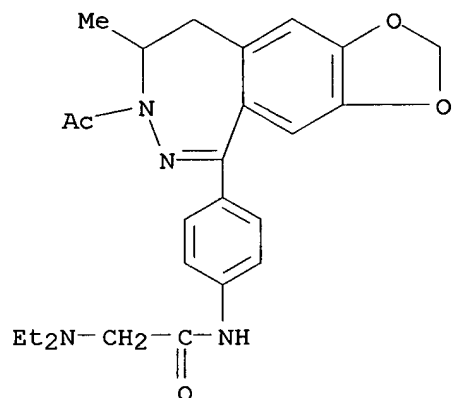
RN 143692-28-8 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)



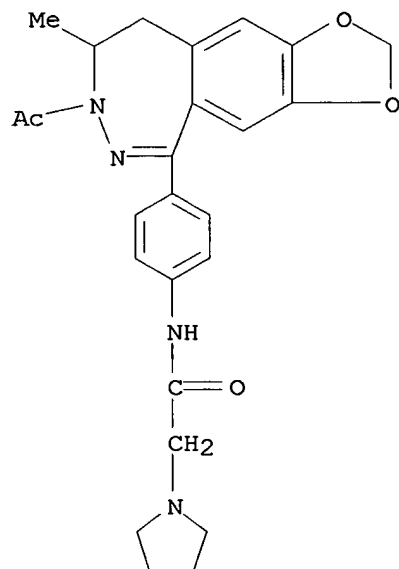
RN 143692-29-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-(diethylamino)- (9CI) (CA INDEX NAME)



RN 143692-30-2 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



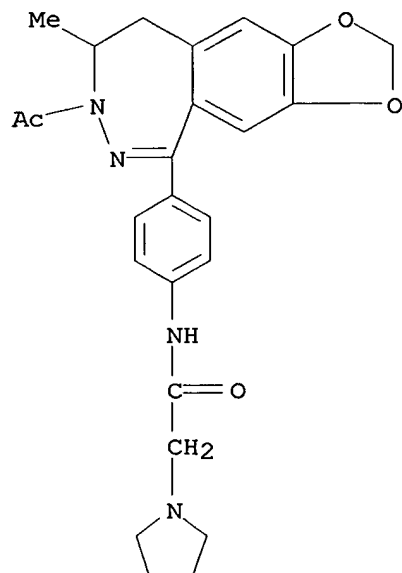
RN 143692-31-3 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-30-2

CMF C25 H28 N4 O4



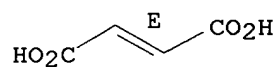
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

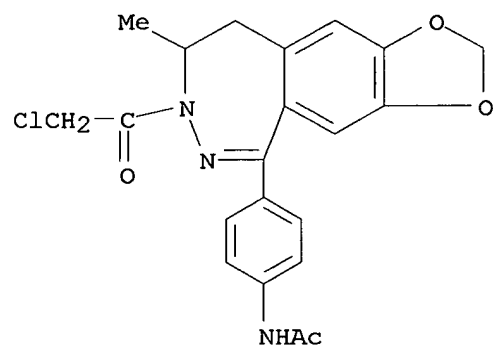
Double bond geometry as shown.



RN 143692-32-4 CAPLUS

CN Acetamide,

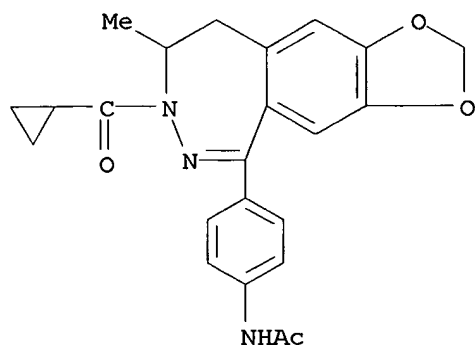
N-[4-[7-(chloroacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143692-34-6 CAPLUS

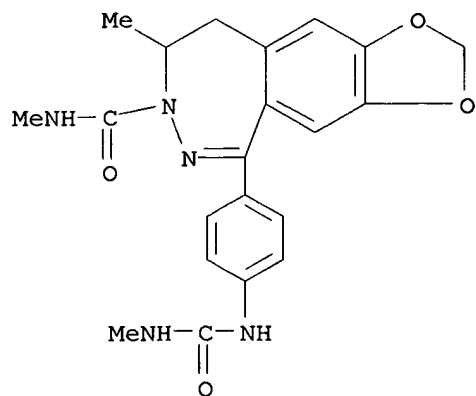
CN Acetamide, N-[4-[7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-7H-1,3-

dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



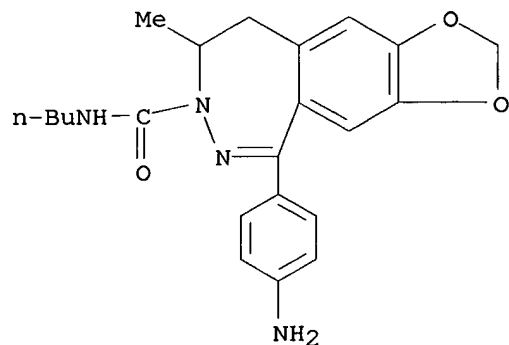
RN 143692-35-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-[4-[(methylamino)carbonyl]amino]phenyl]-
(9CI)
(CA INDEX NAME)

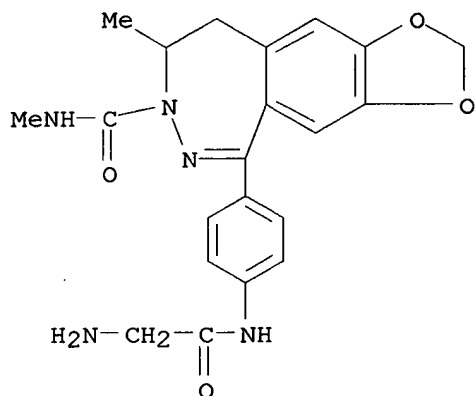


RN 143692-36-8 CAPLUS

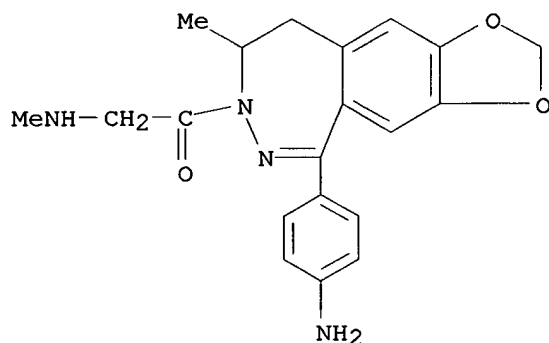
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-N-butyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



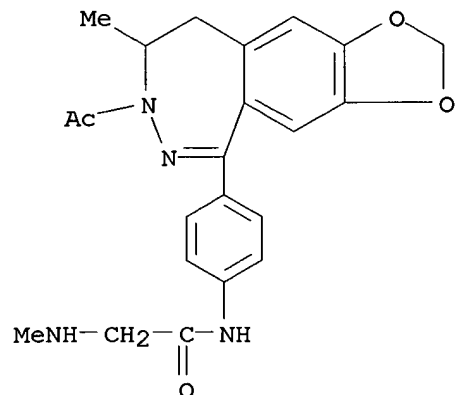
RN 143692-37-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-[(aminoacetyl)amino]phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA
 INDEX NAME)



RN 143692-38-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-[(methylamino)acetyl]- (9CI) (CA INDEX NAME)

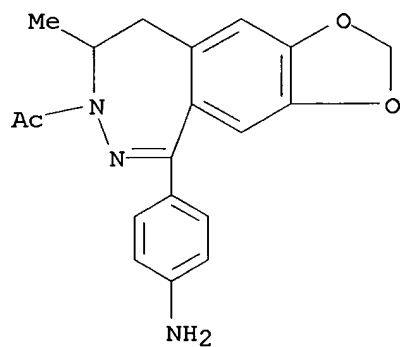


RN 143692-39-1 CAPLUS
 CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]-2-(methylamino)- (9CI) (CA INDEX NAME)



RN 143692-40-4 CAPLUS

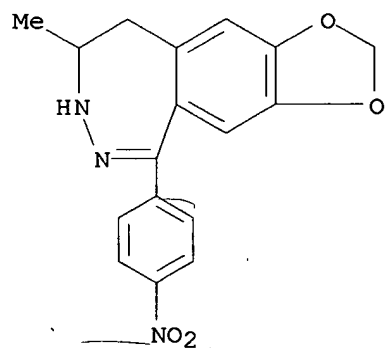
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

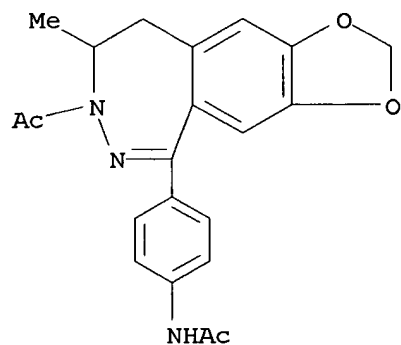
RN 143692-49-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



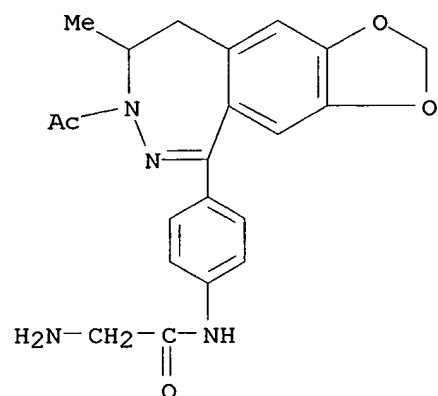
RN 143692-53-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



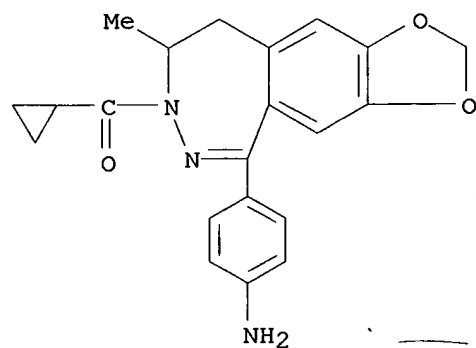
RN 143692-54-0 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino- (9CI) (CA INDEX NAME)



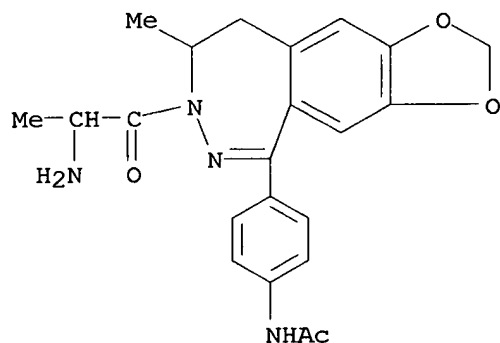
RN 143715-44-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



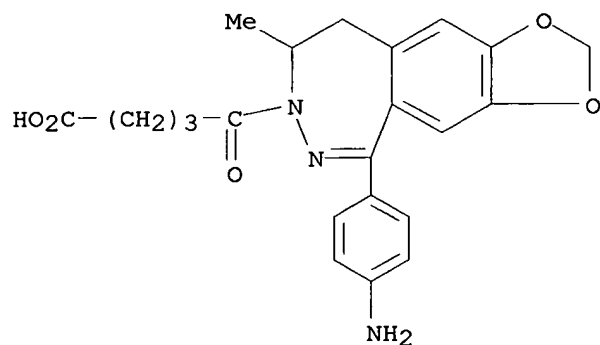
RN 143715-46-2 CAPLUS

CN Acetamide, N-[4-[7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143715-47-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-.delta.-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

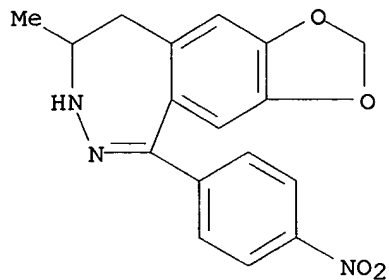


● HCl

RN 161832-62-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (-)- (9CI) (CA INDEX NAME)

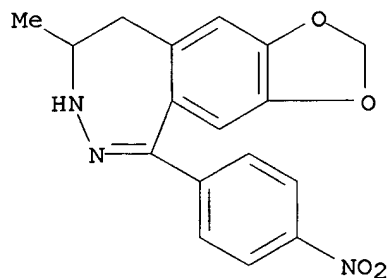
Rotation (-).



RN 161832-63-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

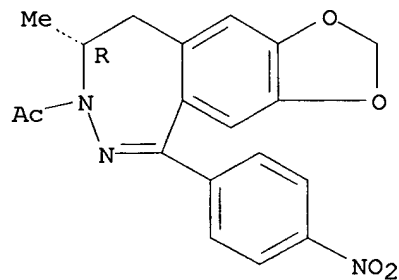
Rotation (+).



RN 161832-64-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

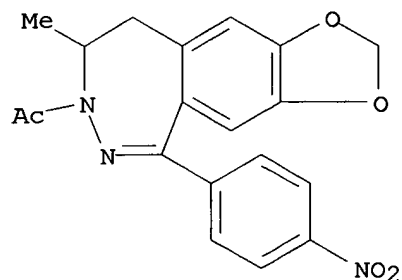
Absolute stereochemistry. Rotation (-).



RN 161832-66-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

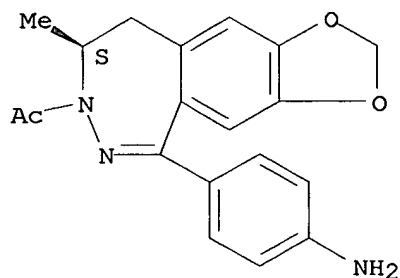
Rotation (+).



RN 161832-67-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

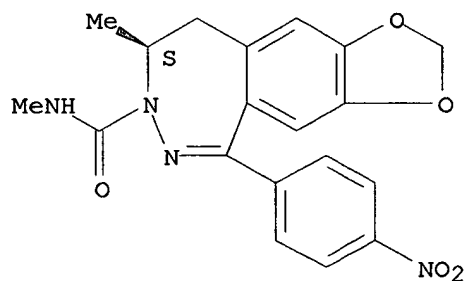
Absolute stereochemistry.



RN 161832-68-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (S)- (9CI) (CA INDEX NAME)

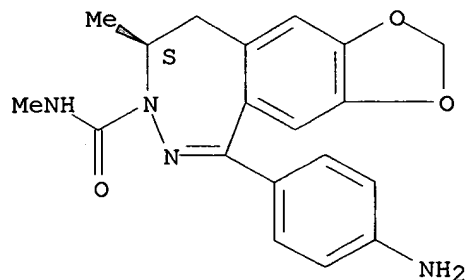
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

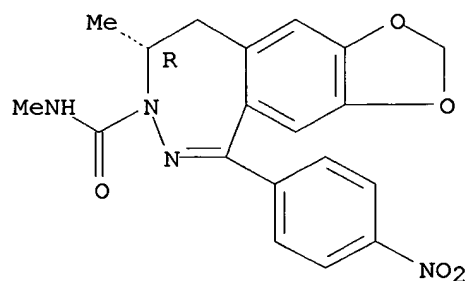
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



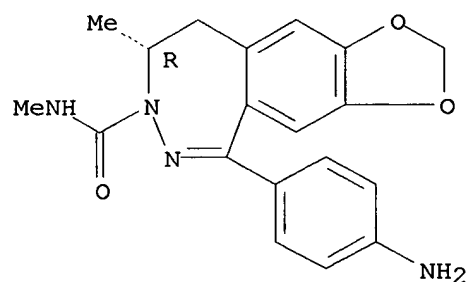
RN 161832-70-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

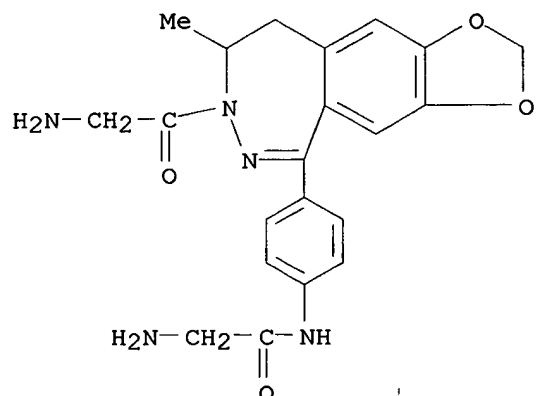


RN 161832-71-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 173087-57-5 CAPLUS
 CN Acetamide, 2-amino-N-[4-[7-(aminoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

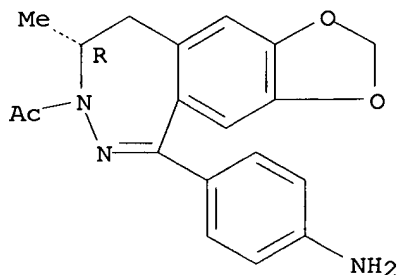
IT **161832-65-1P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(s.c.9; prepn. as spasmolytic muscle relaxants)

RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



123 ANSWER 39 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1996:366157 CAPLUS

DN 125:114722

TI N-Acyl 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-2,3-benzodiazepine derivatives as excitatory amino acid antagonists useful as anticonvulsants, muscle relaxants, and neuroprotectants

IN Andrasi, Ferenc; Berzsenyi, Pal; Botka, Peter; Farkas, Sandor; Goldschmidt, Katalin; Hamori, Tamas; Koroesi, Jenő; Moravcsik, Imre; Tarnawa, Istvan

PA Gyogyszerkutató Intézet, Hung.

SO U.S., 22 pp. Cont.-in-part of U. S. Ser. No. 423,166.

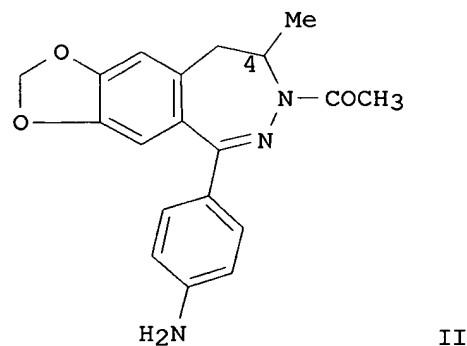
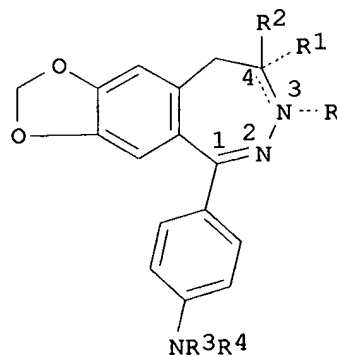
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5519019	A	19960521	US 1995-472454	19950607
	HU 59684	A2	19920629	HU 1990-8398	19901221
	US 5459137	A	19951017	US 1993-80604	19930621
PRAI	HU 1990-8398	A	19901221		
	US 1991-809361	B2	19911217		
	US 1993-48347	B2	19930415		
	US 1993-80604	A3	19930621		
	US 1995-423166	A2	19950417		
OS	MARPAT 125:114722				
GI					



AB A method of blocking the activation of one or more excitatory amino acid receptors in mammals is claimed, which comprises administering to a mammal

in need of decreased excitatory amino acid neurotransmission a pharmaceutically effective amt. of a compd. of formula I wherein R is a C1-6 alkanoyl group optionally substituted by a methoxy, cyano, carboxyl, amino, C1-4 alkylamino, di(C1-4 alkyl)amino, pyrrolidino, phthalimido or Ph group, or by one or more halogen(s); or R is a benzoyl, cyclopropanecarbonyl, C1-5 alkylcarbamoyl or phenylcarbamoyl group; or R is absent when a double bond exists between the N(3) and C(4) atoms; R1

is

hydrogen, or R1 is absent when a double bond exists between the N(3) and C(4) atoms; R2 is a C1-3 alkyl group; or R1 and R2 together form a methylene group; R3 is hydrogen or a C1-4 alkanoyl group; R4 is hydrogen;

a C1-6 alkanoyl group optionally substituted by a methoxy, cyano, carboxyl, amino, C1-4 alkylamino, di(C1-4 alkyl)amino, pyrrolidino, phthalimido or Ph group or by one or more halogen(s); as well as a benzoyl, palmitoyl, cyclopropanecarbonyl, C1-5 alkylcarbamoyl or phenylcarbamoyl group; with the proviso that no double bond exists between the N(3) and C(4) atoms when both R3 and R4 stand for hydrogen; and stereoisomers and pharmaceutically acceptable salts. Thus, e.g., acetylation of 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine with Ac2O afforded 85.7%

1-(4-aminophenyl)-3-acetyl-

4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine (II) which exhibited inhibition of synaptic field potentials in rat hippocampal slices (an indicator of selective AMPA antagonist activity) with IC50 = 24.8 .mu.M vs. 31.7 .mu.M for GYKI 52466. Data are presented as well for the anticonvulsant, muscle-relaxant, and neuroprotective activity of I. Pharmaceutical formulations were given.

IT **143691-37-6P 143692-18-6P 143692-27-7P**
143715-44-0P

RL: BAC (Biological activity or effector, except adverse); RCT

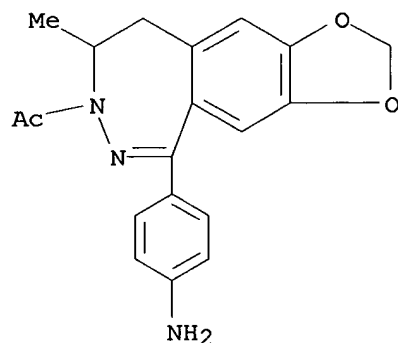
(Reactant);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-acyl 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-2,3-benzodiazepine derivs. as excitatory amino acid antagonists useful as anticonvulsants, muscle relaxants, and neuroprotectants)

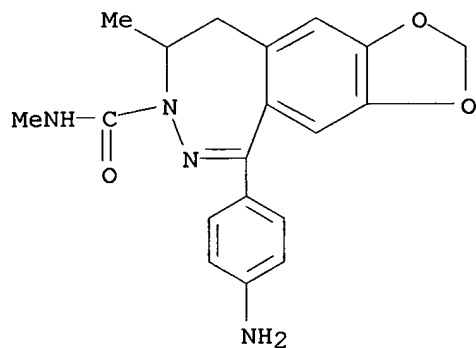
RN 143691-37-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



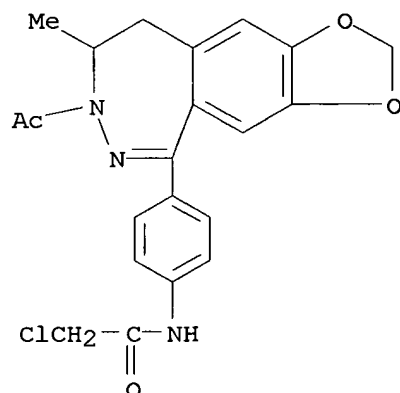
RN 143692-18-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



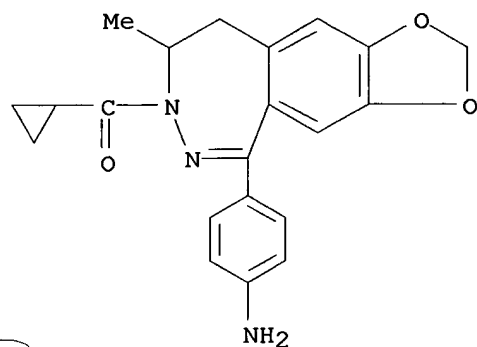
RN 143692-27-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-chloro- (9CI) (CA INDEX NAME)



RN 143715-44-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



IT 143691-23-0P 143691-38-7P 143691-39-8P
 143691-40-1P 143691-41-2P 143691-42-3P
 143691-43-4P 143691-44-5P 143691-45-6P
 143691-57-0P 143691-58-1P 143691-59-2P

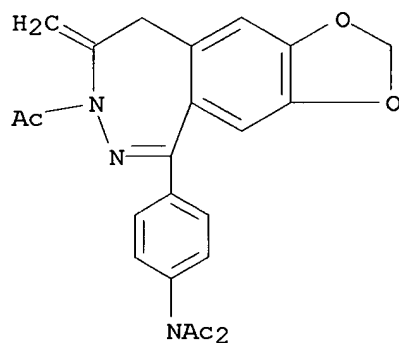
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 143691-69-4P 143691-70-7P 143691-71-8P
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 143692-31-3P 143692-32-4P 143692-34-6P
 143692-35-7P 143692-36-8P 143692-37-9P
 143692-38-0P 143692-39-1P 143692-40-4P
 143692-48-2P 143692-53-9P 143715-46-2P
 143715-47-3P 161832-65-1P 161832-67-3P
 161832-69-5P 161832-71-9P 173087-57-5P
 173087-59-7P 179107-25-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-acyl 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-2,3-benzodiazepine derivs. as excitatory amino acid antagonists useful as anticonvulsants, muscle relaxants, and neuroprotectants)

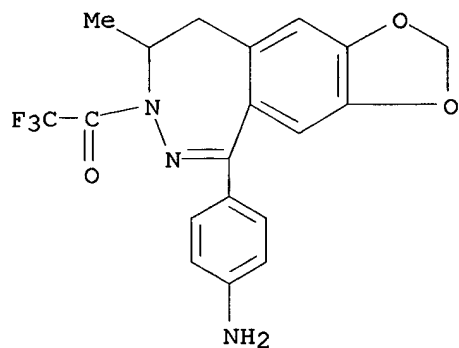
RN 143691-23-0 CAPLUS

CN Acetamide, N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methylene-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

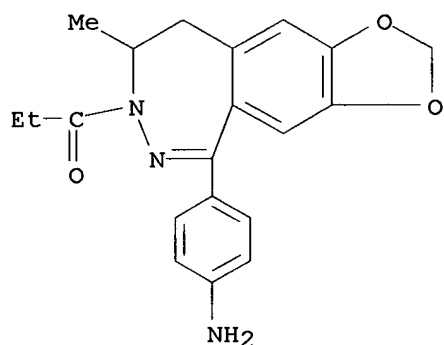


RN 143691-38-7 CAPLUS

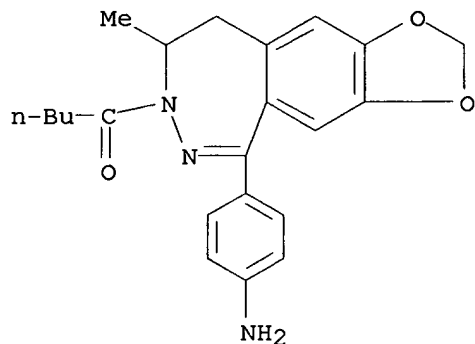
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



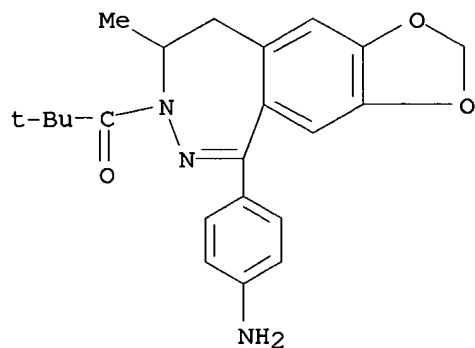
RN 143691-39-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



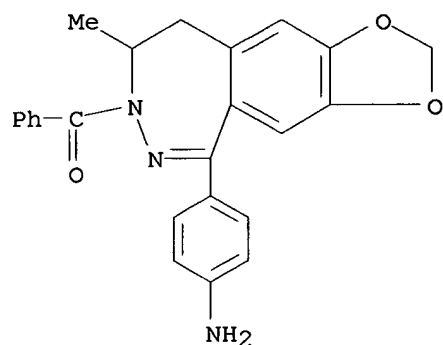
RN 143691-40-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



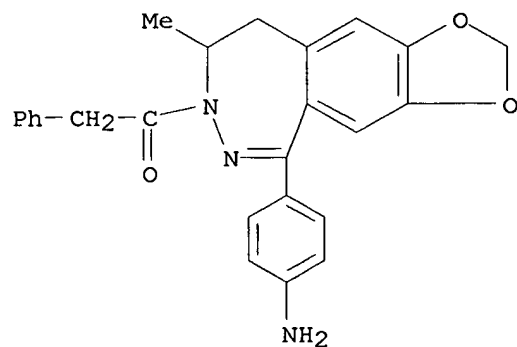
RN 143691-41-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(2,2-
 dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



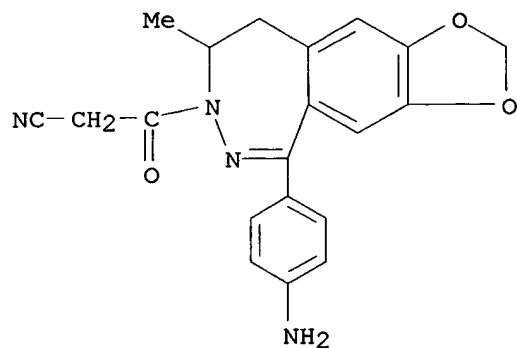
RN 143691-42-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-7-benzoyl-8,9-
 dihydro-8-methyl- (9CI) (CA INDEX NAME)



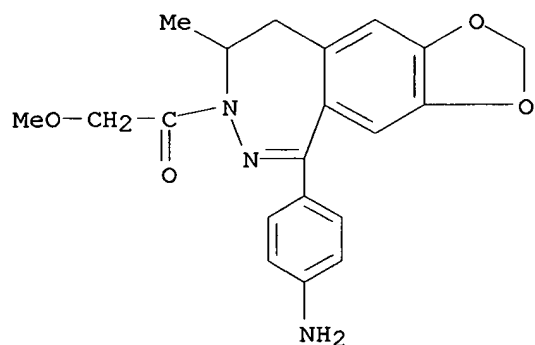
RN 143691-43-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



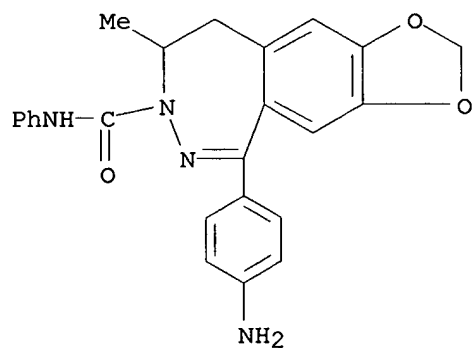
RN 143691-44-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
 (cyanoacetyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



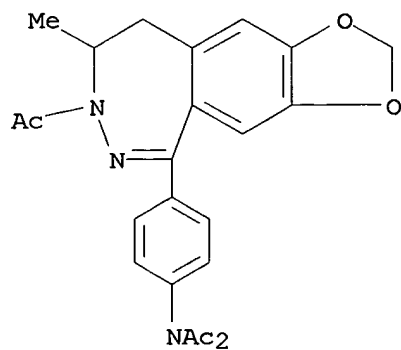
RN 143691-45-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-7-
 (methoxyacetyl)-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-57-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 143691-58-1 CAPLUS
 CN Acetamide,
 N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

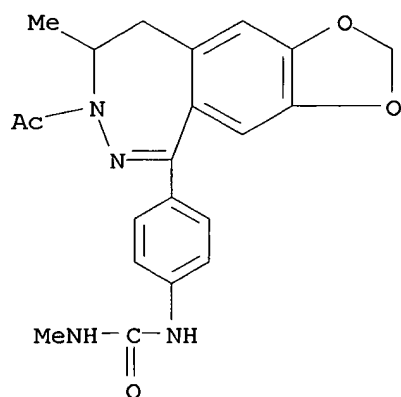


RN 143691-59-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,

7-acetyl-8,9-dihydro-8-methyl-5-

[4-[[(methylamino) carbonyl] amino] phenyl]- (9CI) (CA INDEX NAME)

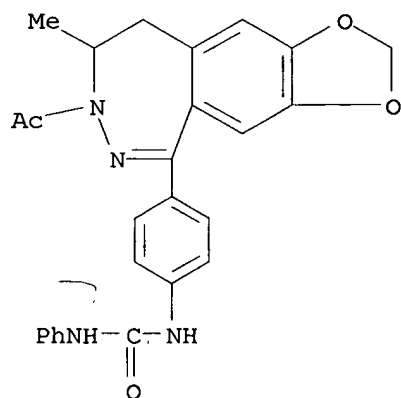


RN 143691-60-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,

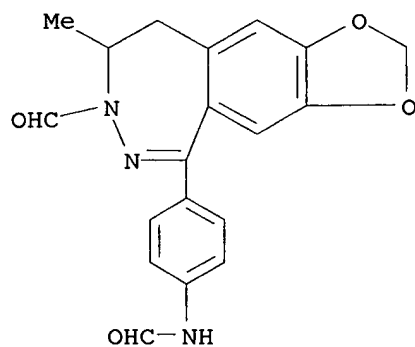
7-acetyl-8,9-dihydro-8-methyl-5-

[4-[[(phenylamino) carbonyl] amino] phenyl]- (9CI) (CA INDEX NAME)



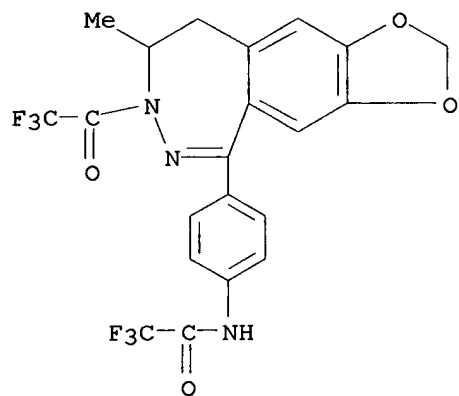
RN 143691-61-6 CAPLUS

CN Formamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



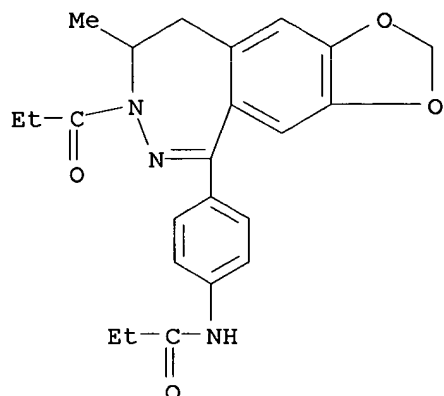
RN 143691-62-7 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



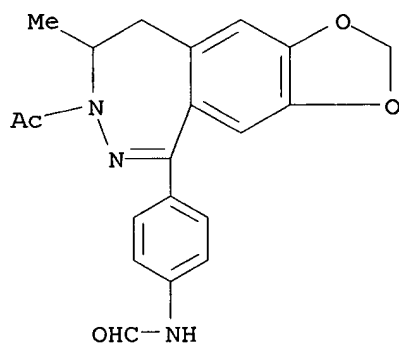
RN 143691-63-8 CAPLUS

CN Propanamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



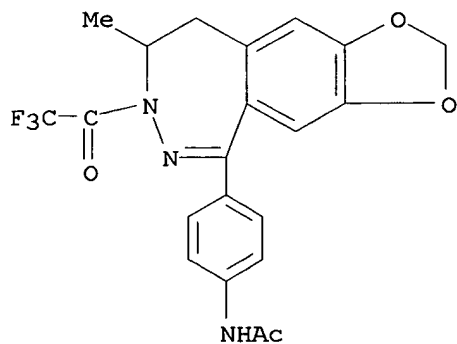
RN 143691-64-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



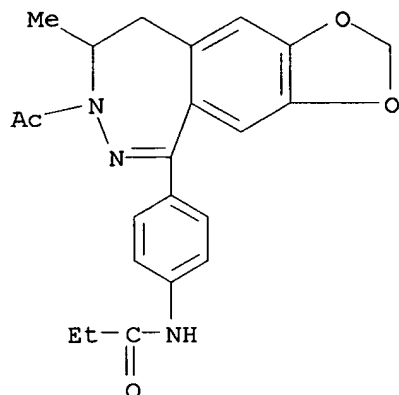
RN 143691-65-0 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



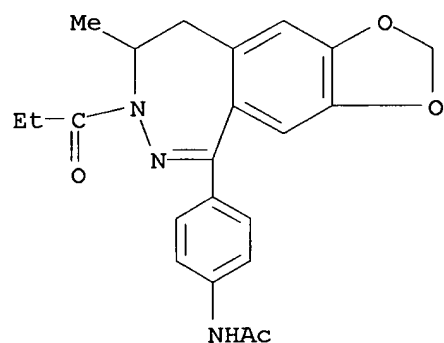
RN 143691-66-1 CAPLUS

CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



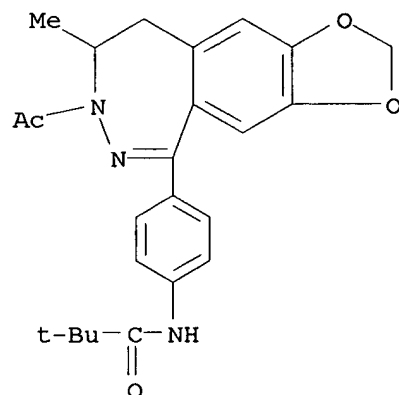
RN 143691-67-2 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-68-3 CAPLUS

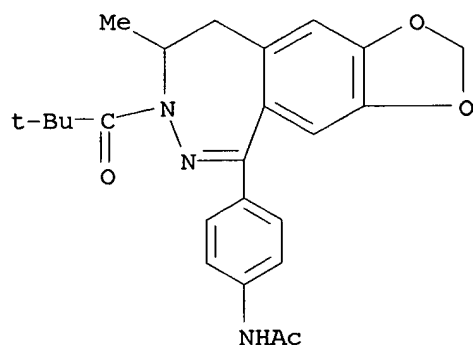
CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 143691-69-4 CAPLUS

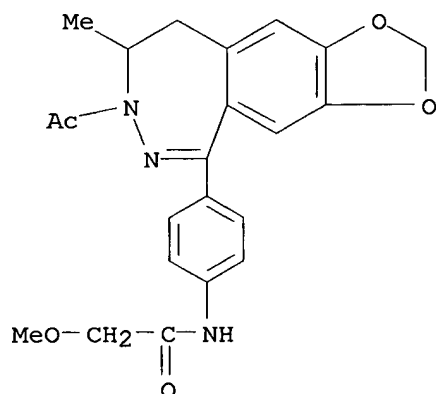
CN Acetamide, N-[4-[7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-

dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-70-7 CAPLUS

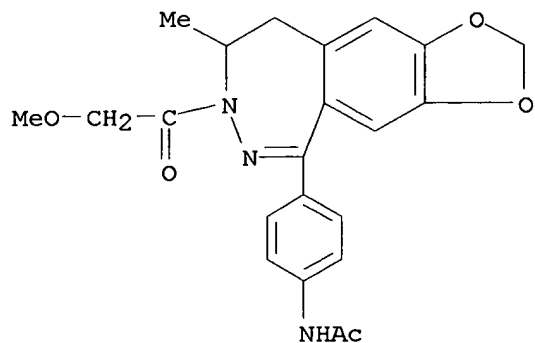
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 143691-71-8 CAPLUS

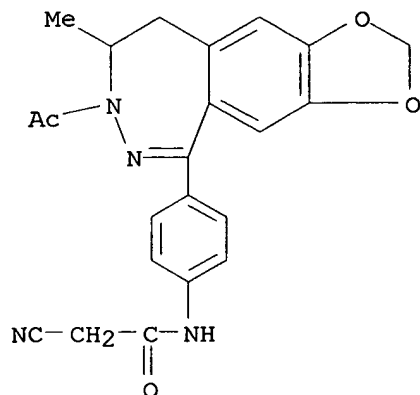
CN Acetamide,

N-[4-[8,9-dihydro-7-(methoxyacetyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



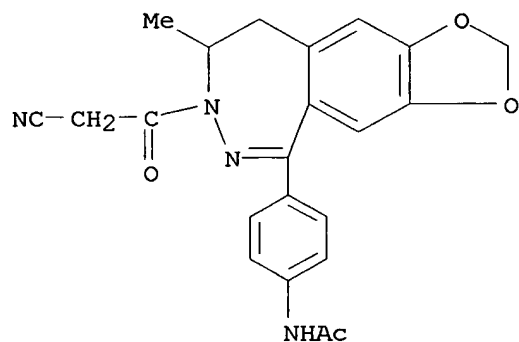
RN 143691-72-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-cyano- (9CI) (CA INDEX NAME)



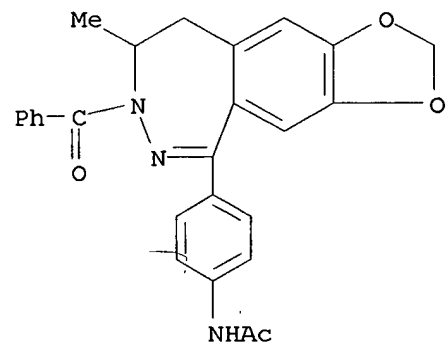
RN 143691-73-0 CAPLUS

CN Acetamide, N-[4-[7-(cyanoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



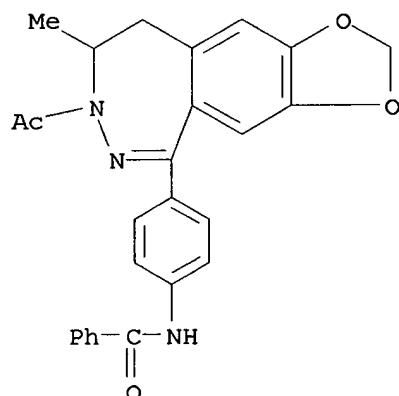
RN 143691-74-1 CAPLUS

CN Acetamide, N-[4-(7-benzoyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

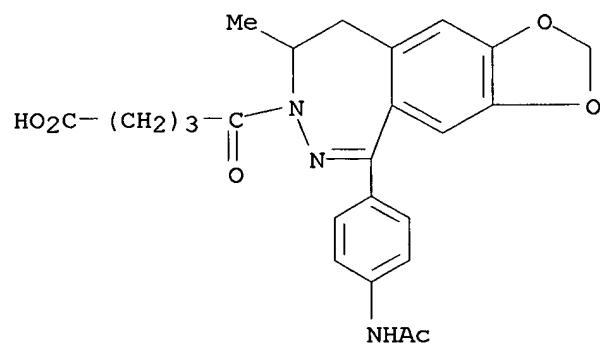


RN ~~143691-75-2~~ CAPLUS

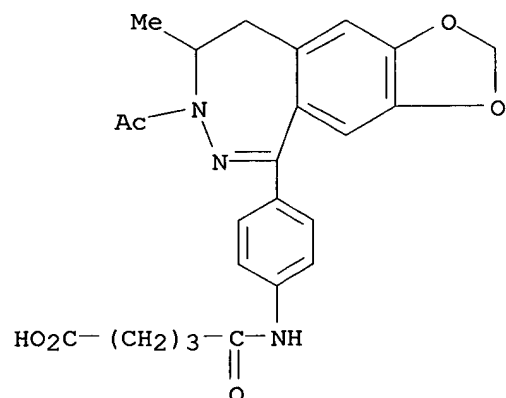
CN Benzamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143691-76-3 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid, 5-[4-(acetylamino)phenyl]-8,9-dihydro-8-methyl-.delta.-oxo- (9CI) (CA INDEX NAME)

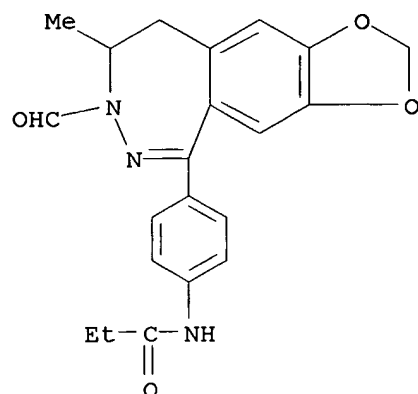


RN 143691-77-4 CAPLUS
CN Pentanoic acid, 5-[[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]amino]-5-oxo- (9CI) (CA INDEX NAME)



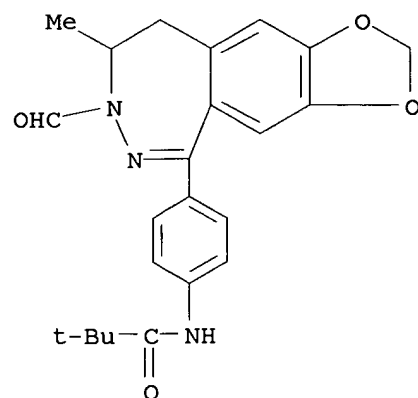
RN 143691-78-5 CAPLUS

CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



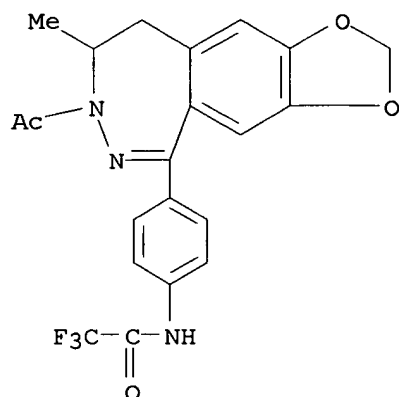
RN 143691-79-6 CAPLUS

CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



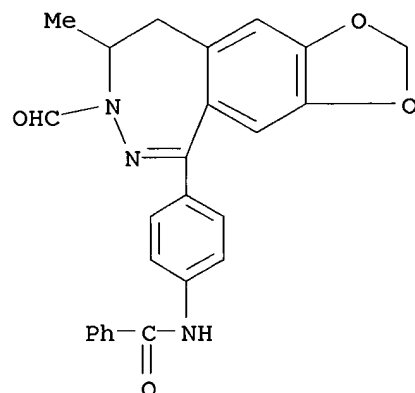
RN 143691-80-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



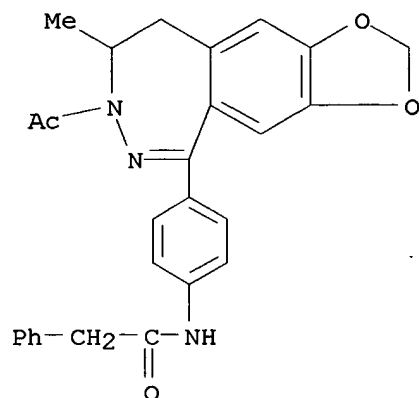
RN 143691-81-0 CAPLUS

CN Benzamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



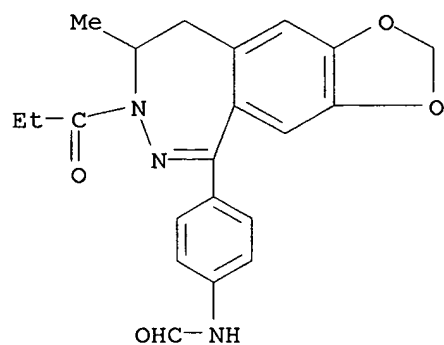
RN 143691-82-1 CAPLUS

CN Benzeneacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



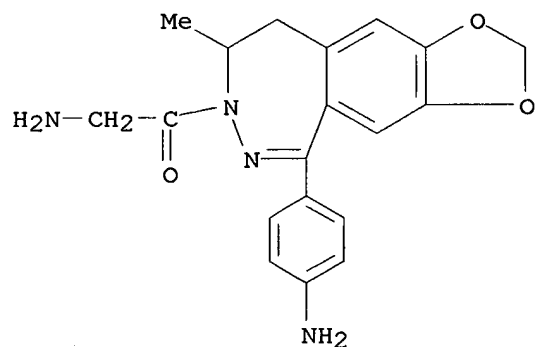
RN 143691-83-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 143691-88-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



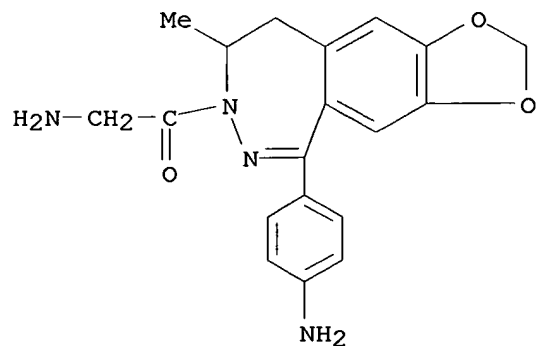
RN 143691-89-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143691-88-7

CMF C19 H20 N4 O3



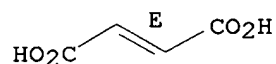
CM 2

CRN 110-17-8

CMF C4 H4 O4

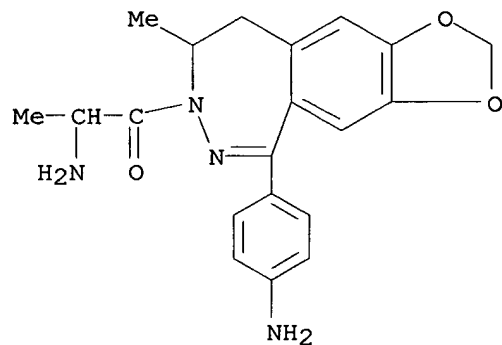
CDES 2:E

Double bond geometry as shown.



RN 143691-90-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

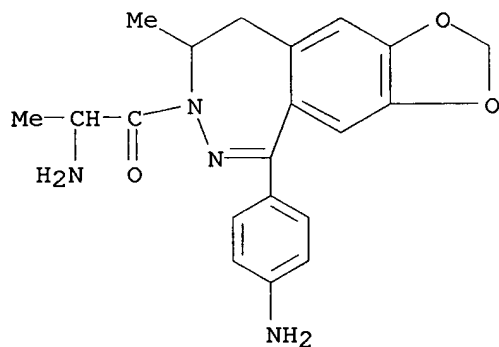


RN 143691-91-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

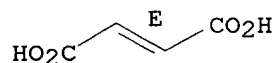
CRN 143691-90-1
CMF C20 H22 N4 O3



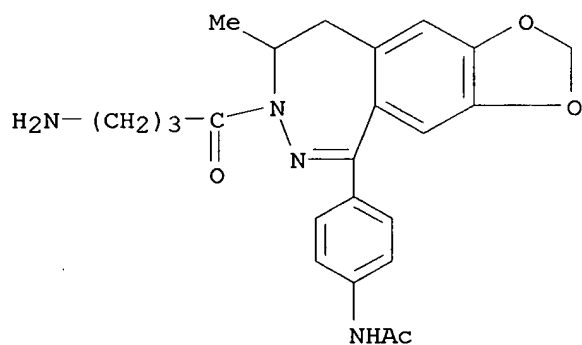
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



RN 143691-94-5 CAPLUS
CN Acetamide, N-[4-[7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

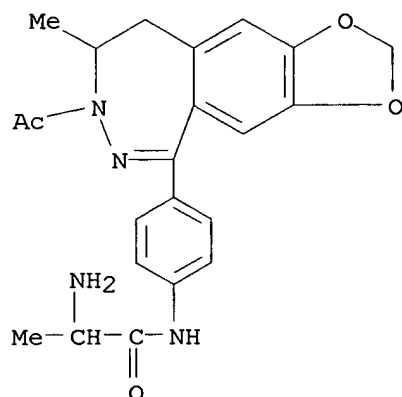


RN 143691-97-8 CAPLUS
CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143691-96-7

CMF C22 H24 N4 O4



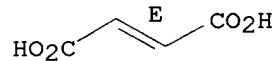
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



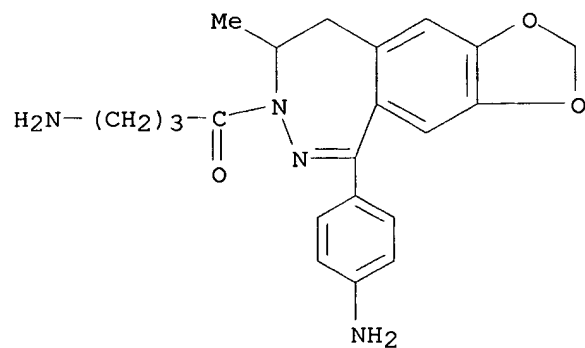
RN 143692-16-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-15-3

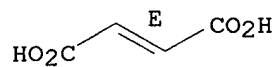
CMF C21 H24 N4 O3



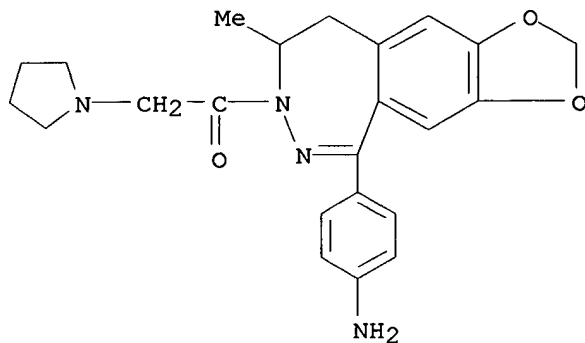
CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.



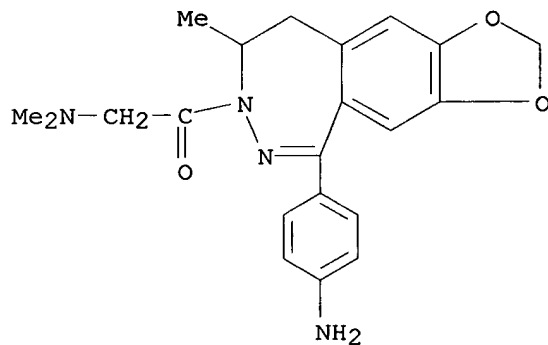
RN 143692-19-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



RN 143692-21-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
 [(dimethylamino)acetyl]-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1)
 (9CI) (CA INDEX NAME)

CM 1

CRN 143692-20-0
 CMF C21 H24 N4 O3



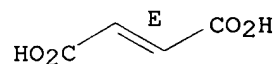
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

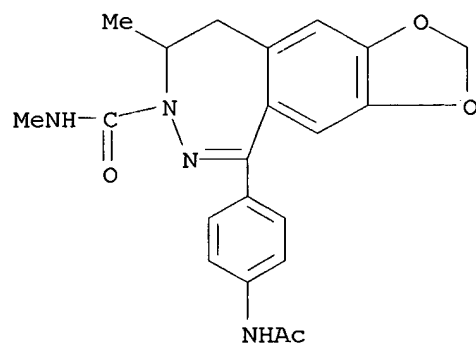
Double bond geometry as shown.



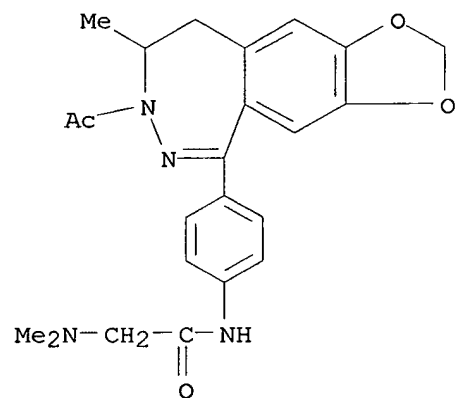
RN 143692-26-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-[4-(acetylamino)phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX

NAME)

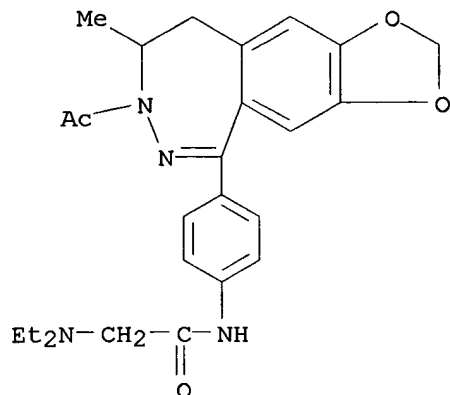


RN 143692-28-8 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-(dimethylamino)- (9CI) (CA INDEX
NAME)

RN 143692-29-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-(diethylamino)- (9CI) (CA INDEX
NAME)



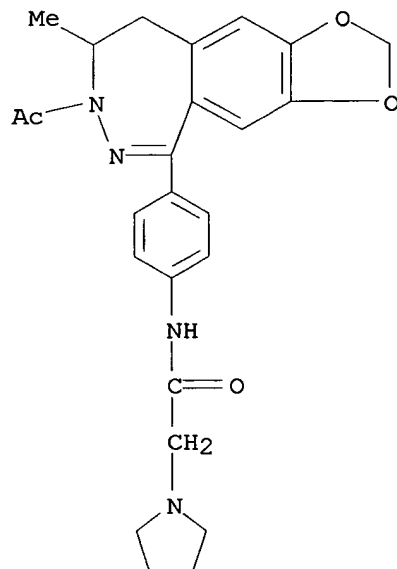
RN 143692-31-3 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-30-2

CMF C25 H28 N4 O4



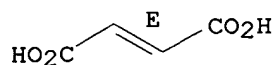
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

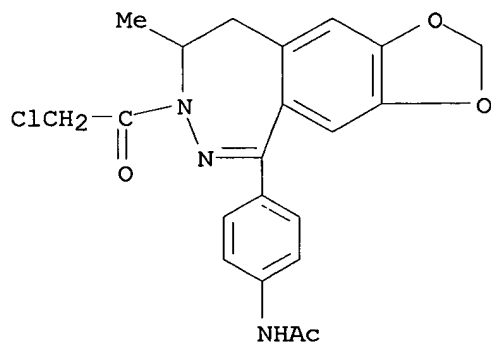
Double bond geometry as shown.



RN 143692-32-4 CAPLUS

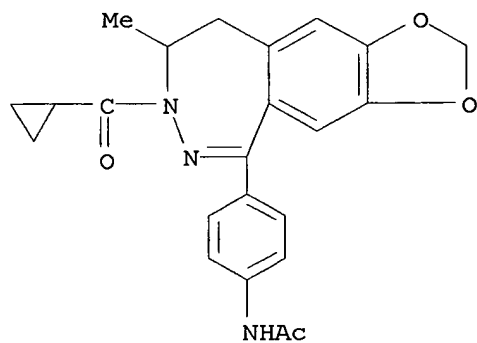
CN Acetamide,

N-[4-[7-(chloroacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



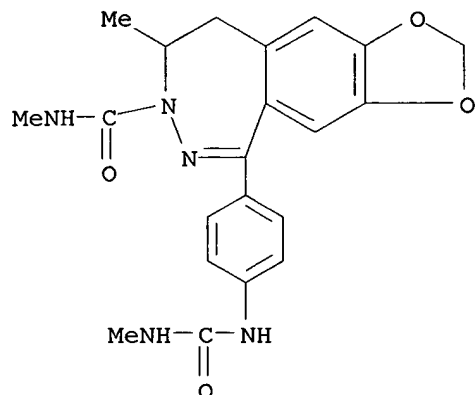
RN 143692-34-6 CAPLUS

CN Acetamide, N-[4-[7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

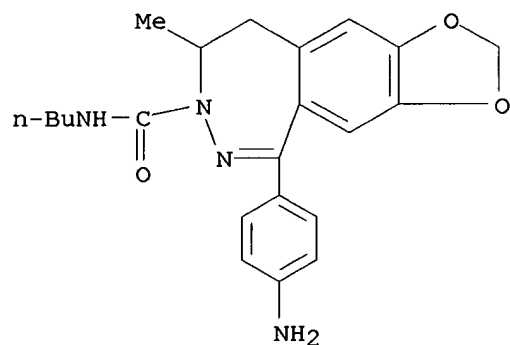


RN 143692-35-7 CAPLUS

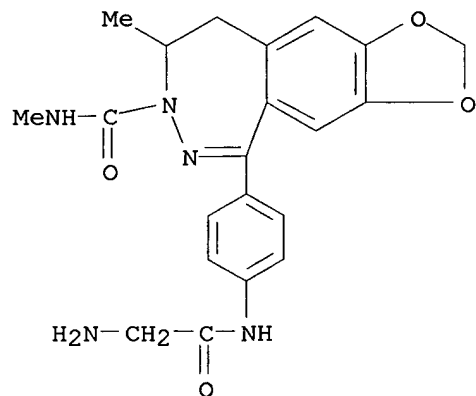
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-[4-[[(methylamino) carbonyl] amino]phenyl]-
(9CI)
(CA INDEX NAME)



RN 143692-36-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-N-butyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

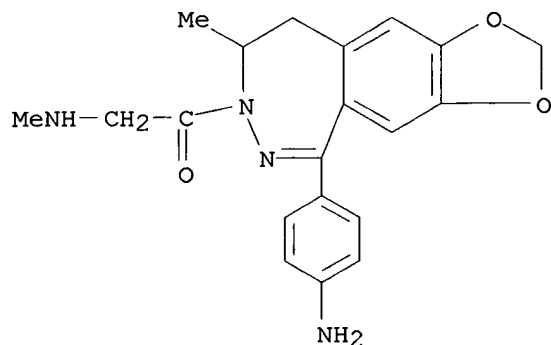


RN 143692-37-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-[(aminoacetyl)amino]phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA
 INDEX NAME)

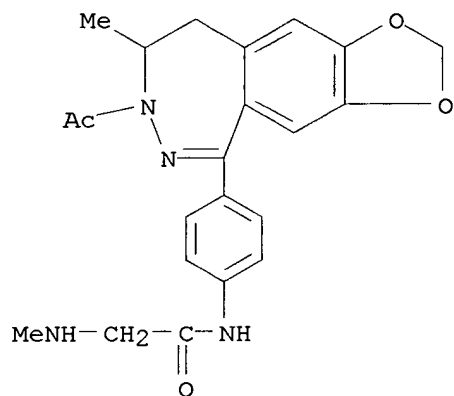


RN 143692-38-0 CAPLUS

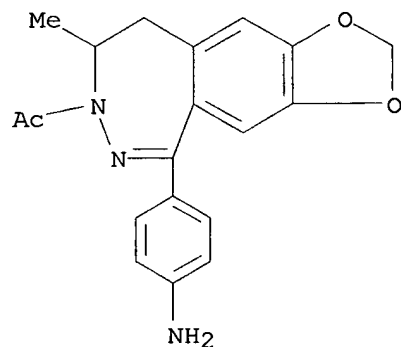
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
5-(4-aminophenyl)-8,9-dihydro-8-
methyl-7-[(methylamino)acetyl]- (9CI) (CA INDEX NAME)



RN 143692-39-1 CAPLUS
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

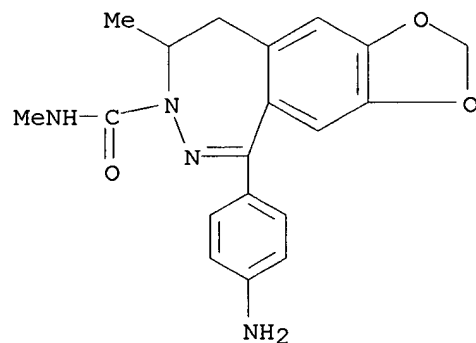


RN 143692-40-4 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
dihydro-8-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



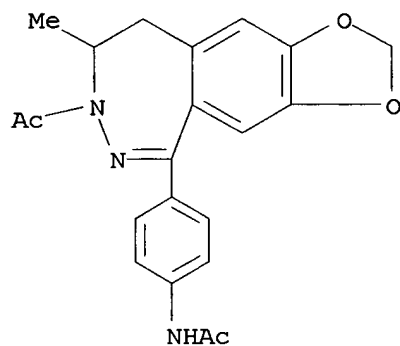
● HCl

RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA
 INDEX NAME)



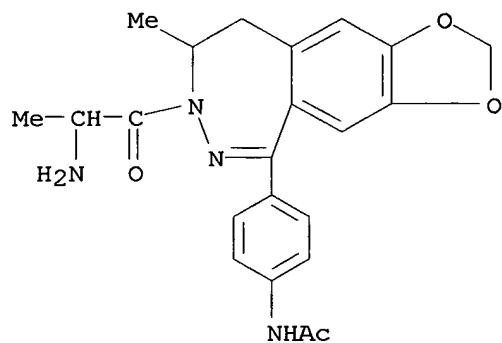
● HCl

RN 143692-53-9 CAPLUS
 CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



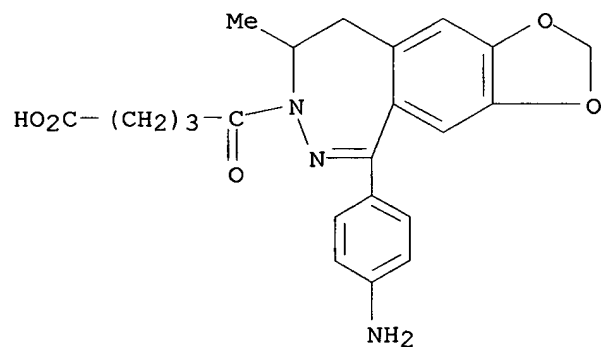
RN 143715-46-2 CAPLUS

CN Acetamide, N-[4-[7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143715-47-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-.delta.-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

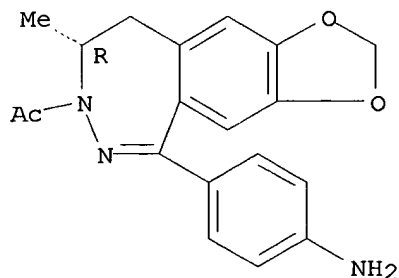


HCl

RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

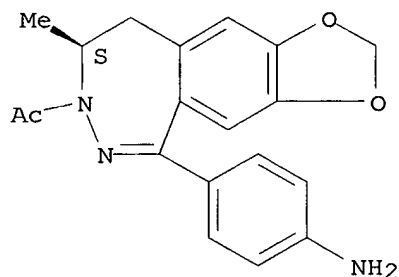
Absolute stereochemistry.



RN 161832-67-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

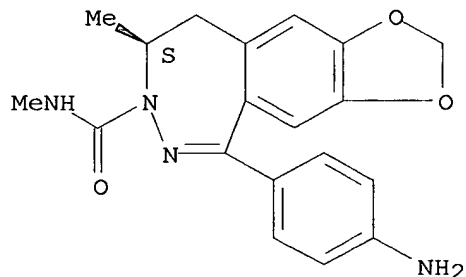
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

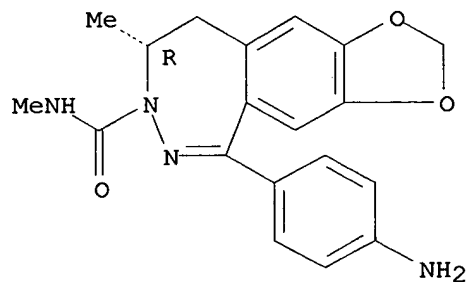
Absolute stereochemistry. Rotation (+).



RN 161832-71-9 CAPLUS

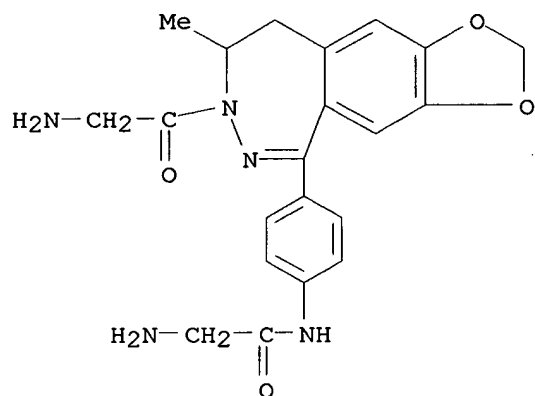
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



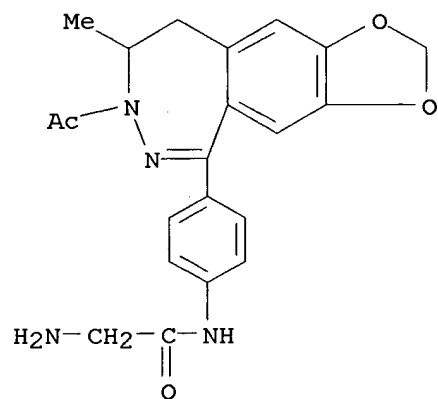
RN 173087-57-5 CAPLUS

CN Acetamide, 2-amino-N-[4-[7-(aminoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 173087-59-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, monohydrochloride (9CI) (CA INDEX NAME)

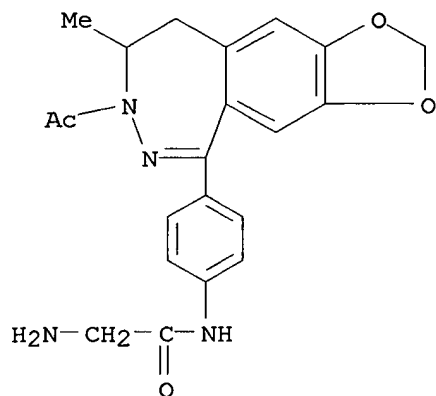


HCl

RN 179107-25-6 CAPLUS
 CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, (2E)-2-butenedioate (1:1)
 (9CI) (CA INDEX NAME)

CM 1

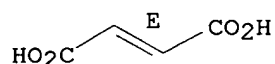
CRN 143692-54-0
 CMF C21 H22 N4 O4



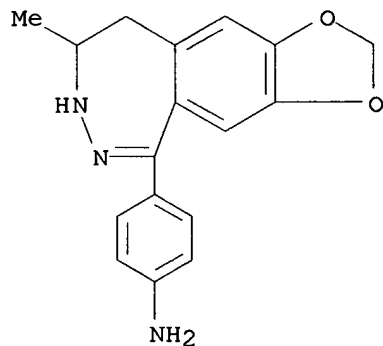
CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.

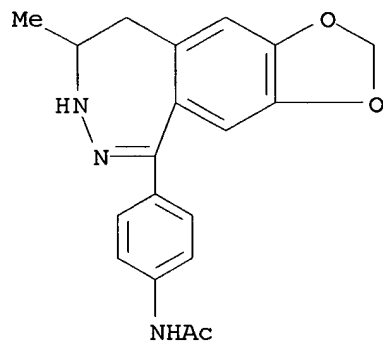


IT **114460-08-1 143692-41-5**
 RL: RCT (Reactant)
 (N-acetyl 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-2,3-benzodiazepine derivs. as excitatory amino acid antagonists useful as anticonvulsants, muscle relaxants, and neuroprotectants)
 RN 114460-08-1 CAPLUS
 CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)



RN 143692-41-5 CAPLUS

CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

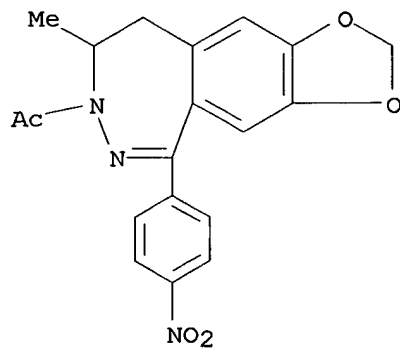


IT 143691-46-7P 143691-47-8P 143691-48-9P
 143691-49-0P 143691-50-3P 143691-51-4P
 143691-52-5P 143691-53-6P 143691-54-7P
 143691-55-8P 143691-56-9P 143692-02-8P
 143692-03-9P 143692-04-0P 143692-05-1P
 143692-06-2P 143692-07-3P 143692-42-6P
 143692-43-7P 143692-44-8P 143692-45-9P
 143692-46-0P 143692-49-3P 143692-50-6P
 143692-51-7P 143692-52-8P 161832-62-8P
 161832-63-9P 161832-64-0P 161832-66-2P
 161832-68-4P 161832-70-8P 173087-60-0P
 173087-61-1P 173087-62-2P 179107-23-4P
 179107-24-5P

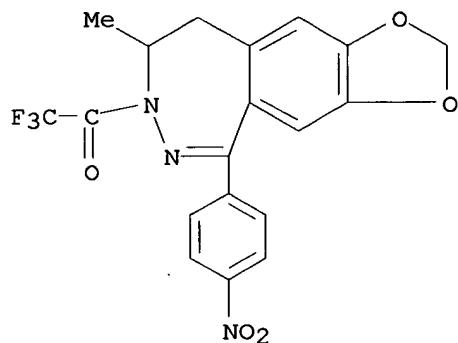
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (N-acyl 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-2,3-benzodiazepine derivs. as excitatory amino acid antagonists useful as anticonvulsants, muscle relaxants, and neuroprotectants)

RN 143691-46-7 CAPLUS

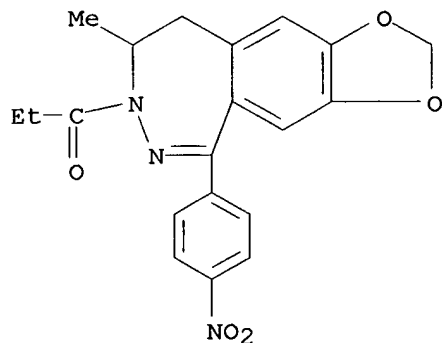
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



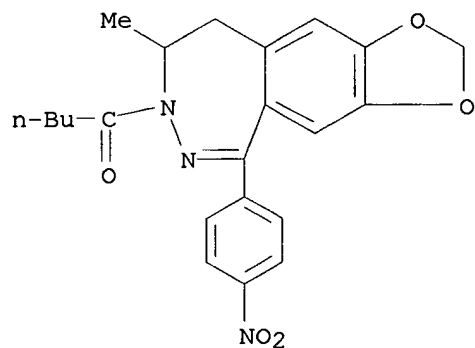
RN 143691-47-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



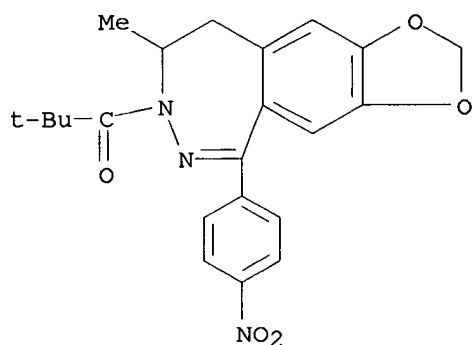
RN 143691-48-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



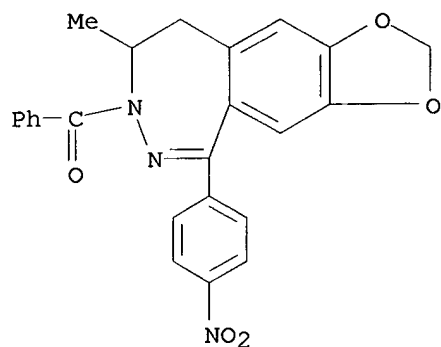
RN 143691-49-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



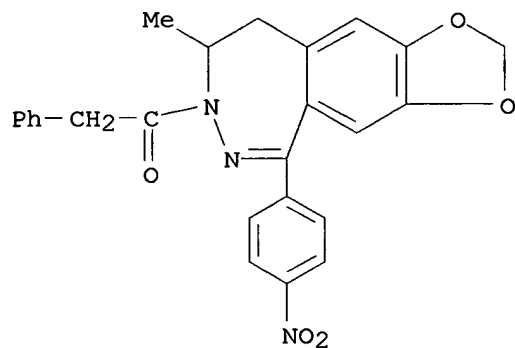
RN 143691-50-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-(2,2-dimethyl-1-oxopropyl)-8,9-
 dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-51-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-benzoyl-8,9-dihydro-8-methyl-5-
 (4-nitrophenyl)- (9CI) (CA INDEX NAME)

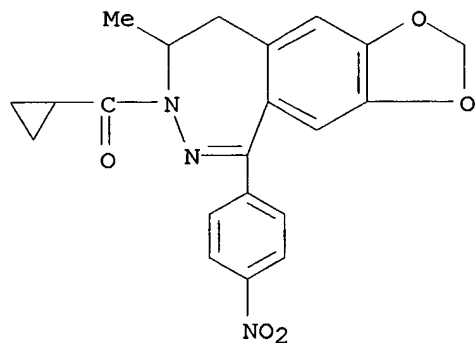


RN 143691-52-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-
 nitrophenyl)-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



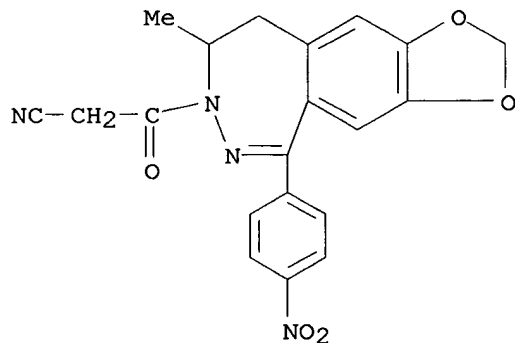
RN 143691-53-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



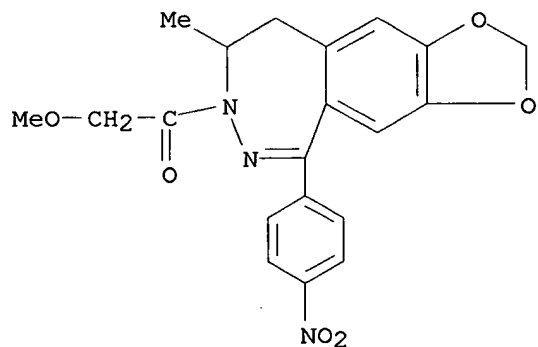
RN 143691-54-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyanoacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



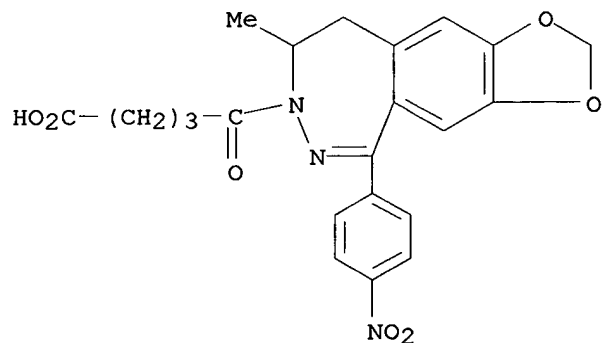
RN 143691-55-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-7-(methoxyacetyl)-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



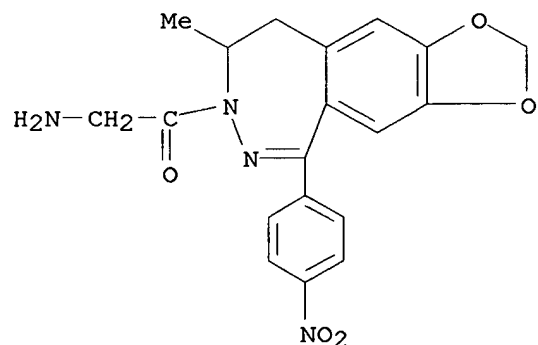
RN 143691-56-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
8,9-dihydro-8-methyl-5-(4-nitrophenyl)-.delta.-oxo- (9CI) (CA INDEX
NAME)



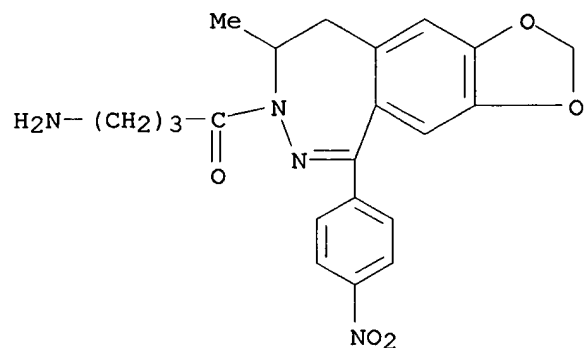
RN 143692-02-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-8,9-dihydro-8-
methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



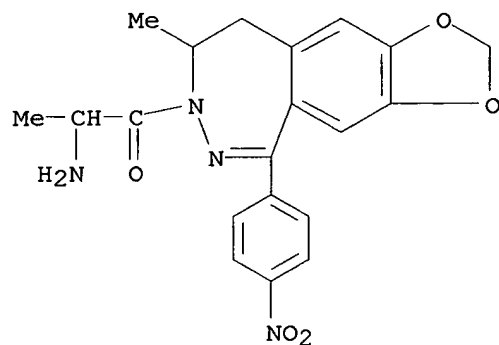
RN 143692-03-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-8,9-
dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



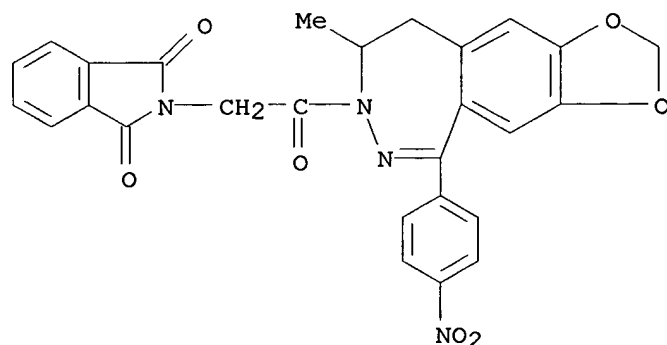
RN 143692-04-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



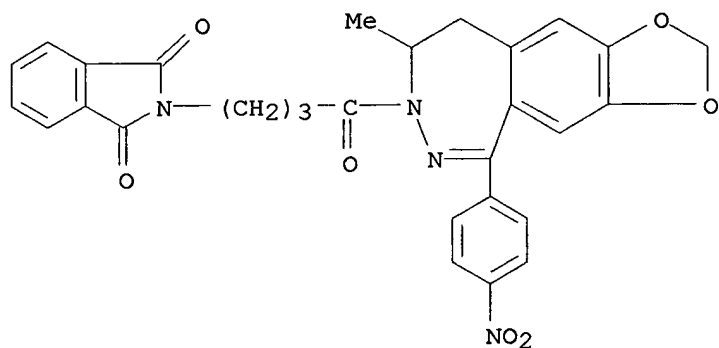
RN 143692-05-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



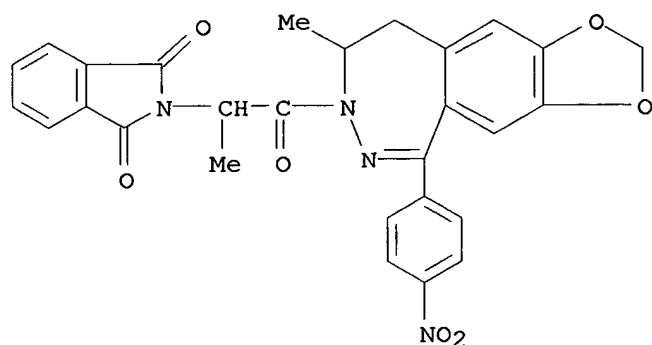
RN 143692-06-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-07-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-8,9-dihydro-8-methyl-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



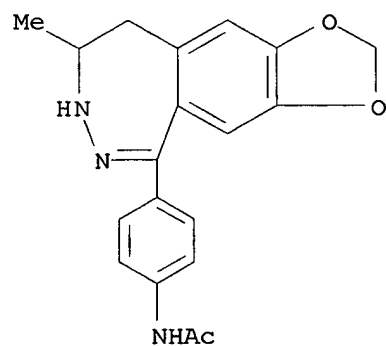
RN 143692-42-6 CAPLUS

CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 143692-41-5

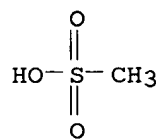
CMF C19 H19 N3 O3



CM 2

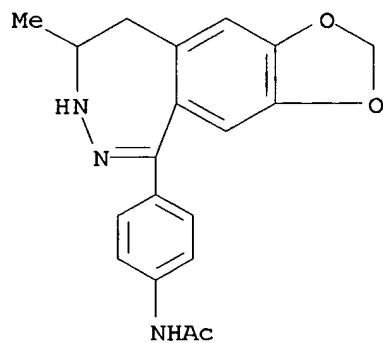
CRN 75-75-2

CMF C H4 O3 S



RN 143692-43-7 CAPLUS

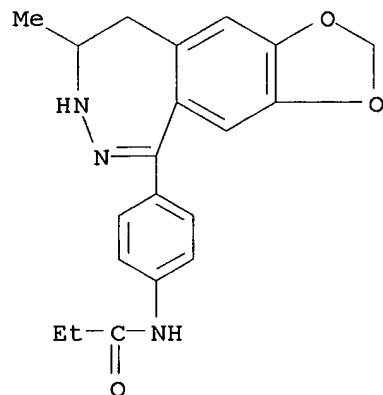
CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

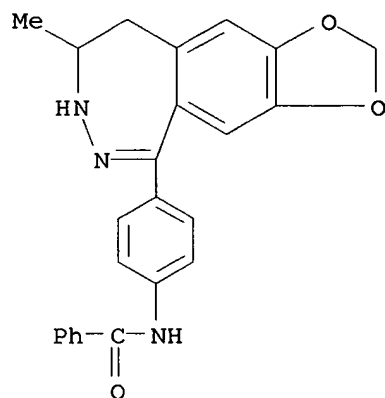
RN 143692-44-8 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



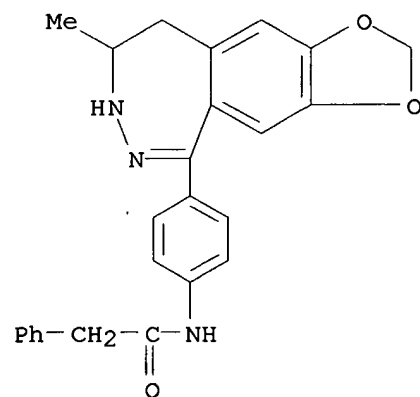
RN 143692-45-9 CAPLUS

CN Benzamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



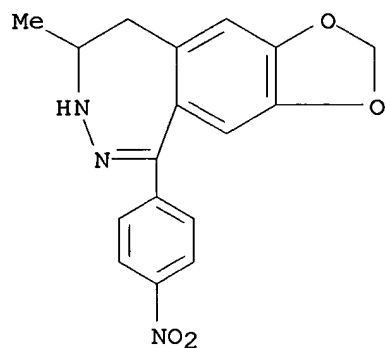
RN 143692-46-0 CAPLUS

CN Benzeneacetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



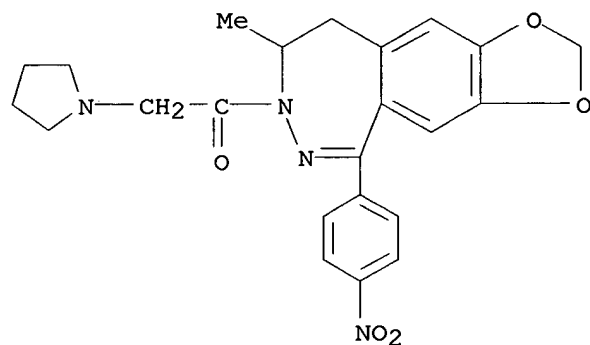
RN 143692-49-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



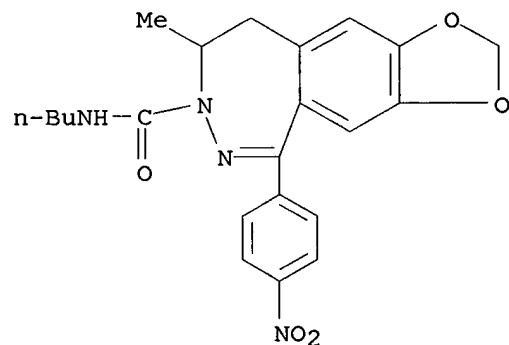
RN 143692-50-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



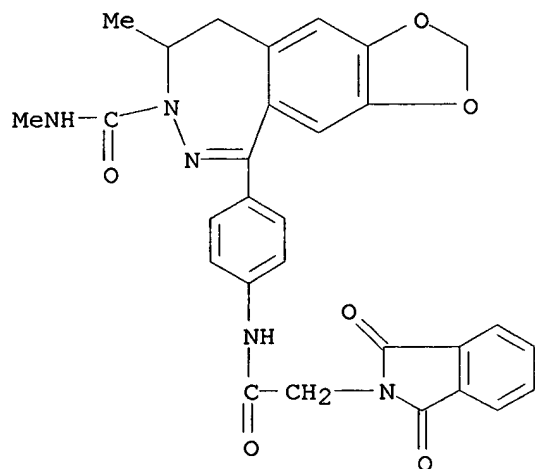
RN 143692-51-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, N-butyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-52-8 CAPLUS

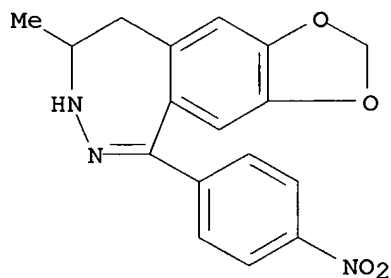
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-[4-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]amino]phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 161832-62-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (-)-(9CI) (CA INDEX NAME)

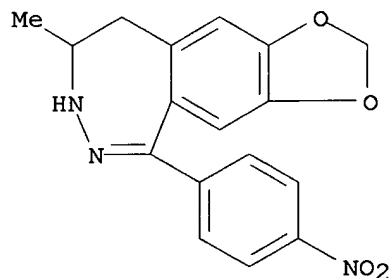
Rotation (-).



RN 161832-63-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)-(9CI) (CA INDEX NAME)

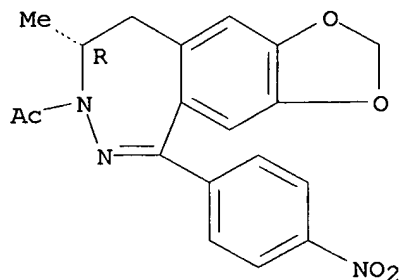
Rotation (+).



RN 161832-64-0 CAPLUS

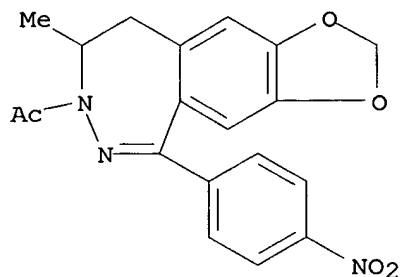
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



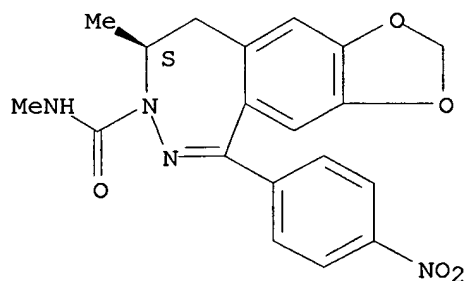
RN 161832-66-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-
 (4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



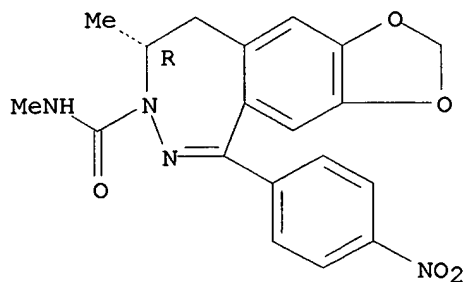
RN 161832-68-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

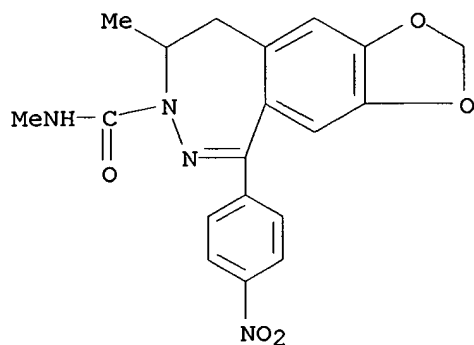


RN 161832-70-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)- (9CI) (CA INDEX NAME)

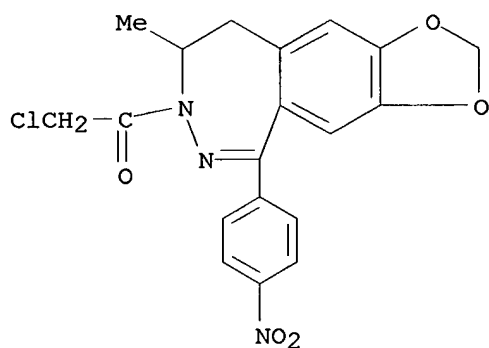
Absolute stereochemistry.



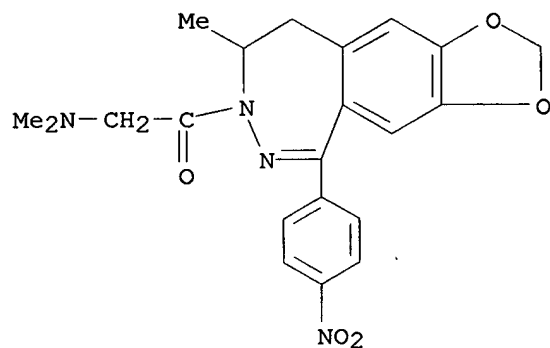
RN 173087-60-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 173087-61-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(chloroacetyl)-8,9-dihydro-8-
 methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 173087-62-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(dimethylamino)acetyl]-8,9-
 dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 179107-23-4 CAPLUS

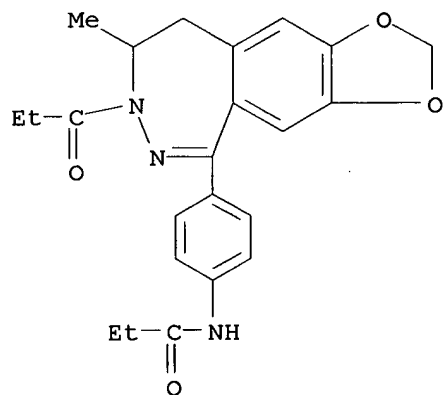
CN Propanamide,

N-[4-[(8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 143691-63-8

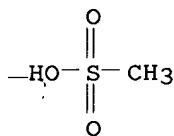
CMF C23 H25 N3 O4



CM 2

CRN 75-75-2

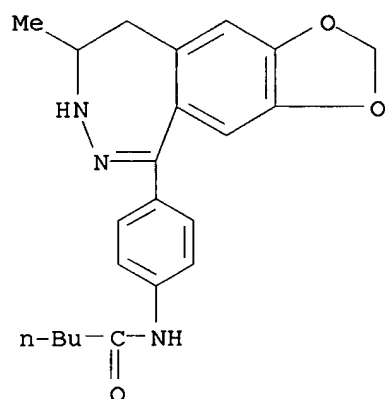
CMF C H4 O3 S



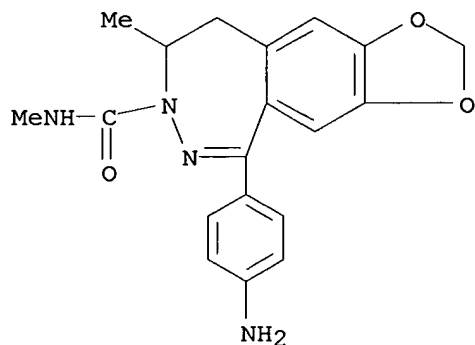
RN 179107-24-5 CAPLUS

CN Pentanamide, N-[4-[(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-

h) [2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



61862 ~~13~~ ANSWER 40 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1996:347704 CAPLUS
 DN 125:104846
 TI Pharmacological characterization of AMPA-induced biting behavior in mice
 AU Brambilla, Alessandro; Prudentino, Aida; Grippa, Nicoletta; Borsini, Franco
 CS Department of Biology, Boehringer Ingelheim Italia, Via Lorenzini 8, 20139, Milan, Italy
 SO Eur. J. Pharmacol. (1996), 305(1-3), 115-117
 CODEN: EJPHAZ; ISSN: 0014-2999
 DT Journal
 LA English
 AB The spinal cord dorsal horn contains neural mechanisms which can greatly facilitate pain. It is well established that excitatory amino acids, aspartate and glutamate, are involved in the spinal transmission of nociceptive information and in the development of hyperalgesia. In the present study, intrathecal (i.t.) administration of .alpha.-amino-3-hydroxy-5-methyl-4-isoxazole-propionic acid (AMPA), a structural analog of L-glutamate, produced a dose-dependent behavioral syndrome characterized by caudally directed biting in mice. We demonstrated that peripheral pre-administration of the AMPA receptor antagonists 2,3-dihydroxy-6-nitro-7-sulfamoylbenzo(F)quinoxaline (NBQX, 10-100 mg/kg s.c.) and 1-(4-aminophenyl)-3-methylcarbamoyl-4-methyl-3,4-dihydro-7,8-methylenedioxy-5H-2,3-benzodiazepine-HCl (GYKI 53655, 3-10 mg/kg s.c.), and also of the NMDA receptor antagonist 5-methyl-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5,10-imine maleate (MK 801, 0.3-1 mg/kg s.c.) reversed this effect. These findings suggest that the hyperalgesia induced by the i.t. injection of AMPA in mice involves the activation of both NMDA and non-NMDA excitatory amino acid receptor sites.
 IT **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (pharmacol. characterization of AMPA-induced biting behavior)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



see 53 of 62
 123 ANSWER 41 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1996:313499 CAPLUS
 DN 125:33693
 TI Stereoselective process for producing dihydro-2,3-benzodiazepine derivatives
 IN Anderson, Benjamin; Hansen, Marvin Martin; Vicenzi, Jeffrey Thomas; Varie,

David Lee; Zmijewski, Milton Joseph

PA Lilly, Eli, and Co., USA

SO Eur. Pat. Appl., 22 pp.

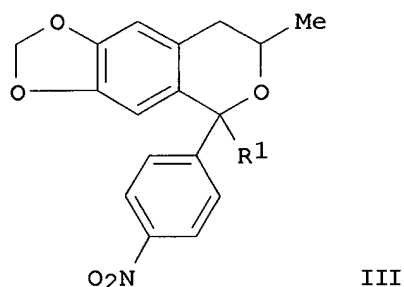
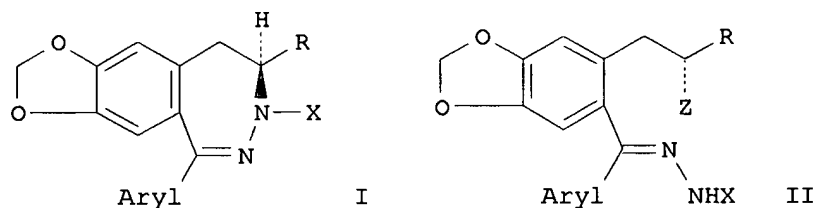
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 699677	A1	19960306	EP 1995-306051	19950830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5665878	A	19970909	US 1995-413036	19950328
PRAI	US 1994-298645	A	19940831		
	US 1995-413036	A	19950328		
OS	CASREACT 125:33693; MARPAT 125:33693				
GI					



AB A process for stereoselectively forming N-substituted dihydro-2,3 benzodiazepines (I; R = H, C1-10 alkyl; X = H, C1-10 alkyl, acyl, aryl, CO2H, or substituted deriv. thereof, protecting group), which are useful as AMPA receptor antagonists, involves cyclization of a hydrazone (II; Z

=

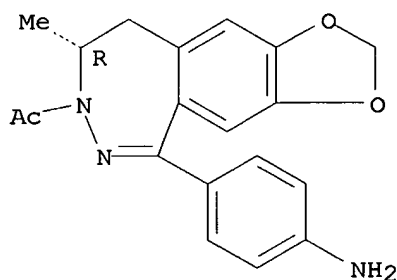
leaving atom or group; X, R = same as above). In particular the cyclization is effected (1) by reacting the alc. II (Z = OH; R, X = same as above) with a sulfonyl halide reagent and a base to form an intermediate sulfonate or (1) by direct Mitsunobu cyclization. Novel

intermediates, useful in the process, are also prepd. Thus, microbial redn. of 3,4-methylenedioxypheylacetone using *Zygosaccharomyces rouxii* to (S)-.alpha.-methyl-1,3-benzodioxole-5-ethanol (85-90% isolated yield, 100% e.e.) followed by cyclocondensation with p-nitrobenzaldehyde in toluene contg. 1.05 equiv concd. HCl at 55-65.degree. gave a 1,3-dioxolo[4,5-g][2]benzopyran deriv. [(5RS,7S)-III; R = H] (87-93% isolated yield, 100% e.e.). Oxidn. of the latter compd. with air in DMSO/DMF at 8-12.degree. followed by treatment with 50% aq. NaOH and stirring the resulting mixt. for 4.5 h and then acidification with 1 N HCl gave a 1,3-dioxolo[4,5-g][2]benzopyran-5-ol deriv. (5RS,7S)-III (R = OH), which was condensed with H2NNHAc in EtOH contg. concd. HCl to give the hydrazone II (R = Me, Z = HO, Aryl = p-nitrophenyl, X = Ac) (91% yield, 1:1 isomeric mixt.). Mesylation of the latter compd. with methanesulfonyl chloride and Et3N in CH2Cl2 gave the mesylate II (R = Me, Z = OSO2Me, Aryl = p-nitrophenyl, X = Ac) (87% yield, 3:1 isomeric mixt.), which was dissolved in MeOH, treated with 50% aq. NaOH, and stirred for 4 h to give 90% the title compd. I (R = Me, Aryl = p-nitrophenyl, X = Ac) (93% yield, 100% purity). Redn. of the latter compd. with potassium formate in the presence of 10% Pd-C in H2O/EtOH gave the title amine I (R = Me, Aryl = p-aminophenyl, X = Ac) (93% yield, 100% purity).

IT **161832-65-1P**
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (stereoselective process for producing dihydrobenzodiazepine deriv. as AMPA receptor antagonist)

RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

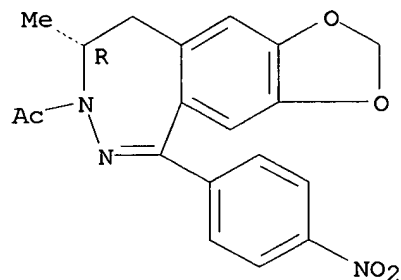
Absolute stereochemistry.



IT **161832-64-0P 161832-70-8P 161832-71-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (stereoselective process for producing dihydrobenzodiazepine deriv. as AMPA receptor antagonist)

RN 161832-64-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

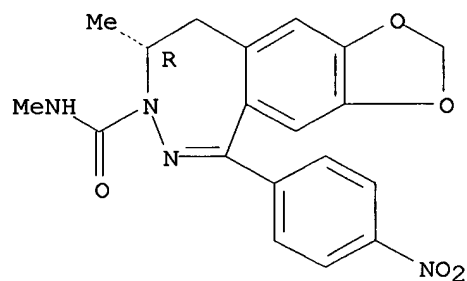
Absolute stereochemistry. Rotation (-).



RN 161832-70-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)- (9CI) (CA INDEX NAME)

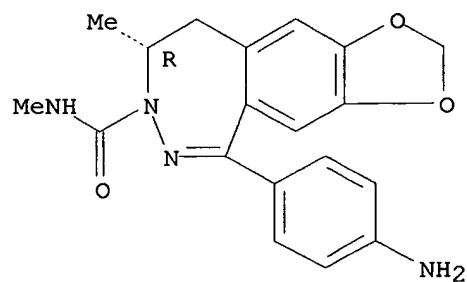
Absolute stereochemistry.



RN 161832-71-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

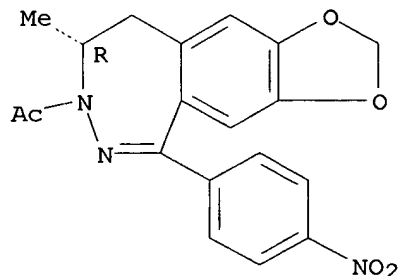
Absolute stereochemistry. Rotation (-).



123 ANSWER 42 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1996:313494 CAPLUS
 DN 124:343339
 TI Preparation of a new crystalline form of (R)-7-acetyl-5-(4-aminophenyl)-
 8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine
 IN Anderson, Benjamin Alan; Hansen, Marvin Martin; Vicenzi, Jeffrey Thomas;
 Varie, David Lee; Zmijewski, Milton Joseph; Groleau, Edward Grant
 PA Lilly, Eli, and Co., USA
 SO Eur. Pat. Appl., 19 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 699678	A1	19960306	EP 1995-306052	19950830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2157248	AA	19960301	CA 1995-2157248	19950830
	FI 9504066	A	19960301	FI 1995-4066	19950830
	NO 9503394	A	19960301	NO 1995-3394	19950830
	AU 9530357	A1	19960314	AU 1995-30357	19950830
	AU 695424	B2	19980813		
	JP 08081469	A2	19960326	JP 1995-221575	19950830
	HU 72675	A2	19960528	HU 1995-2549	19950830
	CN 1128264	A	19960807	CN 1995-109527	19950830
	BR 9503843	A	19960910	BR 1995-3843	19950830
	IL 115102	A1	19981227	IL 1995-115102	19950830
PRAI	US 1994-298645	A	19940831		
	US 1995-412242	A	19950328		
AB	A phys. form of the title compd. (I) characterized by a given x-ray spectrum was prepd. in 7 steps from 3,4-methylenedioxyphenylacetone. I				
is	useful as an AMPA antagonist.				
IT	161832-64-0P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of a new cryst. form of (R)-7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine)				
RN	161832-64-0 CAPLUS				
CN	7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry. Rotation (-).



IT **161832-65-1P**
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

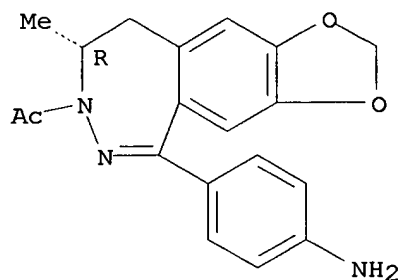
(Preparation)

(prepn. of a new cryst. form of (R)-7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine)

RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



see 53 of 2
 L28 ANSWER 43 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1996:307308 CAPLUS

DN 124:343338

TI Physical form of dihydro-2,3-benzodiazepine derivative useful as an AMPA antagonist

IN Anderson, Benjamin Alan; Hansen, Marvin Martin; Vicenzi, Jeffrey Thomas; Varie, David Lee; Zmijewski, Milton Joseph, Jr.; Harkness, Allen Robert

PA Lilly, Eli, and Co., USA

SO Eur. Pat. Appl., 19 pp.

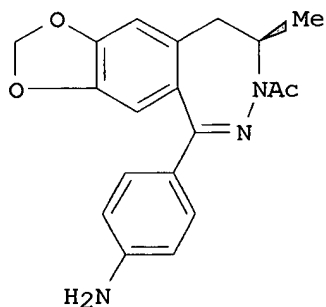
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 699676	A1	19960306	EP 1995-306048	19950830
	EP 699676	B1	20010207		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, MC, NL, PT, SE				
	CA 2157247	AA	19960301	CA 1995-2157247	19950830
	FI 9504065	A	19960301	FI 1995-4065	19950830
	NO 9503395	A	19960301	NO 1995-3395	19950830
	AU 9530356	A1	19960314	AU 1995-30356	19950830
	AU 696243	B2	19980903		
	JP 08092255	A2	19960409	JP 1995-221572	19950830
	CN 1122338	A	19960515	CN 1995-109526	19950830
	HU 72673	A2	19960528	HU 1995-2547	19950830
	BR 9503844	A	19960910	BR 1995-3844	19950830
	IL 115101	A1	19981227	IL 1995-115101	19950830
	AT 199086	E	20010215	AT 1995-306048	19950830
	ES 2153879	T3	20010316	ES 1995-306048	19950830
PRAI	US 1994-298645	A	19940831		
	US 1995-413024	A	19950328		
OS	CASREACT 124:343338				
GI					



AB A phys. form of

(R)-7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine (I) is disclosed, having an x-ray powder

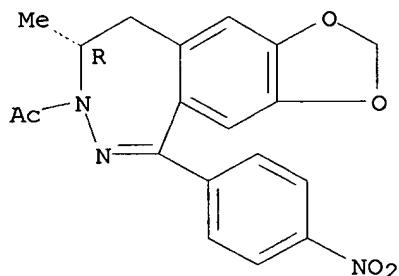
diffraction pattern with d spacings at 10.61, 8.83, 6.78, 5.83, 4.13 and 3.74 .ANG.. The compd. is an AMPA antagonist (no data), useful for treating a variety of CNS and other disorders. I is prepd. in approx. 7

steps with several variations. For example, reductive fermn. of (3,4-methylenedioxyphenyl)acetone with *Zygosaccharomyces rouxii* ATCC 14462 gave (S)-.alpha.-methyl-1,3-benzodioxole-5-ethanol in 85-90% isolated yield and 100% ee. This underwent cyclization with p-nitrobenzaldehyde to a benzopyran deriv. (87-93%), atm. hydroxylation in DMSO-DMF to a cyclic hemiacetal, ring cleavage by AcNHNH₂ to an alc./hydrazone (91%), mesylation of the alc. (87%), cyclization of the mesylate/hydrazone (90%), and redn. of the nitro group with aq. K formate over Pd/C (93%), giving form IV of I. Two chem. variants of the 1st step, and preps. of forms I, II, and III of I using different redn. procedures in the last step, are also described.

IT **161832-64-0P**
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (intermediate; prepn. of dihydro-2,3-benzodiazepine deriv. phys. form as AMPA receptor antagonist)

RN 161832-64-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

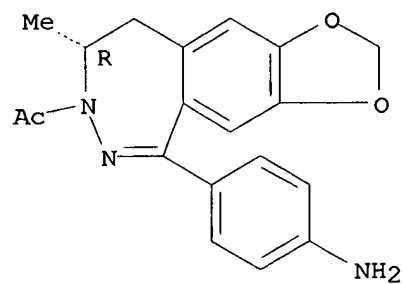
Absolute stereochemistry. Rotation (-).



IT **161832-65-1P**
 RL: BAC (Biological activity or effector, except adverse); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of dihydro-2,3-benzodiazepine deriv. phys. form as AMPA receptor antagonist)

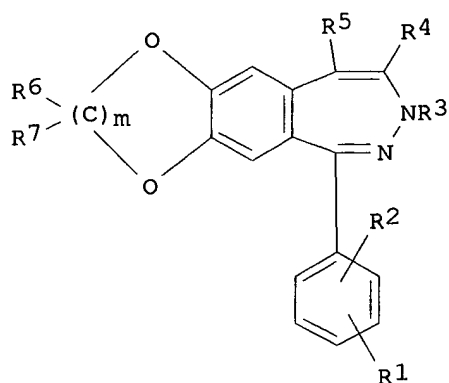
RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~23~~ ANSWER 44 OF 62 CAPLUS COPYRIGHT 2001 ACS
 ANS 1996:252228 CAPLUS
 DN 124:289586
 TI Preparation of 3-substituted (3H)-2,3-benzodiazepine AMPA receptor antagonists
 IN Hamori, Tamas; Tarnawa, Istvan; Solyom, Sandor; Berzsenyi, Pal; Erzsebet, Birkas Falglne; Andrasi, Ferenc; Ling, Istvan; Hasko, Tibor; Kapus, Gabor;
 et al.
 PA Schering A.-G., Germany
 SO Ger. Offen., 7 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4428835	A1	19960208	DE 1994-4428835	19940801
	CA 2195747	AA	19960215	CA 1995-2195747	19950728
	WO 9604283	A1	19960215	WO 1995-DE1029	19950728
	W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, RU, SK, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9531609	A1	19960304	AU 1995-31609	19950728
	AU 693241	B2	19980625		
	EP 775143	A1	19970528	EP 1995-927636	19950728
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
	SE	CN 1155285	A	19970723	CN 1995-194402
CN 1048728		B	20000126		
HU 76801		A2	19971128	HU 1997-320	19950728
JP 10504543		T2	19980506	JP 1995-506095	19950728
FI 9700434		A	19970131	FI 1997-434	19970131
NO 9700435		A	19970131	NO 1997-435	19970131
US 5756495		A	19980526	US 1997-776536	19970131
PRAI DE 1994-4428835			19940801		
WO 1995-DE1029			19950728		
OS MARPAT 124:289586					
GI					



AB The title compds. [I; R1, R2 = H, alkyl, NO2, halogen, (un)substituted amino; R3 = COR10; R10 = H, (un)substituted alkyl, (un)substituted aryl, (un)substituted amino; R4 = (un)substituted C1-6 alkyl; R5 = H, (un)substituted C1-6 alkyl; R6, R7 =H, (un)substituted C1-6 alkyl, (un)substituted aryl; n = 0-3], useful for the treatment of neuol. and psychiatric diseases due to the overstimulation of AMPA receptors (no data), are prepd. Thus, 5-(4-nitrophenyl)-8-methyl-9H-7H-1,3-dioxolo[4,5H][2,3]benzodiazepine (sic) was reacted with Ac2O, producing

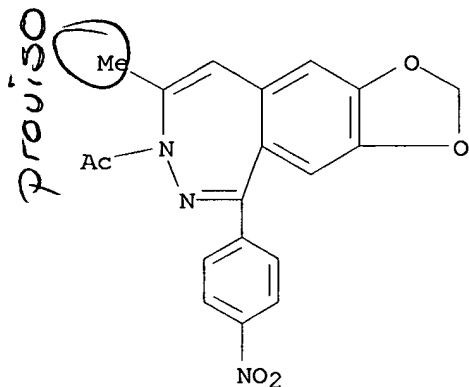
7-acetyl-5-(4-aminophenyl)-8-methyl-7H-1,3-dioxolo[4,5H][2,3]benzodiazepine, m.p. 205-207.degree..

IT **175715-10-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-substituted (3H)-2,3-benzodiazepine AMPA receptor antagonists)

RN 175715-10-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

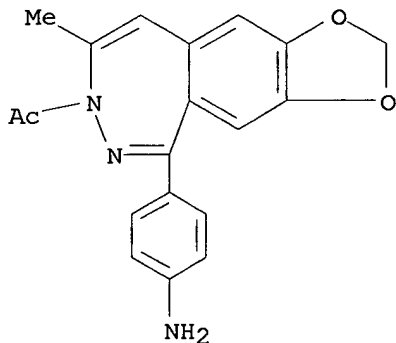


IT **175715-09-0P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-substituted (3H)-2,3-benzodiazepine AMPA receptor antagonists)

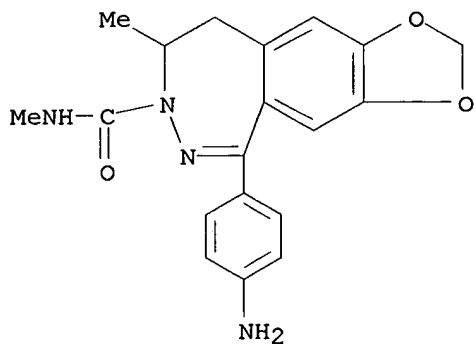
RN 175715-09-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8-methyl- (9CI) (CA INDEX NAME)



see 61 of 62

L23 ANSWER 45 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1996:238529 CAPLUS
 DN 124:336978
 TI Comparison of chiral separations on polysaccharide chiral stationary phases to an improved Pirkle phase
 AU Kennedy, Joseph H.
 CS Chemical Process Research and Development, Lilly Research Laboratories, Indianapolis, IN, 46285, USA
 SO J. Chromatogr., A (1996), 725(2), 219-24
 CODEN: JCRAEY; ISSN: 0021-9673
 DT Journal
 LA English
 AB Polysaccharide chiral stationary phases (CSPs) Chiralcel OD, OJ, Chiralpak AD, AS, and a chem. derived Whelk-O 1 were evaluated for sepn. of different types of racemic compds. When possible, small differences in a structure such as conversion of ketone to alc. were compared to investigate differences in chiral sepn. capabilities of a given CSP. Comparison of sepns. on the Whelk-O 1 and polysaccharide CSPs are presented. Correlations between arom. bulk of a mol. and chiral recognition as well as functional groups on mols. which enhance chiral recognition are discussed.
 IT **143692-18-6**
 RL: ANT (Analyte); ANST (Analytical study)
 (comparison of polysaccharide chiral stationary phases on enantiomeric sepns.)
 RN 143692-18-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



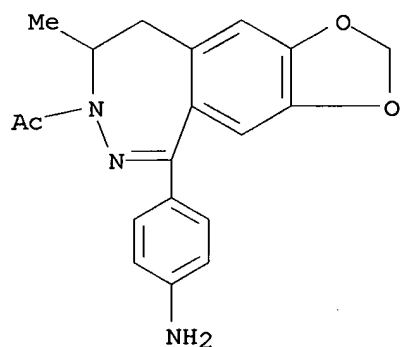
see 61 of 62

L23 ANSWER 46 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1996:203494 CAPLUS
 DN 124:278974
 TI Interactions of 2,3-benzodiazepines and cyclothiazide at AMPA receptors: patch clamp recordings in cultured neurons and area CA1 in hippocampal slices
 AU Rammes, Gerhard; Swandulla, Dieter; Collingridge, Graham L.; Hartmann, Sabine; Parsons, Chris G.
 CS Inst. Exp. Clinical Pharmacol. Toxicol., Univ. Erlangen, Erlangen, D-91054, Germany
 SO Br. J. Pharmacol. (1996), 117(6), 1209-21
 CODEN: BJPCBM; ISSN: 0007-1188
 DT Journal
 LA English
 AB The 2,3-benzodiazepines GYKI 52466, GYKI 53405 and GYKI 53655 antagonized AMPA-induced currents in cultured superior colliculus neurons in a non use-dependent manner (steady state IC50s: GYKI 52466 9.8 .mu.M; GYKI 53405 3.1 .mu.M; GYKI 53655 0.8 .mu.M). Higher concns. of all three antagonists slowed the onset kinetics and quickened the offset kinetics of AMPA-induced currents indicative of an allosteric interaction with the AMPA recognition site. Cyclothiazide (3-300 .mu.M) dramatically slowed desensitization of AMPA-induced currents and potentiated steady state currents (EC50 10.0 .mu.M) to a much greater degree than peak currents. Both .tau.on and .tau.off were also increased by cyclothiazide in a concn.-dependent manner (EC50: .tau.on 42.1 .mu.M; .tau.off 31.6 .mu.M). Cyclothiazide (10-100 .mu.M) shifted the concn.-response curves of the 2,3-benzodiazepines to the right. For example, with 10 .mu.M cyclothiazide the IC50s of GYKI 52466 and GYKI 53405 on steady-state AMPA-induced currents were 57.9 and 41.6 .mu.M, resp. GYKI 53405 and GYKI 52466 concn.-dependently reversed the effects of cyclothiazide (100 .mu.M) on offset kinetics (GYKI 53405 IC50 16.6 .mu.M). However, the 2,3-benzodiazepines were unable to reintroduce desensitization in the presence of cyclothiazide and even concn.-dependently slowed the onset kinetics of AMPA responses further (GYKI 53405 EC50 8.0 .mu.M). GYKI 52466 decreased the peak amplitude of hippocampal area CA1 AMPA receptor-mediated excitatory postsynaptic currents (e.p.s.cs) (IC50 10.8 .mu.M) with no apparent effect on response kinetics. Cyclothiazide prolonged the decay time const. of AMPA receptor-mediated e.p.s.cs (EC50 35.7 .mu.M) with less pronounced effects in slowing e.p.s.c. onset kinetics and increasing e.p.s.c. amplitude. Cyclothiazide (330 .mu.M) shifted the concn.-response curve for the effects of GYKI 52466 on AMPA receptor-mediated e.p.s.c. peak amplitude to the right (GYKI 52466 IC50 26.9 .mu.M). Likewise, GYKI 52466 (30-100 .mu.M) shifted the concn.-response curve for the effects of cyclothiazide on AMPA receptor-mediated e.p.s.c. decay time consts. to the right. In conclusion, cyclothiazide and the 2,3-benzodiazepines seem to bind to different sites on AMPA receptors but exert strong allosteric interactions with one another and with other domains such as the agonist recognition site. The interactions of GYKI 52466 and cyclothiazide on AMPA receptor-mediated e.p.s.cs in area CA1 of hippocampal slices provide evidence that the decay time const. of these synaptic events are not governed by desensitization.
 IT 143691-37-6, GYKI 53405 143692-48-2, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)
 (interactions of 2,3-benzodiazepines and cyclothiazide at AMPA
 receptors in cultured neurons and area CA1 in hippocampal slices)

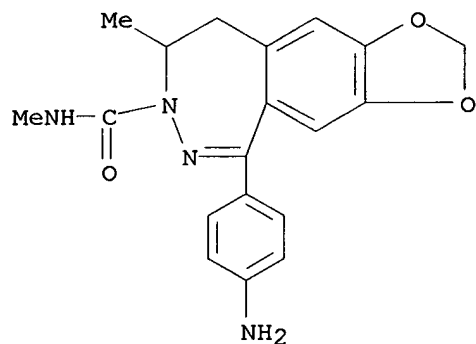
RN 143691-37-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143692-48-2 CAPLUS

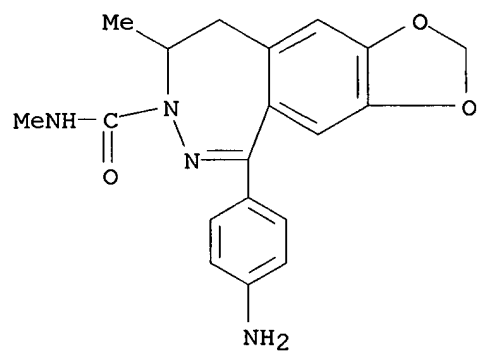
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



⊙ HCl

see 61 of 62

L23 ANSWER 47 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1996:76141 CAPLUS
 DN 124:165107
 TI Negative allosteric modulation of wild-type and mutant AMPA receptors by GYKI 53655
 AU Partin, Kathryn M.; Mayer, Mark L.
 CS Lab. Cellular Molecular Neurophysiology, National Inst. Child Health Human Development, Bethesda, MD, 20892-4495, USA
 SO Mol. Pharmacol. (1996), 49(1), 142-8
 CODEN: MOPMA3; ISSN: 0026-895X
 DT Journal
 LA English
 AB Benzothiadiazides such as cyclothiazide potentiate .alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA) receptor responses, whereas 2,3-benzodiazepines such as 1-(4-aminophenyl)-3-methylcarbamyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine (GYKI 53655) act as noncompetitive antagonists; both drugs act through allosteric modulation. Controversy exists as to whether cyclothiazide and GYKI 53655 act at a common site. Recent mutational anal. has led to the identification of a serine residue in flip splice variants that is crit. for directing the interaction of cyclothiazide with AMPA receptors. The authors tested whether the mutation of this residue to glutamine, which abolishes potentiation by cyclothiazide, can in addn. block antagonism by 2,3-benzodiazepines, as would be predicted for action at a common site. The authors found that the S to Q mutation does not alter antagonism by 2,3-benzodiazepines, suggesting that the mol. determinants directing the interaction between GYKI 53655 and AMPA receptors are not identical to those controlling sensitivity to cyclothiazide. Addnl. support for this was obtained from anal. of the responses of AMPA receptor flip/flop splice variants, which, despite differences in equil. desensitization and sensitivity to cyclothiazide, show only small differences in sensitivity to 2,3-benzodiazepines. Furthermore, introduction to the flip exon from GluR4 into GluR6, conferred sensitivity to cyclothiazide but did not increase sensitivity to 2,3-benzodiazepines. Of interest, expts. with native AMPA receptors generated from hippocampal and forebrain poly(A)+ mRNA revealed greater sensitivity to 2,3-benzodiazepines than receptors generated by expression of recombinant AMPA receptors, possibly indicating the existence of an unidentified accessory protein or novel receptor subunit.
 IT **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (neg. allosteric modulation of wild-type and mutant AMPA receptors by GYKI 53655)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

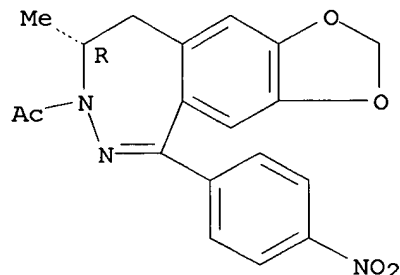


● HCl

see 53962

D3 ANSWER 48 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1995:950182 CAPLUS
 DN 124:117289
 TI Application of a Practical Biocatalytic Reduction to an Enantioselective Synthesis of the 5H-2,3-Benzodiazepine LY300164
 AU Anderson, Benjamin A.; Hansen, Marvin M.; Harkness, Allen R.; Henry, Cynthia L.; Vicenzi, Jeffrey T.; Zmijewski, Milton J.
 CS Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
 SO J. Am. Chem. Soc. (1995), 117(49), 12358-9
 CODEN: JACSAT; ISSN: 0002-7863
 DT Journal
 LA English
 AB An enantioselective synthesis of the noncompetitive AMPA antagonist LY300164 is described. The 5H-2,3-benzodiazepine nucleus was constructed by an intramol. hydrazone alkylation which results in clean inversion of
 a previously set stereocenter. The alkylation reaction was accomplished by a Mitsunobu reaction or alternatively, by the nucleophilic displacement
 of a mesylate group. A highly efficient enantioselective redn. of a Me benzyl ketone afforded the requisite stereochem. and was accomplished by
 a biocatalytic reaction employing the commonly available yeast *Zygosaccharomyces rouxii* (96% yield, >99.9% ee). Preparative scale prodn. of the alc. was accomplished by employing a two phase reaction system consisting of an aq. suspension of a medium polarity polymer resin.
 The optically pure benzodiazepine was prepd. in 7 steps and 51% overall yield.
 IT **161832-64-0P**
 RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (application of enzymic redn. to enantioselective synthesis of LY 300164)
 RN 161832-64-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

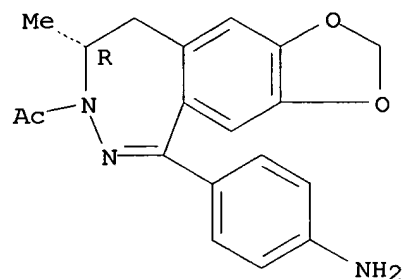
Absolute stereochemistry. Rotation (-).



IT **161832-65-1P**, LY 300164
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (application of enzymic redn. to enantioselective synthesis of LY 300164)
 RN 161832-65-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ANSWER 49 OF 62 CAPLUS COPYRIGHT 2001 ACS

1995:931616 CAPLUS

124:146210

N-acylated 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepines as anticonvulsants, muscle relaxants, and neuroprotective agents

Andrasi, Ferenc; Berzsenyi, Pal; Botka, Peter; Farkas, Sandor; Goldschmidt, Katalin; Hamori, Tamas; Korosi, Jenő; Moravcsik, Imre; Tarnawa, Istvan

Gyogyszerkutato Intezet Kft, Hung.

U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 48, 347, abandoned.

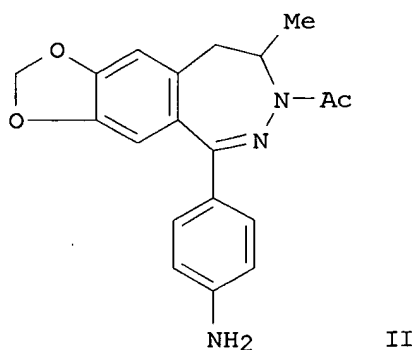
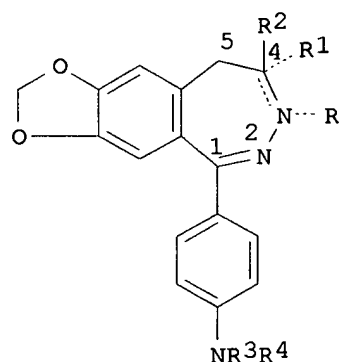
CODEN: USXXAM

Patent

English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5459137	A	19951017	US 1993-80604	19930621
	CZ 280769	B6	19960417	CZ 1991-3985	19911220
	US 5604223	A	19970218	US 1995-423032	19950321
	US 5536832	A	19960716	US 1995-423153	19950417
	US 5519019	A	19960521	US 1995-472454	19950607
	US 5521174	A	19960528	US 1995-477799	19950607
	US 5639751	A	19970617	US 1995-477801	19950607
PRAI	US 1991-809361	B2	19911217		
	US 1993-48347	B2	19930415		
	HU 1990-8398	A	19901221		
	US 1993-80604	A	19930621		
	US 1995-423152	B2	19950417		
	US 1995-423166	A2	19950417		
	US 1995-423380	B2	19950417		
OS	MARPAT 124:146210				
GI					



AB The invention relates to novel N-acyl-2,3-benzodiazepine derivs. of the general formula I wherein, R is a C1-C6 alkanoyl group optionally substituted by a methoxy, cyano, carboxyl, amino, C1-4 alkylamino, di(C1-4 alkyl)amino, pyrrolidino, phthalimido or Ph group, or by one or more halogen(s); or R is a benzoyl, cyclopropanecarbonyl, C1-C5 alkylcarbonyl

or phenylcarbamoyl group; or R is absent when a double bond exists between

the N(3) and C(4) atoms; R1 is hydrogen; or R1 is absent when a double bond exists between the N(3) and C(4) atoms; R2 is a C1-C3 alkyl group;

or

R1 and R2 together form a methylene group; R3 is hydrogen or a C1-4 alkanoyl group; R4 represents hydrogen; a C1-C6 alkanoyl group optionally substituted by a methoxy, cyano, carboxyl, amino, C1-C4 alkylamino, di(C1-C4 alkyl)amino, pyrrolidino, phthalimido or Ph group or by one or more halogen(s); or a benzoyl, palmitoyl, cyclopropanecarbonyl, C1-C5 alkylcarbamoyl or phenylcarbamoyl group; and the dotted lines represent valence bonds optionally being present, with the proviso that no double bond exists between the N(3) and C(4) atoms when both R3 and R4 stand for hydrogen; their stereoisomers and acid-addn. salts, pharmaceutical

comps.

contg. them and a process for their prepn. I possess valuable central nervous system effects, particularly muscle-relaxant, anticonvulsive and neuroprotective action. Thus, they may be useful for the treatment of various diseases of central nervous system origin. Thus, e.g., redn. of

1-(4-nitrophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine (prepn. given) with Raney nickel catalyst/hydrazine hydrate

afforded 1-(4-aminophenyl)-3-acetyl-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine (II; 77.35%) which exhibited (1) narcosis potentiating effect in mice: ED50 = 3.6 mg/kg p.o.; (2) anticonvulsive effect in mice: ED50 = 12.5 mg/kg p.o. in the electroshock test; (3) muscle-relaxant activity in mice: ED50 = 23.5 mg/kg i.p. in the inclined screen test. In rat neocortex slices II was twice as active as the ref. compd. [1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-5H-2,3-benzodiazepine] in inhibiting the response to the 2-min perfusion with 10 .mu.M of quisqualate (both mols. failed to affect the responses induced

by

NMDA) and, therefore, II can be considered to be a selective, non-NMDA

but

quisqualate-type excitatory amino acid antagonist. Pharmaceutical compns.

were given.

IT **143692-18-6P 143692-27-7P 143715-44-0P**

RL: BAC (Biological activity or effector, except adverse); RCT

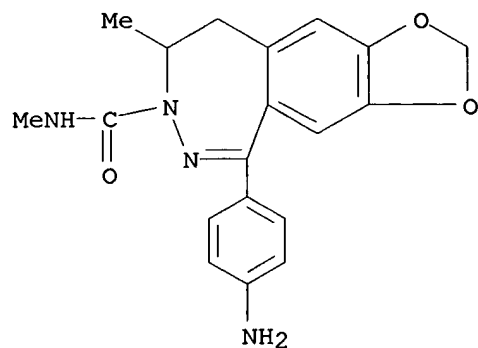
(Reactant);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-acylated 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepines as anticonvulsants, muscle relaxants, and neuroprotective agents)

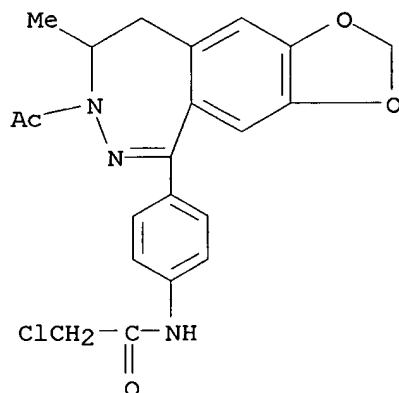
RN 143692-18-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



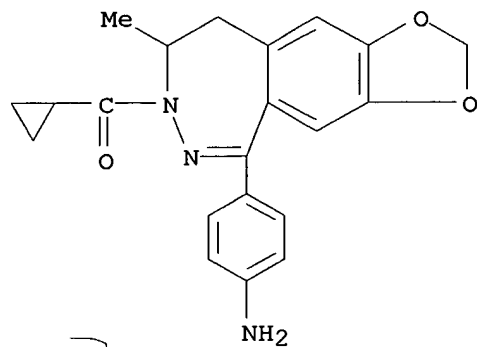
RN 143692-27-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-chloro- (9CI) (CA INDEX NAME)



RN 143715-44-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



IT 143691-23-0P 143691-37-6P 143691-38-7P
 143691-39-8P 143691-40-1P 143691-41-2P
 143691-42-3P 143691-43-4P 143691-44-5P
 143691-45-6P 143691-57-0P 143691-58-1P

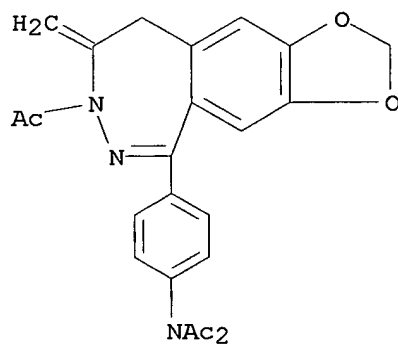
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 143691-62-7P 143691-63-8P 143691-64-9P
 143691-65-0P 143691-66-1P 143691-67-2P
 143691-68-3P 143691-69-4P 143691-70-7P
 143691-71-8P 143691-72-9P 143691-73-0P
 143691-74-1P 143691-75-2P 143691-76-3P
 143691-77-4P 143691-78-5P 143691-79-6P
 143691-80-9P 143691-81-0P 143691-82-1P
 143691-83-2P 143691-88-7P 143691-89-8P
 143691-90-1P 143691-91-2P 143691-93-4P
 143691-95-6P 143691-97-8P 143692-16-4P
 143692-19-7P 143692-21-1P 143692-26-6P
 143692-28-8P 143692-29-9P 143692-30-2P
 143692-31-3P 143692-32-4P 143692-34-6P
 143692-35-7P 143692-36-8P 143692-37-9P
 143692-38-0P 143692-39-1P 143692-40-4P
 143692-48-2P 143692-54-0P 143715-46-2P
 143715-47-3P 161832-65-1P 161832-67-3P
 161832-69-5P 161832-71-9P 173087-57-5P
 173087-59-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(N-acylated 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepines as anticonvulsants, muscle relaxants, and neuroprotective agents)

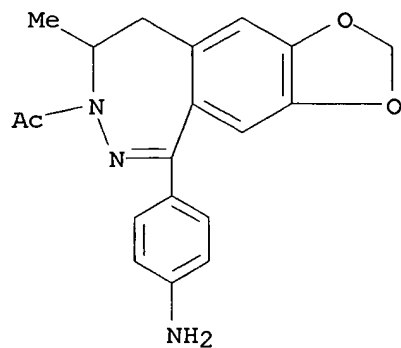
RN 143691-23-0 CAPLUS

CN Acetamide, N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methylene-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

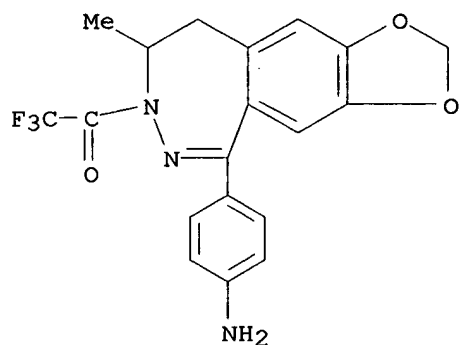


RN 143691-37-6 CAPLUS

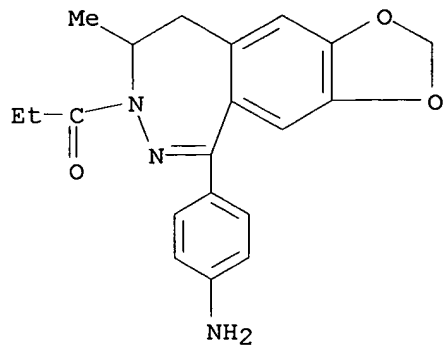
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



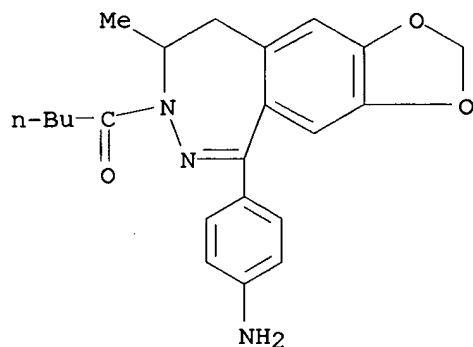
RN 143691-38-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



RN 143691-39-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)

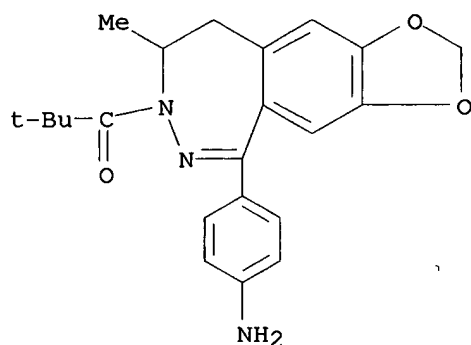


RN 143691-40-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



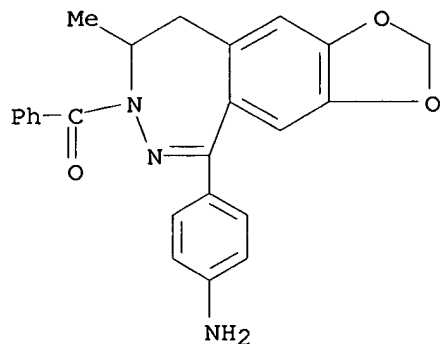
RN 143691-41-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



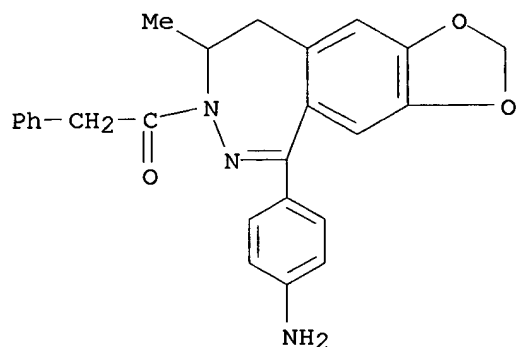
RN 143691-42-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-benzoyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



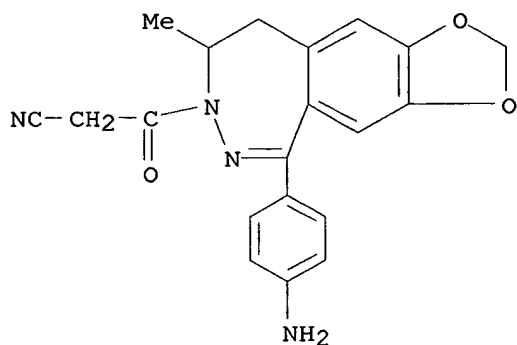
RN 143691-43-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



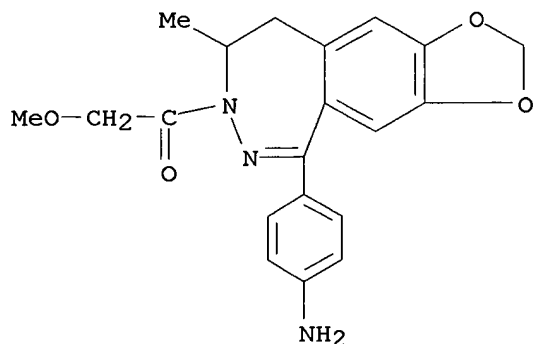
RN 143691-44-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyanoacetyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



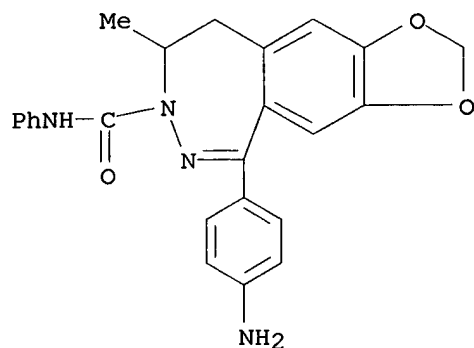
RN 143691-45-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-7-(methoxyacetyl)-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-57-0 CAPLUS

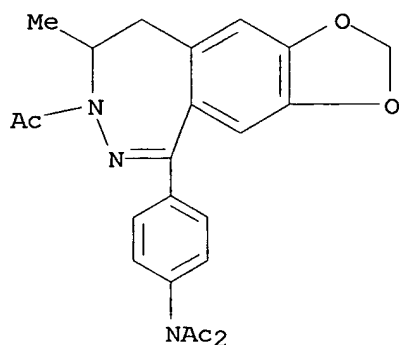
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 143691-58-1 CAPLUS

CN Acetamide,

N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

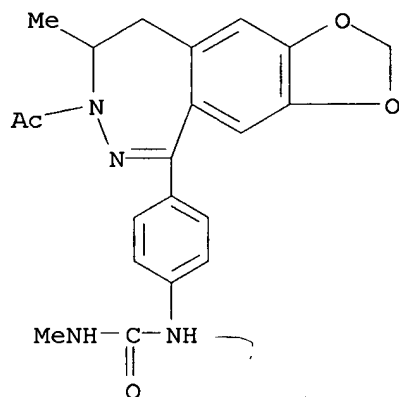


RN 143691-59-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,

7-acetyl-8,9-dihydro-8-methyl-5-

[4-[[(methylamino) carbonyl] amino]phenyl]- (9CI) (CA INDEX NAME)

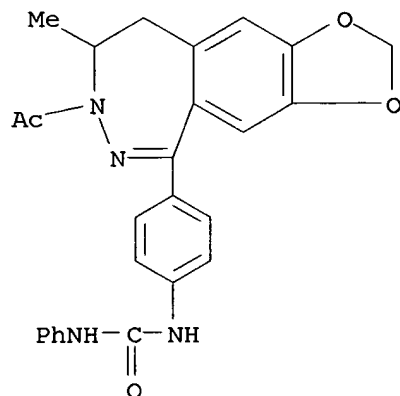


RN 143691-60-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,

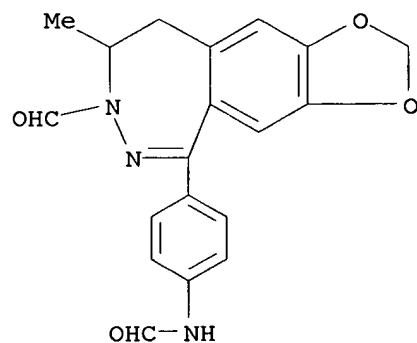
7-acetyl-8,9-dihydro-8-methyl-5-

[4-[[(phenylamino)carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



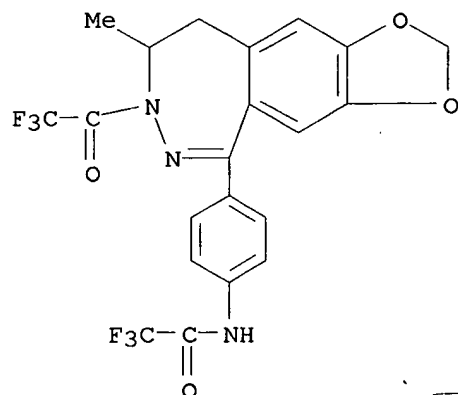
RN 143691-61-6 CAPLUS

CN Formamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143691-62-7 CAPLUS

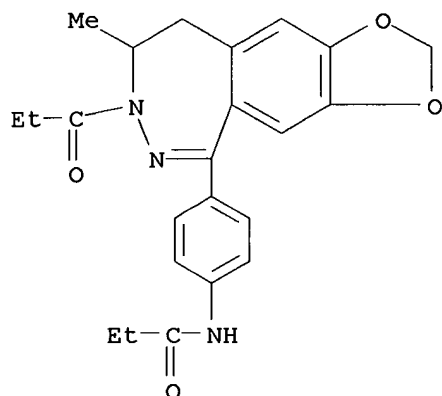
CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



RN 143691-63-8 CAPLUS

CN Propanamide,

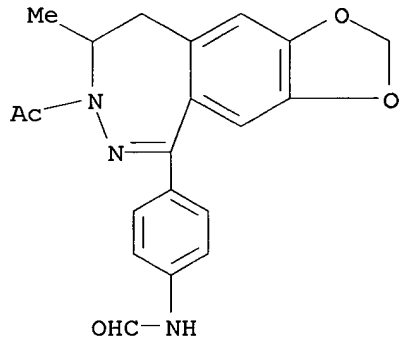
N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-64-9 CAPLUS

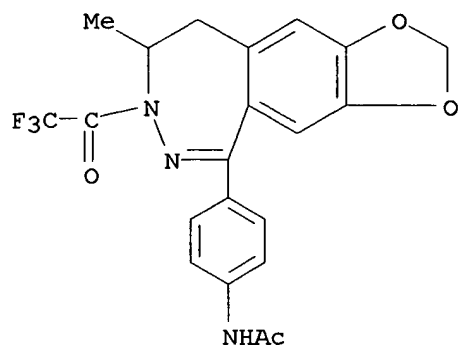
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-[4-

(formylamino)phenyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



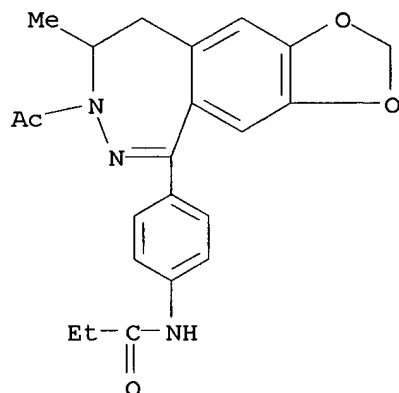
RN 143691-65-0 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



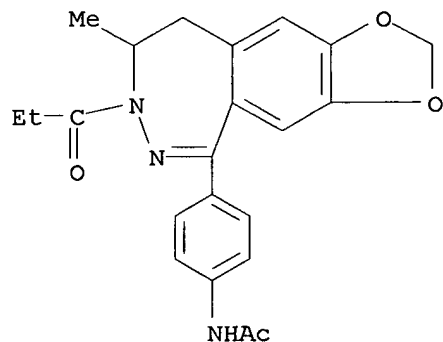
RN 143691-66-1 CAPLUS

CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



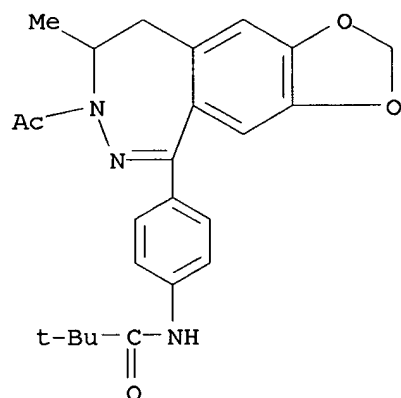
RN 143691-67-2 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-68-3 CAPLUS

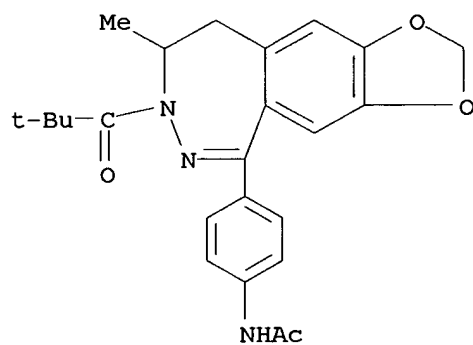
CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 143691-69-4 CAPLUS

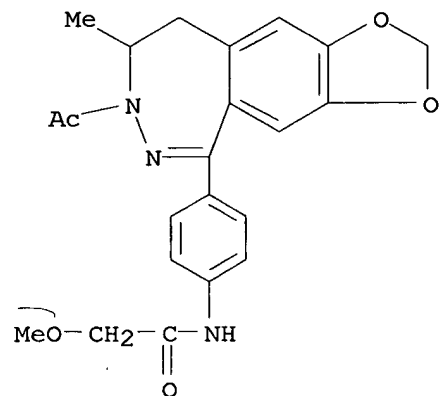
CN Acetamide,

N-[4-[(7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



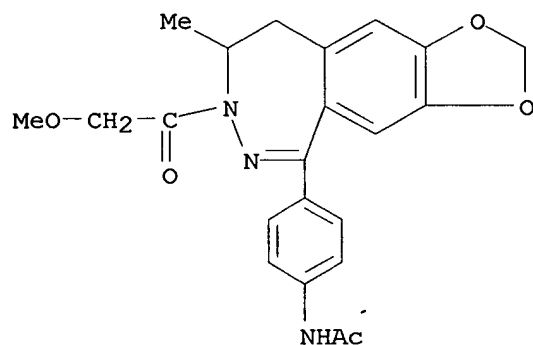
RN 143691-70-7 CAPLUS

CN Acetamide, N-[4-((7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl)-2-methoxy- (9CI) (CA INDEX NAME)

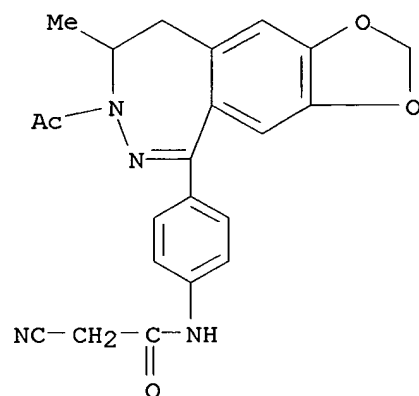


RN 143691-71-8 CAPLUS

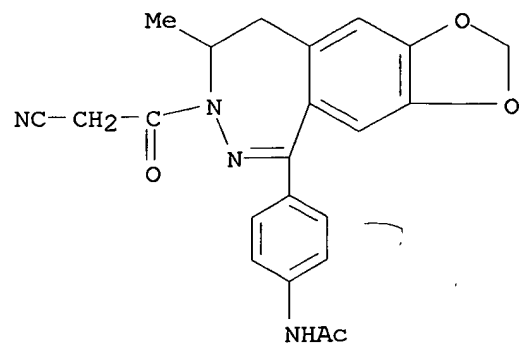
CN Acetamide,
N-[4-[8,9-dihydro-7-(methoxyacetyl)-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-72-9 CAPLUS
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl]phenyl]-2-cyano- (9CI) (CA INDEX NAME)

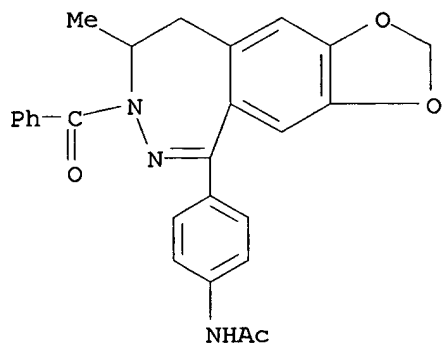


RN 143691-73-0 CAPLUS
CN Acetamide, N-[4-[7-(cyanoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



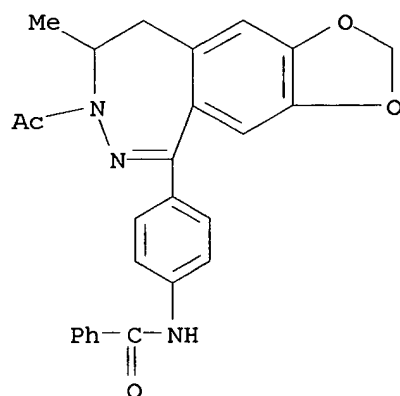
RN 143691-74-1 CAPLUS

CN Acetamide, N-[4-(7-benzoyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



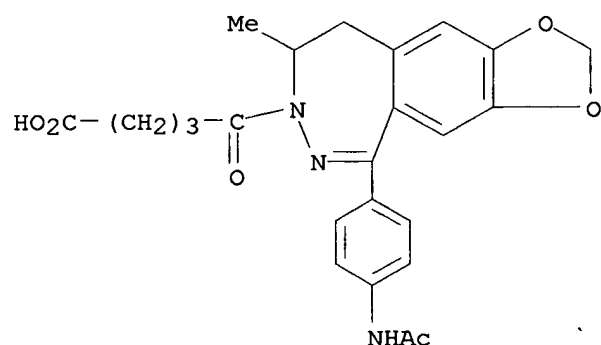
RN 143691-75-2 CAPLUS

CN Benzamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

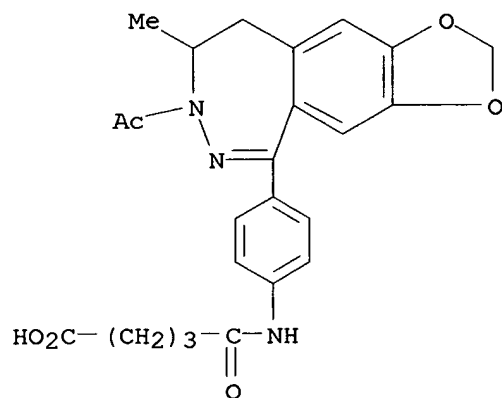


RN 143691-76-3 CAPLUS

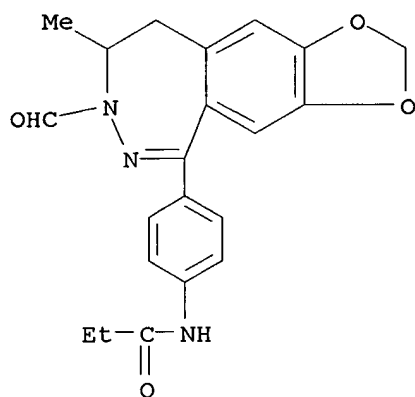
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid, 5-[4-(acetylamino)phenyl]-8,9-dihydro-8-methyl-.delta.-oxo- (9CI) (CA INDEX NAME)



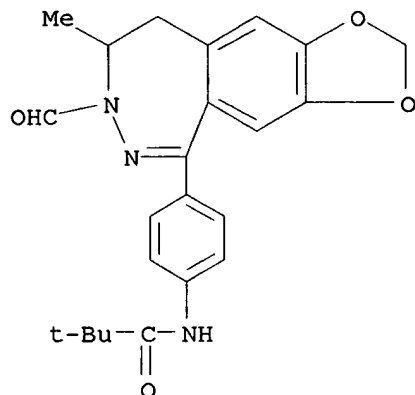
RN 143691-77-4 CAPLUS
 CN Pentanoic acid, 5-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]amino]-5-oxo- (9CI) (CA INDEX NAME)



RN 143691-78-5 CAPLUS
 CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

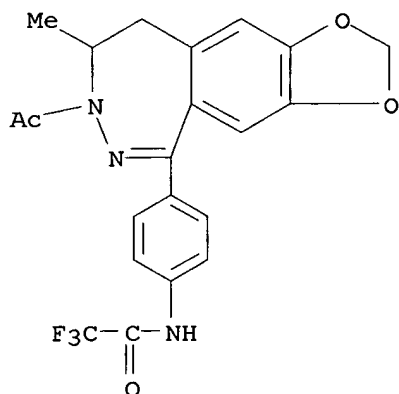


RN 143691-79-6 CAPLUS
 CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



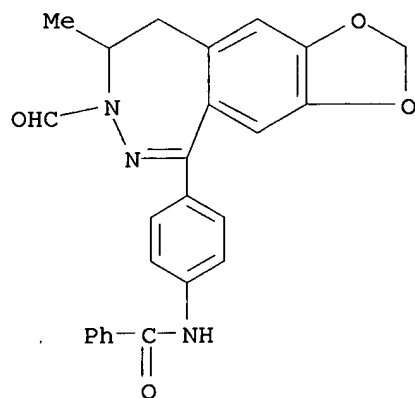
RN 143691-80-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



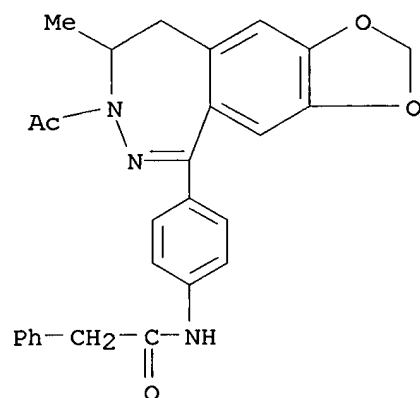
RN 143691-81-0 CAPLUS

CN Benzamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



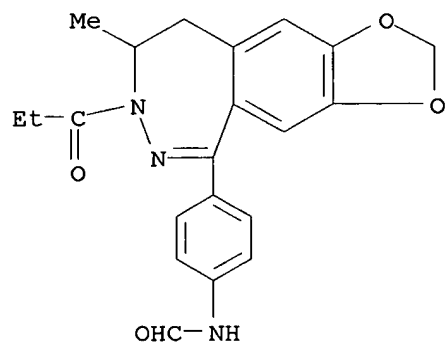
RN 143691-82-1 CAPLUS

CN Benzeneacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



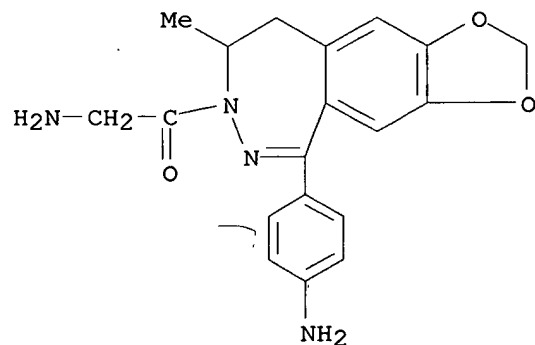
RN 143691-83-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 143691-88-7 CAPLUS

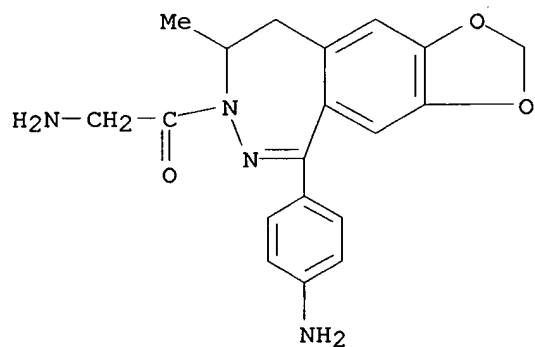
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-89-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

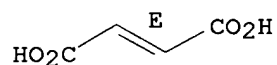
CRN 143691-88-7
 CMF C19 H20 N4 O3



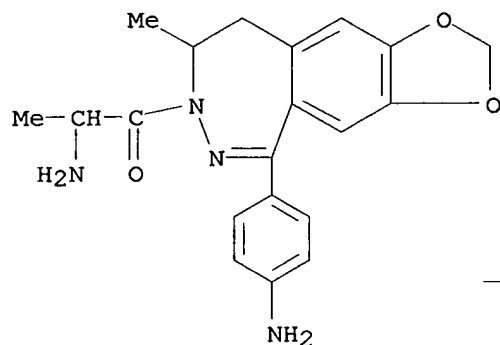
CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.



RN 143691-90-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



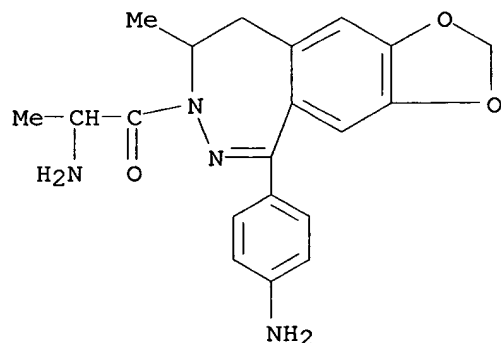
RN 143691-91-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-

aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143691-90-1

CMF C20 H22 N4 O3



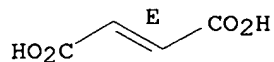
CM 2

CRN 110-17-8

CMF C4 H4 O4

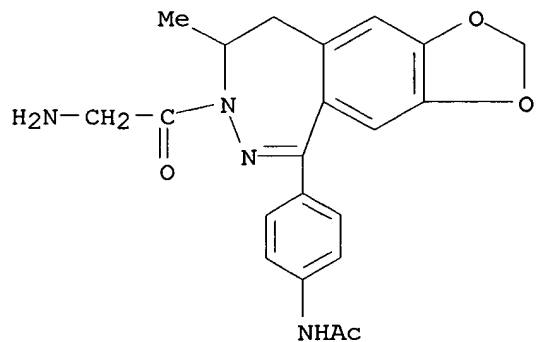
CDES 2:E

Double bond geometry as shown.



RN 143691-93-4 CAPLUS

CN Acetamide, N-[4-[7-(8-methyl-5-phenyl-1,3-dioxolo[4,5-h][2,3]benzodiazepin-2-ylidene)-2-aminophenyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl- (9CI) (CA INDEX NAME)

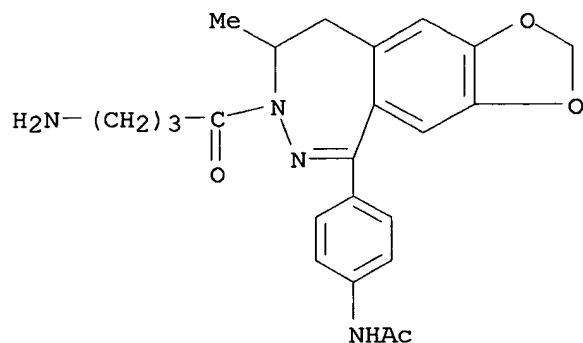


RN 143691-95-6 CAPLUS

CN Acetamide, N-[4-[7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

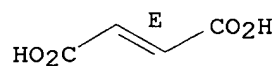
CRN 143691-94-5
 CMF C23 H26 N4 O4



CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

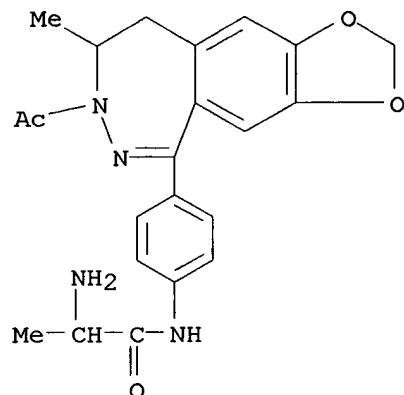
Double bond geometry as shown.



RN 143691-97-8 CAPLUS
 CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, (2E)-2-butenedioate (1:1)
 (9CI) (CA INDEX NAME)

CM 1

CRN 143691-96-7
 CMF C22 H24 N4 O4



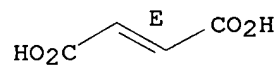
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



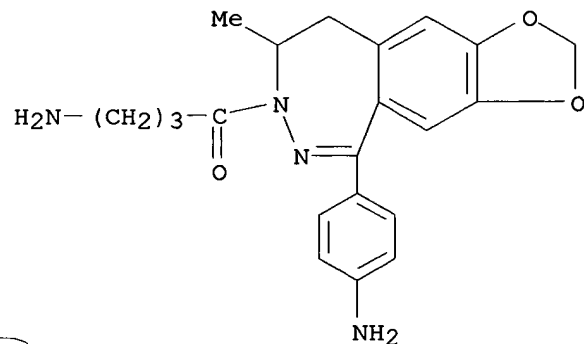
RN 143692-16-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-15-3

CMF C21 H24 N4 O3

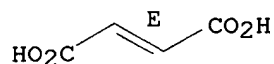


CM 2

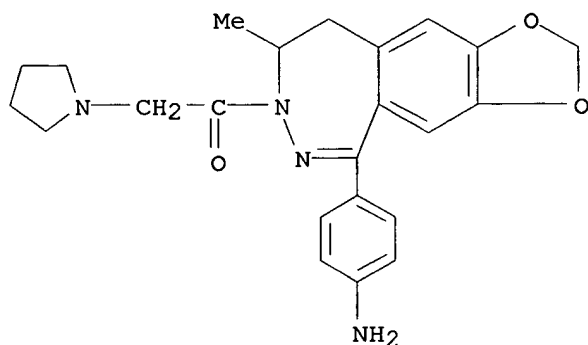
CRN 110-17-8

CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



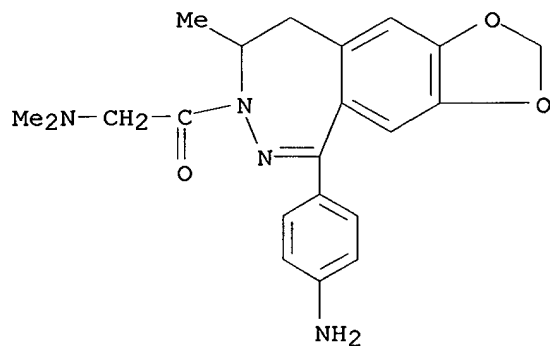
RN 143692-19-7 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



RN 143692-21-1 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
[(dimethylamino)acetyl]-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 143692-20-0
CMF C21 H24 N4 O3

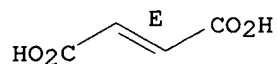


CM 2

CRN 110-17-8
CMF C4 H4 O4

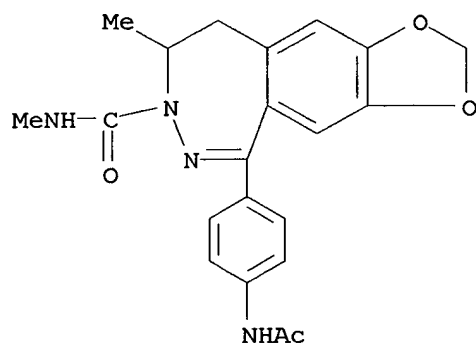
CDES 2:E

Double bond geometry as shown.



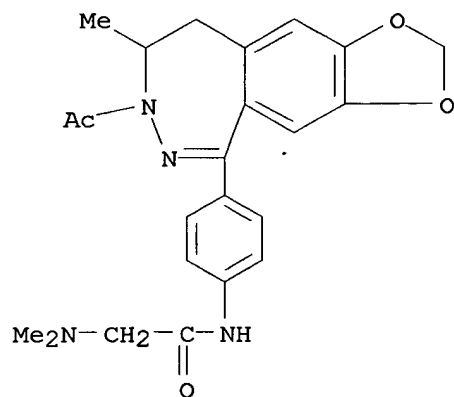
RN 143692-26-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-[4-(acetylamino)phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX
NAME)



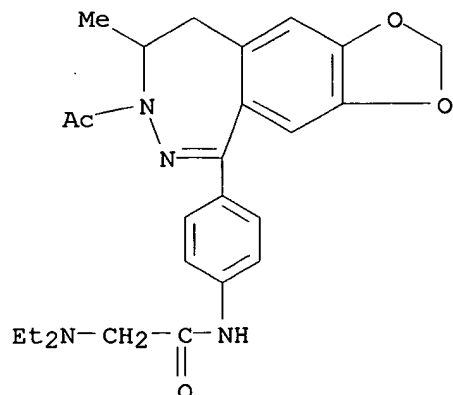
RN 143692-28-8 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-(dimethylamino)- (9CI) (CA INDEX
NAME)



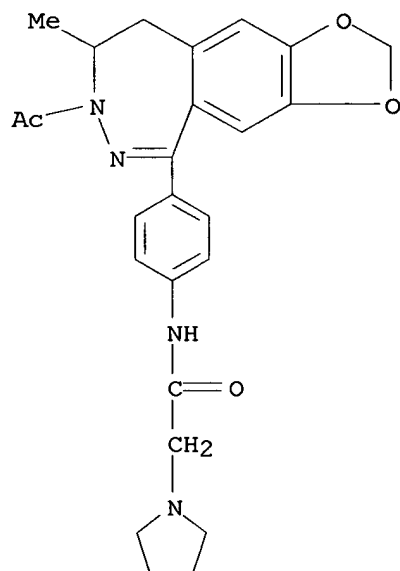
RN 143692-29-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-(diethylamino)- (9CI) (CA INDEX
NAME)



RN 143692-30-2 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



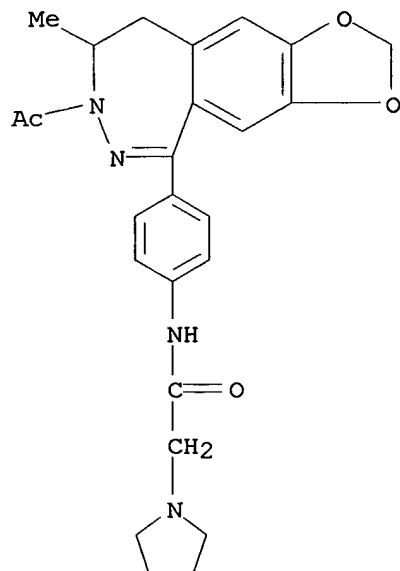
RN 143692-31-3 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-30-2

CMF C25 H28 N4 O4



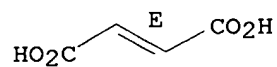
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

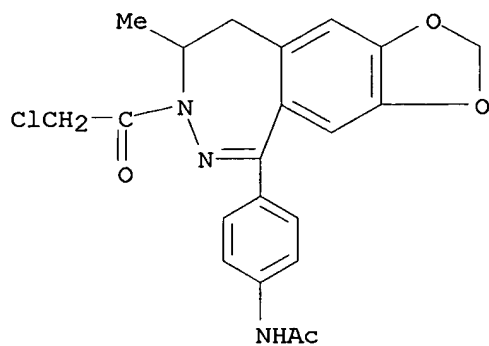
Double bond geometry as shown.



RN 143692-32-4 CAPLUS

CN Acetamide,

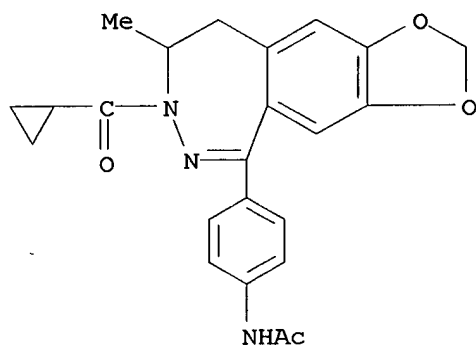
N-[4-[7-(chloroacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143692-34-6 CAPLUS

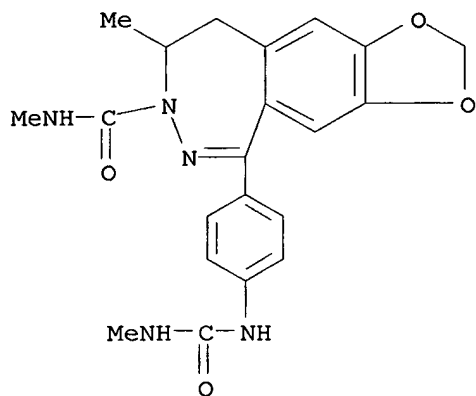
CN Acetamide, N-[4-[7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-7H-1,3-

dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



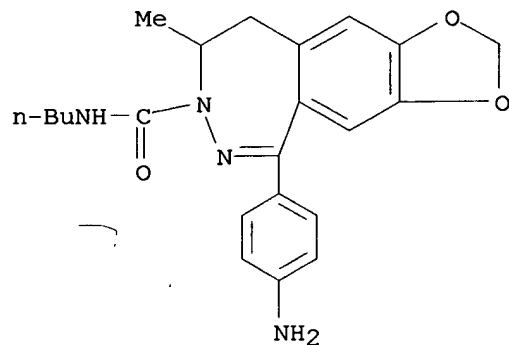
RN 143692-35-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-[4-[(methylamino)carbonyl]amino]phenyl]-
(9CI)
(CA INDEX NAME)

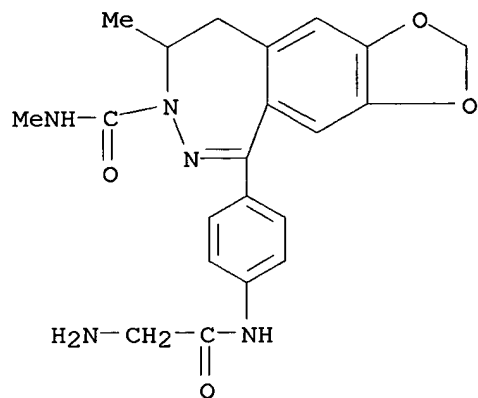


RN 143692-36-8 CAPLUS

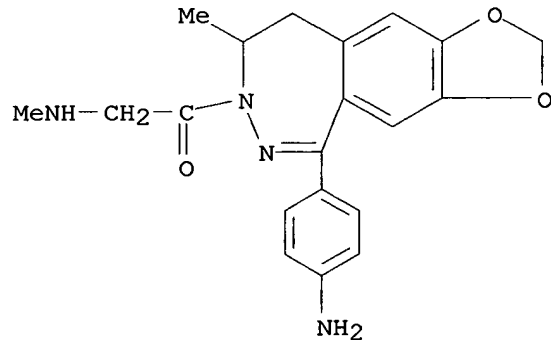
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-N-butyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



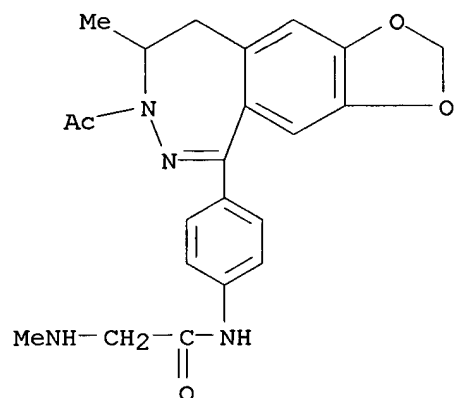
RN 143692-37-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-[(aminoacetyl)amino]phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA
 INDEX NAME)



RN 143692-38-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-[(methylamino)acetyl]- (9CI) (CA INDEX NAME)

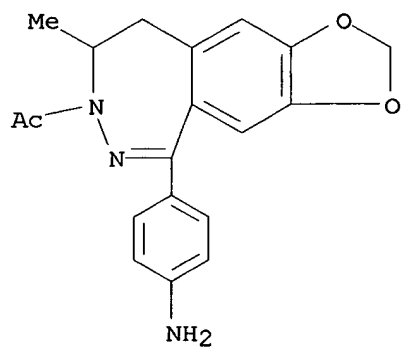


RN 143692-39-1 CAPLUS
 CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]-2-(methylamino)- (9CI) (CA INDEX NAME)



RN 143692-40-4 CAPLUS

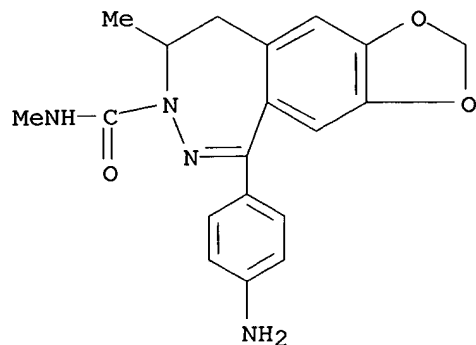
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 143692-48-2 CAPLUS

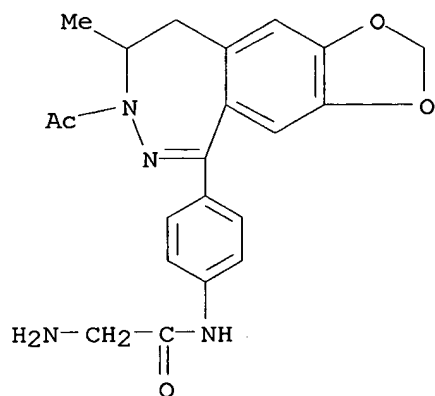
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

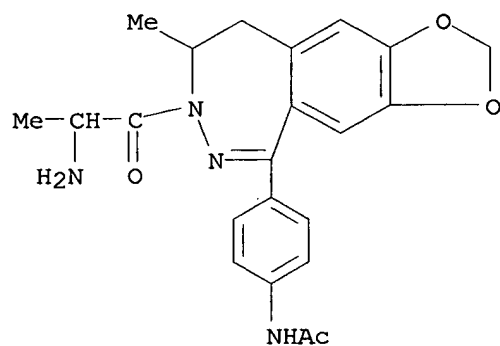
RN 143692-54-0 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino- (9CI) (CA INDEX NAME)

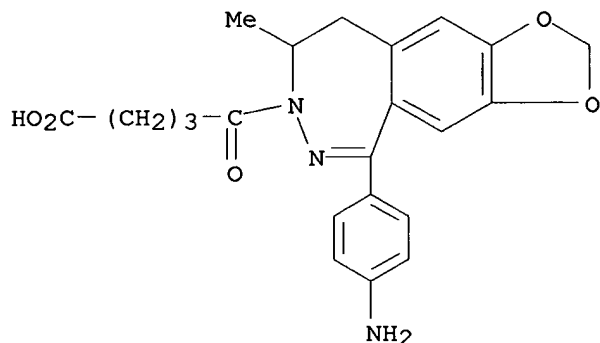


RN 143715-46-2 CAPLUS

CN Acetamide, N-[4-[7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



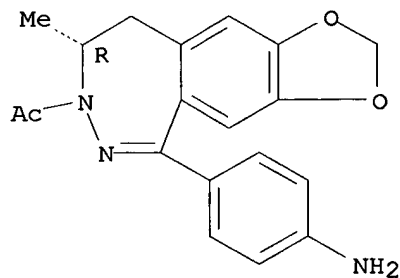
RN 143715-47-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-.delta.-oxo-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

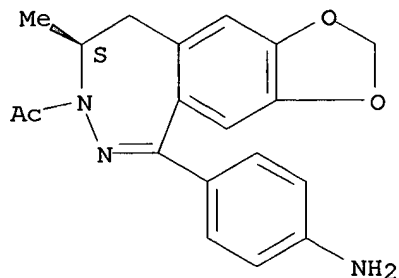
RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
 dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161832-67-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
 dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

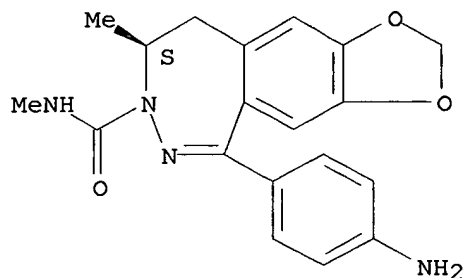
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

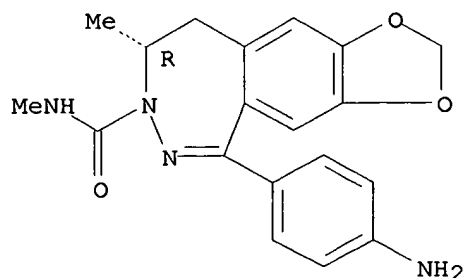
Absolute stereochemistry. Rotation (+).



RN 161832-71-9 CAPLUS

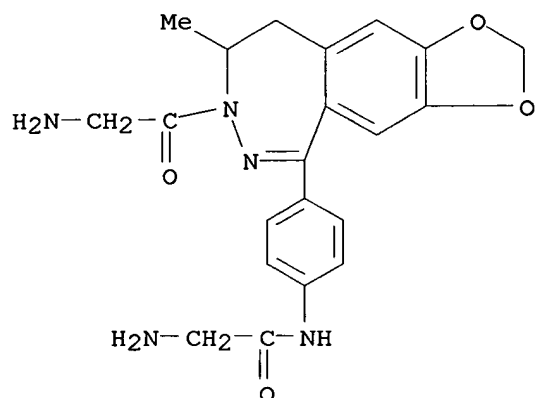
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



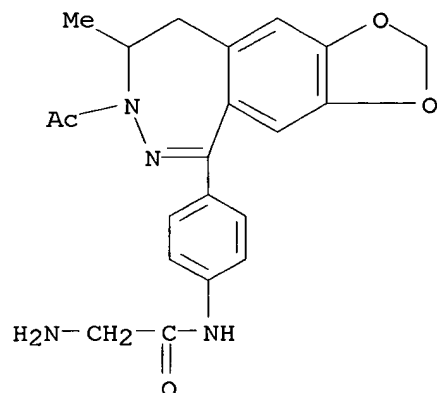
RN 173087-57-5 CAPLUS

CN Acetamide, 2-amino-N-[4-[7-(aminoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 173087-59-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, monohydrochloride (9CI) (CA INDEX NAME)



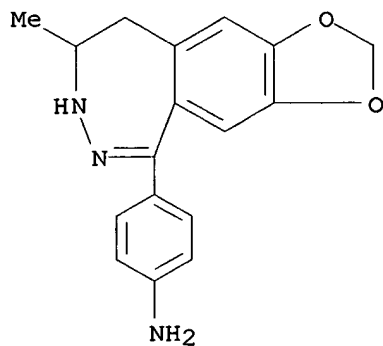
● HCl

IT 114460-08-1P 114460-09-2P 143691-46-7P
 143691-47-8P 143691-48-9P 143691-49-0P
 143691-50-3P 143691-51-4P 143691-52-5P
 143691-53-6P 143691-54-7P 143691-55-8P
 143691-56-9P 143692-02-8P 143692-03-9P
 143692-04-0P 143692-05-1P 143692-06-2P
 143692-07-3P 143692-08-4P 143692-09-5P
 143692-10-8P 143692-11-9P 143692-12-0P
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 143692-42-6P 143692-43-7P 143692-44-8P
 143692-45-9P 143692-46-0P 143692-47-1P
 143692-49-3P 143692-50-6P 143692-51-7P
 143692-52-8P 161832-62-8P 161832-63-9P
 161832-64-0P 161832-66-2P 161832-68-4P
 161832-70-8P 173087-60-0P 173087-61-1P
 173087-62-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(N-acylated 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepines as anticonvulsants, muscle relaxants, and neuroprotective agents)

RN 114460-08-1 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)



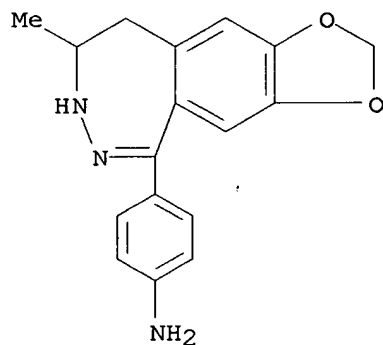
RN 114460-09-2 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 114460-08-1

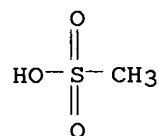
CMF C17 H17 N3 O2



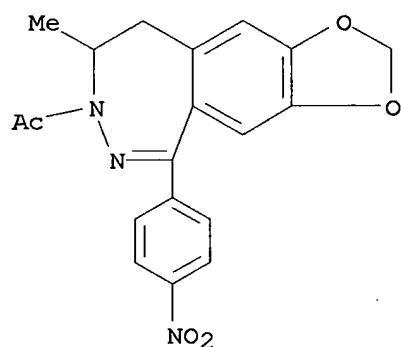
CM 2

CRN 75-75-2

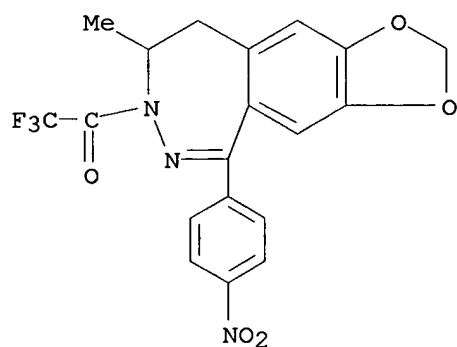
CMF C H4 O3 S



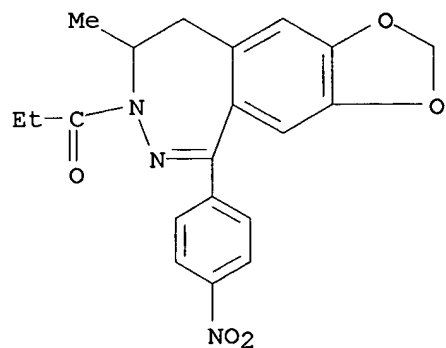
RN 143691-46-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-47-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

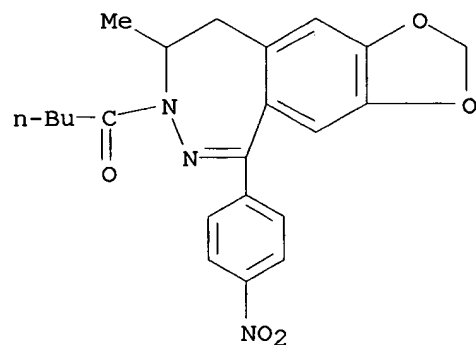


RN 143691-48-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



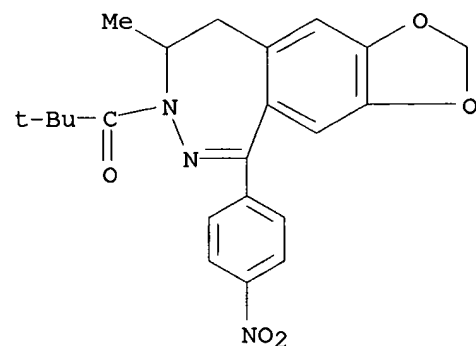
RN 143691-49-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



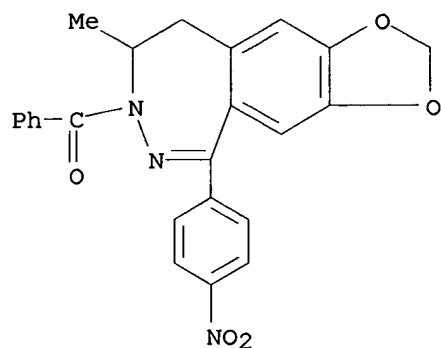
RN 143691-50-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



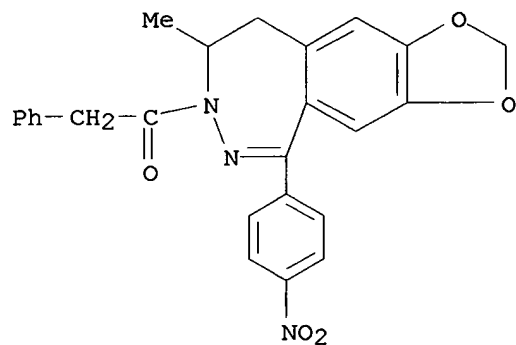
RN 143691-51-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-benzoyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



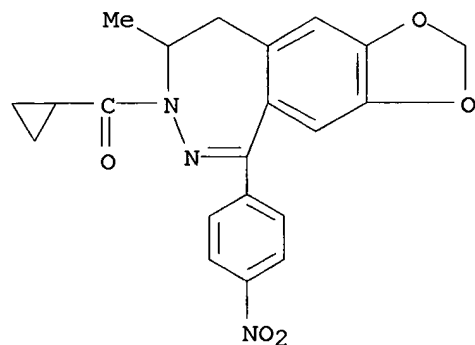
RN 143691-52-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



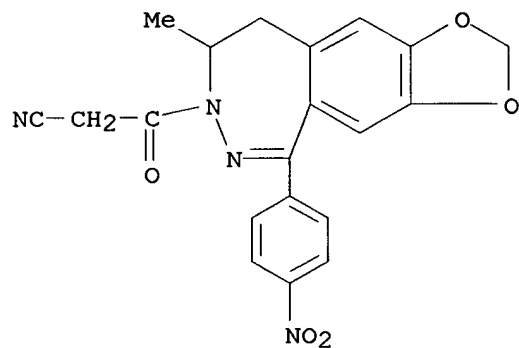
RN 143691-53-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

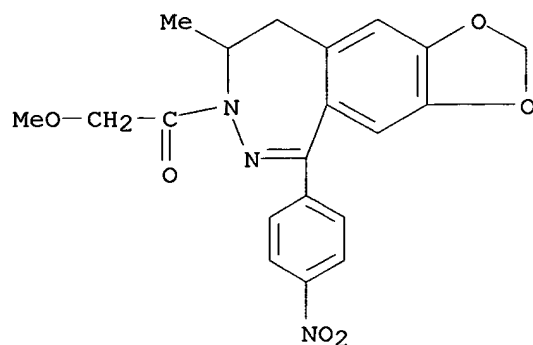


RN 143691-54-7 CAPLUS

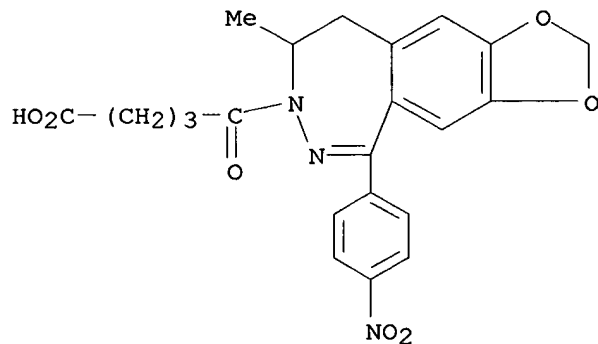
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyanoacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



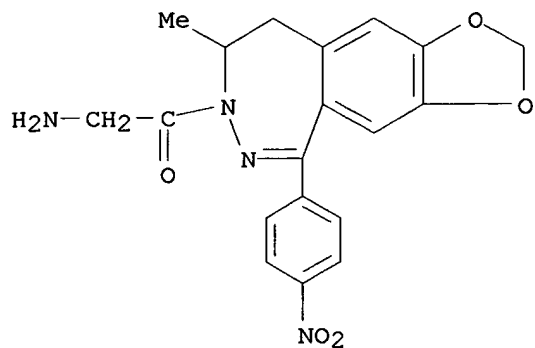
RN 143691-55-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 8,9-dihydro-7-(methoxyacetyl)-8-
 methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-56-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-.delta.-oxo- (9CI) (CA INDEX
 NAME)

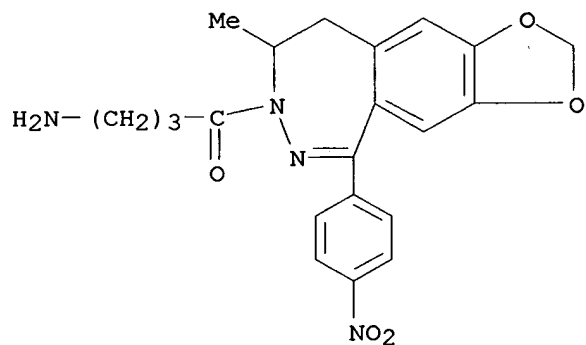


RN 143692-02-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-8,9-dihydro-8-
 methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



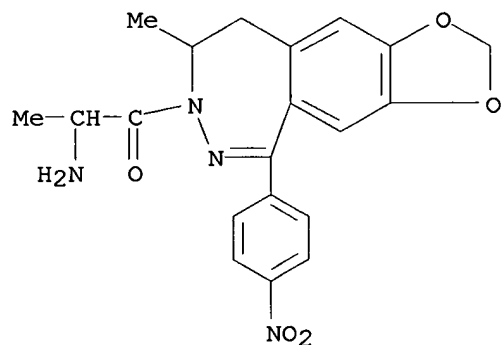
RN 143692-03-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



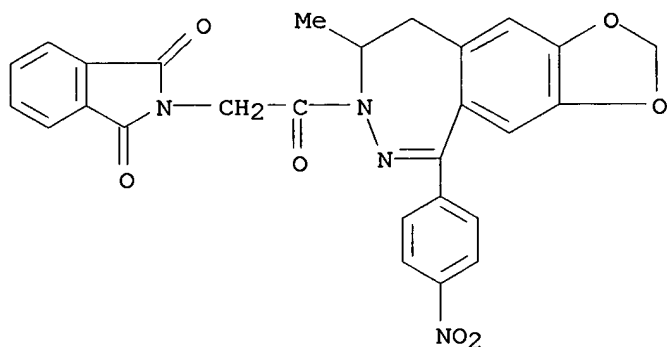
RN 143692-04-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



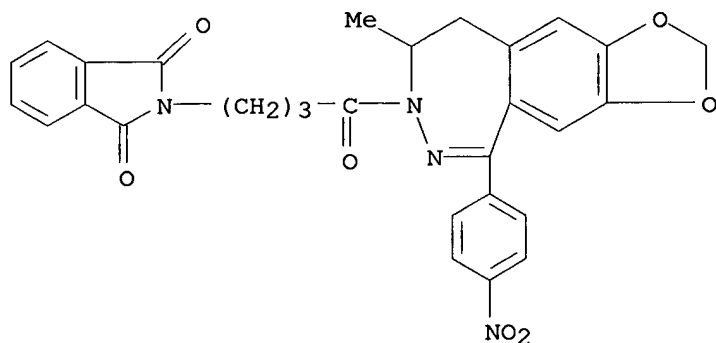
RN 143692-05-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



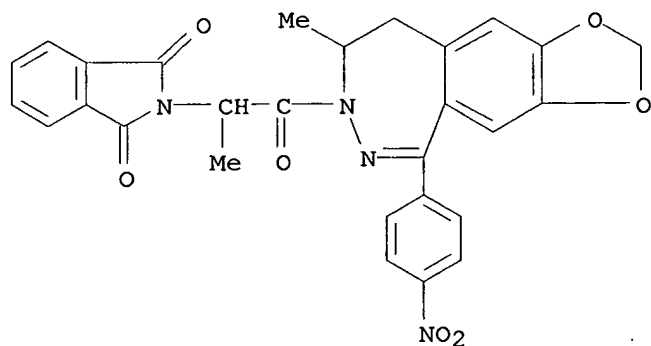
RN 143692-06-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



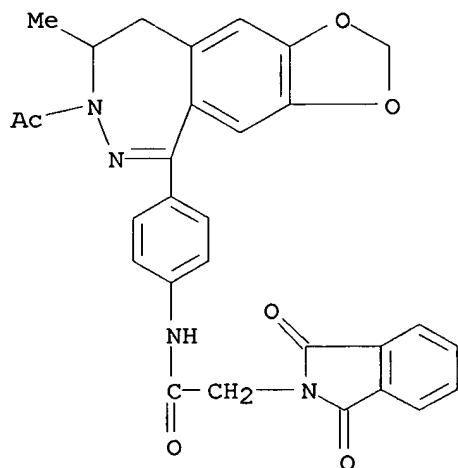
RN 143692-07-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-8,9-dihydro-8-methyl-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



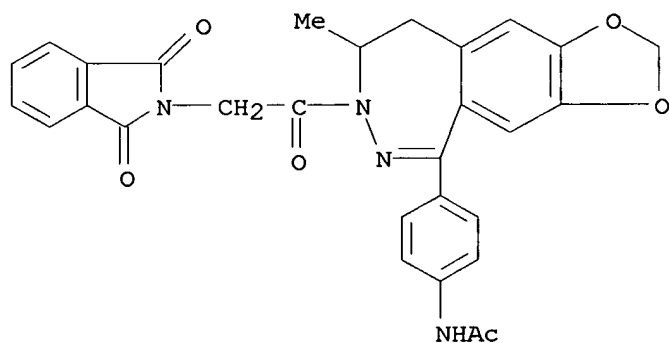
RN 143692-08-4 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo- (9CI)
(CA INDEX NAME)



RN 143692-09-5 CAPLUS

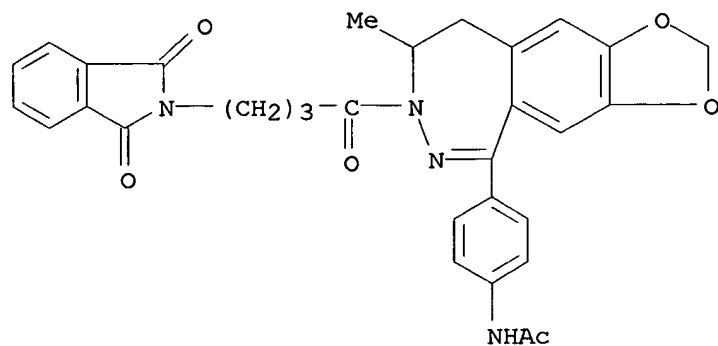
CN Acetamide, N-[4-[7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-
(9CI) (CA INDEX NAME)



RN 143692-10-8 CAPLUS

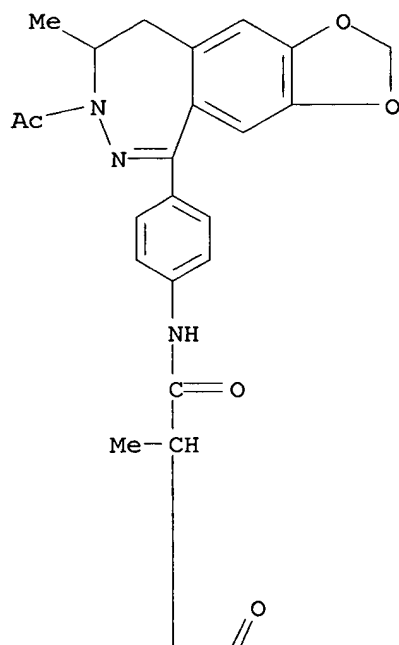
CN Acetamide,
N-[4-[7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-

8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-
(9CI) (CA INDEX NAME)

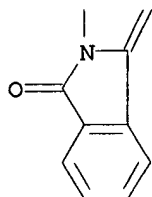


RN 143692-11-9 CAPLUS
 CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-.alpha.-methyl-1,3-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



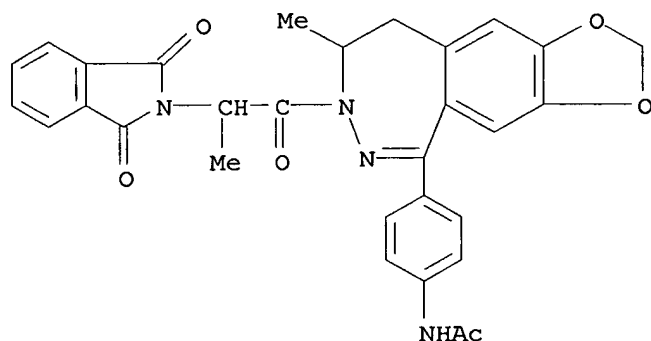
PAGE 2-A



RN 143692-12-0 CAPLUS

CN Acetamide, N-[4-[7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-

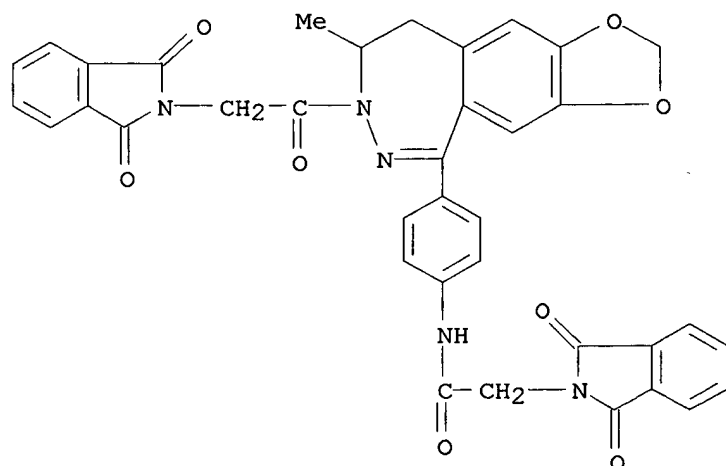
oxopropyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143692-13-1 CAPLUS

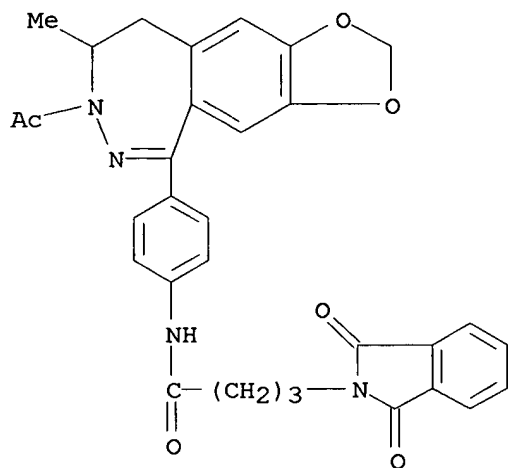
CN 2H-Isoindole-2-acetamide, N-[4-[7-[1,3-dihydro-1,3-dioxo-2H-isoindol-2-

yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

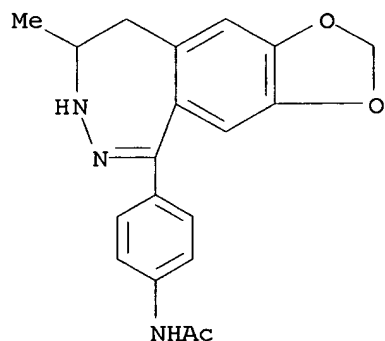


RN 143692-14-2 CAPLUS

CN 2H-Isoindole-2-butanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo- (9CI)
(CA INDEX NAME)



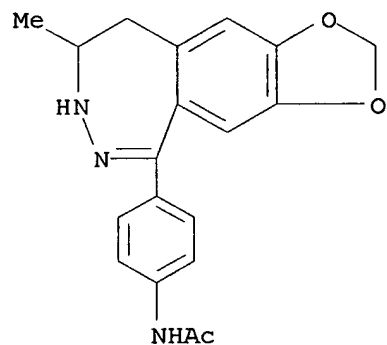
RN 143692-41-5 CAPLUS
CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143692-42-6 CAPLUS
CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

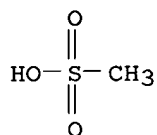
CRN 143692-41-5
CMF C19 H19 N3 O3



CM 2

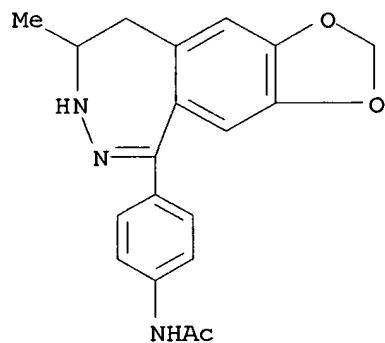
CRN 75-75-2

CMF C H4 O3 S



RN 143692-43-7 CAPLUS

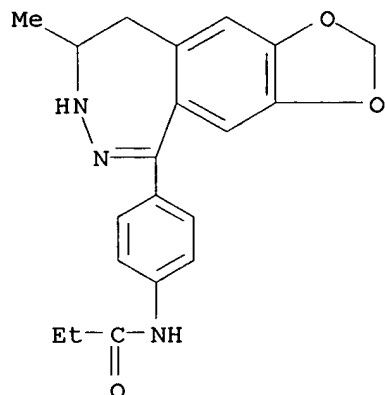
CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

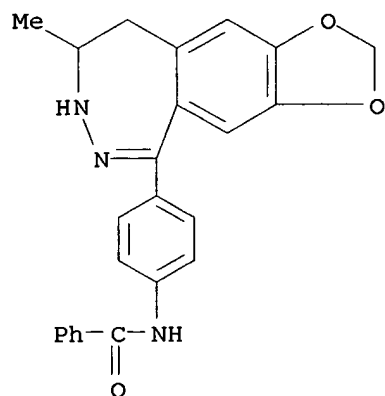
RN 143692-44-8 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



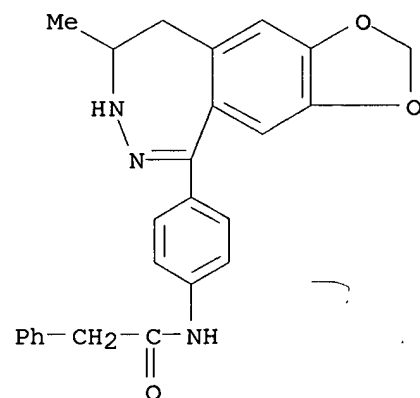
RN 143692-45-9 CAPLUS

CN Benzamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



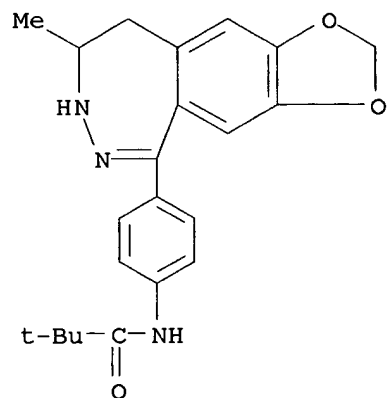
RN 143692-46-0 CAPLUS

CN Benzeneacetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



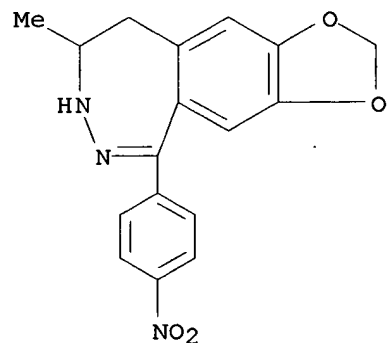
RN 143692-47-1 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



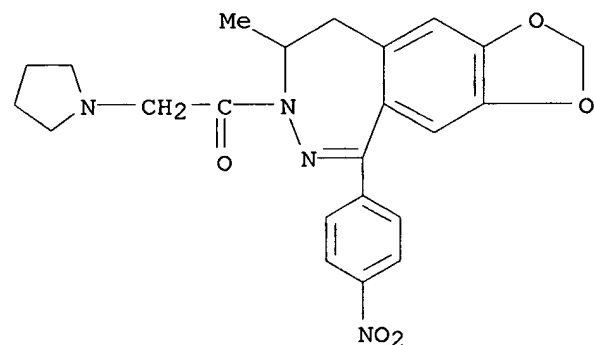
RN 143692-49-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

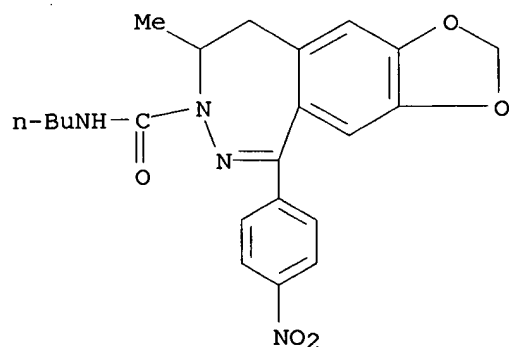


RN 143692-50-6 CAPLUS

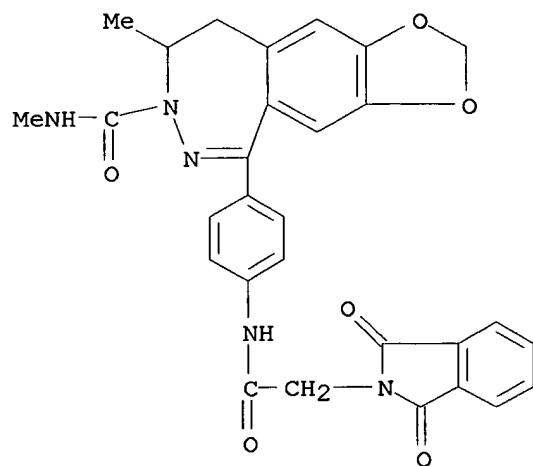
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



RN 143692-51-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 N-butyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

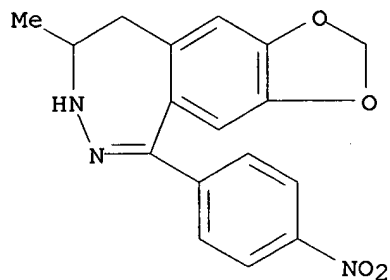


RN 143692-52-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl) acetyl] amino] phenyl]-8,9-
 dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



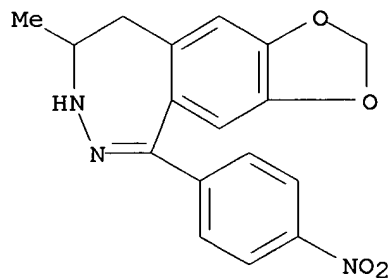
RN 161832-62-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-
 nitrophenyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



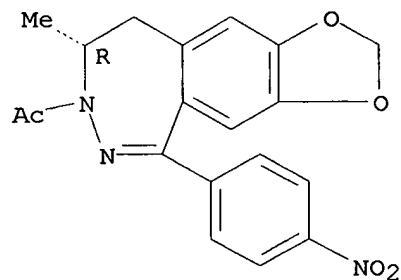
RN 161832-63-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



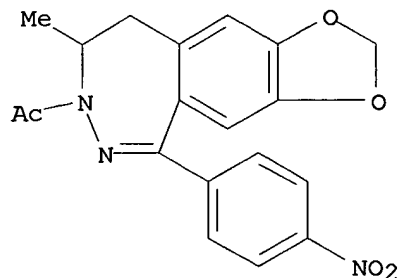
RN 161832-64-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-
 (4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



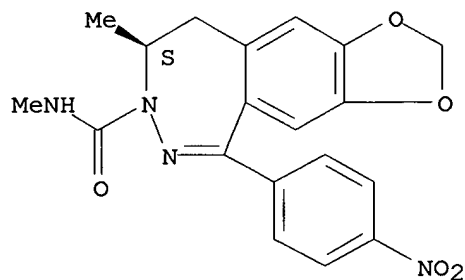
RN 161832-66-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-
 (4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



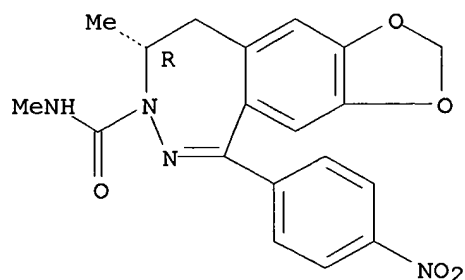
RN 161832-68-4 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

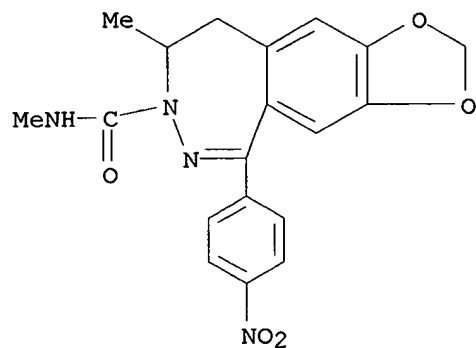


RN 161832-70-8 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

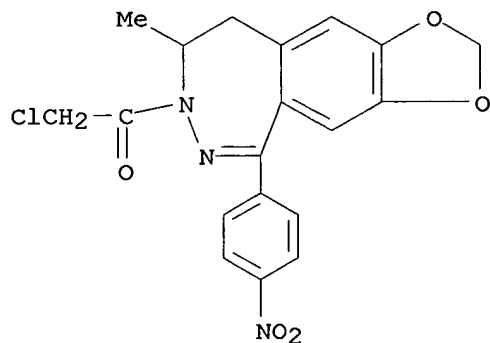


RN 173087-60-0 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



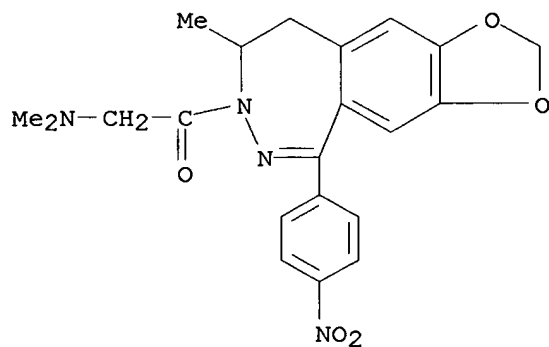
RN 173087-61-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(chloroacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



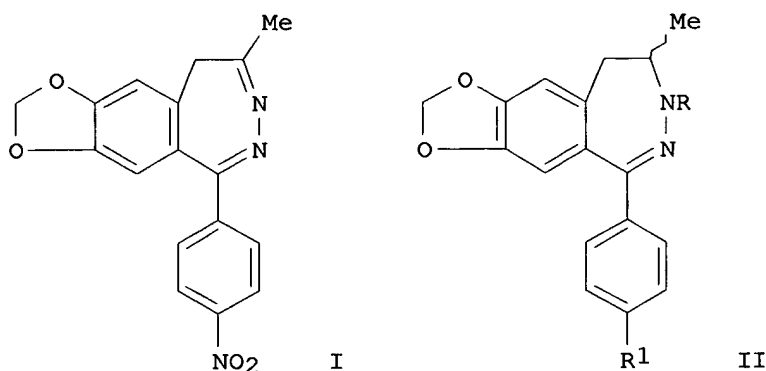
RN 173087-62-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(dimethylamino)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



see 53 of 62

L23 ANSWER 50 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1995:628706 CAPLUS
 DN 123:285961
 TI Asymmetric reduction of a carbon-nitrogen double bond: enantioselective synthesis of 4,5-dihydro-3H-2,3-benzodiazepines
 AU Ling, Istvan; Podanyi, Benjamin; Hamori, Tamas; Solyom, Sandor
 CS Inst. Drug Res. Lab., Budapest, H-1325, Hung.
 SO J. Chem. Soc., Perkin Trans. 1 (1995), (11), 1423-7
 CODEN: JCPRB4; ISSN: 0300-922X
 DT Journal
 LA English
 OS CASREACT 123:285961
 GI



AB A highly specific enantioselective redn., elaborated for the redn. of the 3,4-carbon-nitrogen double bond of I, made possible the synthesis of the enantiomers of the potent noncompetitive AMPA/kainate antagonists II (R = Ac, CONHMe; R1 = NH2). E.g., a reducing complex prepd. from (S)-(-)-2-amino-4-methyl-1,1-diphenyl-1-pentanol and BH3.THF was used to reduce I to give 68% (-)-II (R = H, R1 = NO2). NMR Investigations of the reducing complex show that there is no formation of an 1,3,2-oxazaborolidine ring as may have been presumed on the basis of literature data.

IT ~~161832-62-8P~~ ~~161832-63-9P~~ ~~161832-64-0P~~
~~161832-66-2P~~ ~~161832-68-4P~~ ~~161832-70-8P~~

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (enantioselective synthesis of dihydrobenzodiazepines by asym. redn.

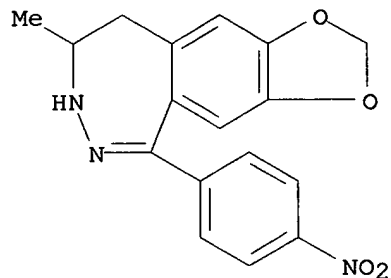
of

a carbon-nitrogen double bond)

RN 161832-62-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (-)- (9CI) (CA INDEX NAME)

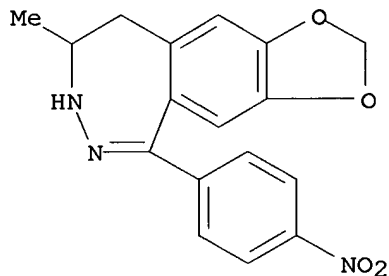
Rotation (-).



RN 161832-63-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)-(9CI) (CA INDEX NAME)

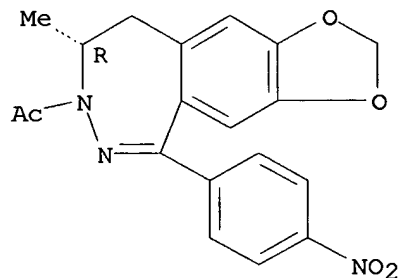
Rotation (+).



RN 161832-64-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-
(4-nitrophenyl)-, (8R)-(9CI) (CA INDEX NAME)

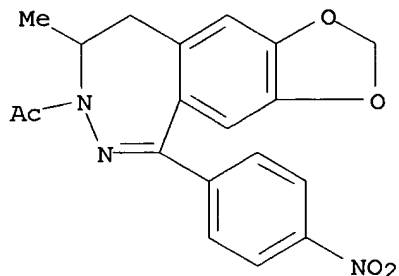
Absolute stereochemistry. Rotation (-).



RN 161832-66-2 CAPLUS

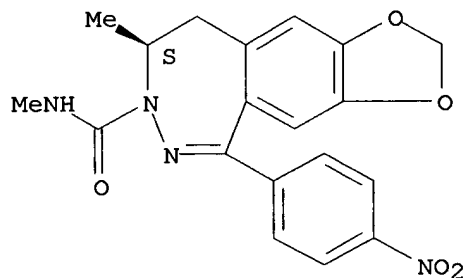
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-
(4-nitrophenyl)-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



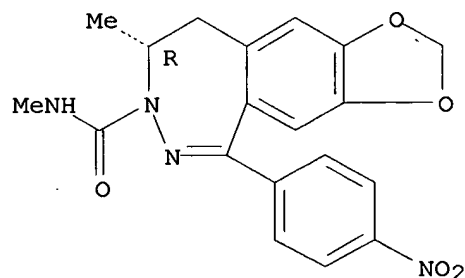
RN 161832-68-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161832-70-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



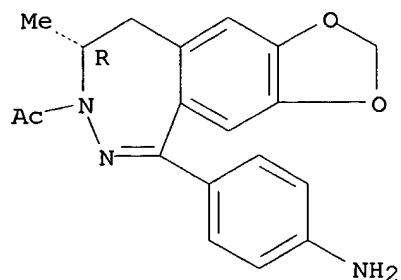
IT ~~161832-65-1P~~ ~~161832-67-3P~~ ~~161832-69-5P~~
~~161832-71-9P~~

RL: SPN (Synthetic preparation); PREP (Preparation)
 (enantioselective synthesis of dihydrobenzodiazepines by asym. redn.

of a carbon-nitrogen double bond)

RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-
 dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

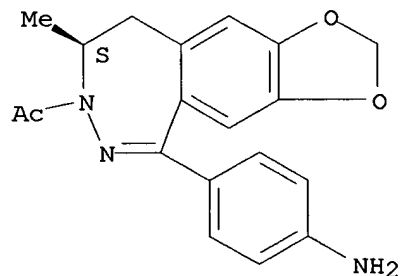
Absolute stereochemistry.



RN 161832-67-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

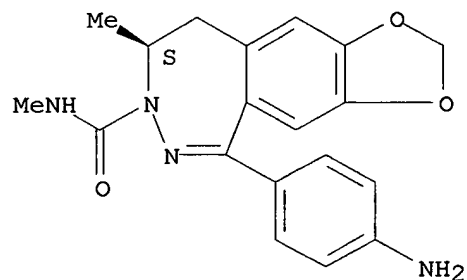
Absolute stereochemistry.



RN 161832-69-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

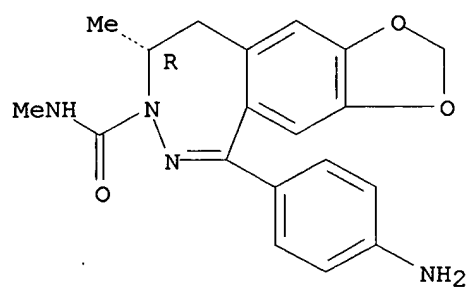
Absolute stereochemistry. Rotation (+).



RN 161832-71-9 CAPLUS

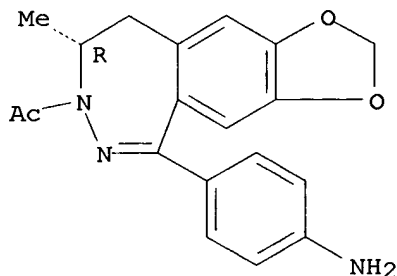
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



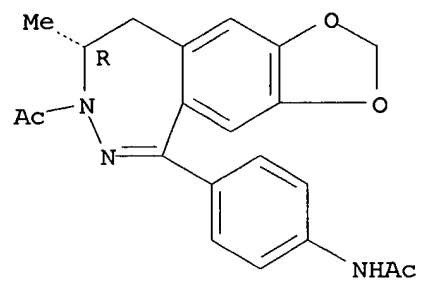
123 ANSWER 51 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1995:596500 CAPLUS
 DN 123:74106
 TI Determination of a novel .alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionate receptor antagonist (LY300164) and its N-acetyl metabolite in mouse, rat, dog, and monkey plasma using high-performance liquid chromatography with ultraviolet detection
 AU Eckstein, James A.; Swanson, Steven P.
 CS Lilly Research Laboratories, Eli Lilly and Company, Lilly Corporate Center, Drop Code 0825, Indianapolis, IN, 46285, USA
 SO J. Chromatogr., B: Biomed. Appl. (1995), 668(1), 153-8
 CODEN: JCBEP
 DT Journal
 LA English
 AB A method for the anal. of the AMPA (.alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionate) receptor antagonist LY300164 (I) and its N-acetyl metabolite (II) in plasma was developed. The assay utilized solid-phase extn. on a C18 Bond Elut cartridge followed by reversed-phase HPLC with
 UV detection at 310 nm. The method exhibited a large linear range from 0.05 .mu.g/mL to 50 .mu.g/mL with an intra-assay accuracy for I and II ranging from 89.0% to 114.5% and intra-assay precision ranging from 0.5 to 15.3% in mouse, rat, dog, and monkey plasma. The inter-assay accuracy of I and II was 93.3% to 101.8% and the inter-assay precision was 1.6% to 11.2% in dog plasma. The lower limit of quantitation was 0.05 .mu.g/mL for I in plasma from all species tested. The lower limit of quantitation for II was 0.05 .mu.g/mL in dog and monkey plasma and 0.1 .mu.g/mL in mouse and rat plasma. Exts. of I and II from dog plasma were shown to be stable
 for 24 h at room temp., and both compds. were stable when spiked into rat and
 to monkey plasma frozen at -70.degree.C for 27 days. The method has shown
 be useful in the investigation of the pharmacokinetics of I and II in preclin. studies.
 IT **161832-65-1**, LY 300164 **164933-48-6**
 RL: ANT (Analyte); ANST (Analytical study)
 (LY300164 and N-acetyl metabolite detn. in mouse, rat, dog, and monkey plasma using HPLC with UV detection)
 RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



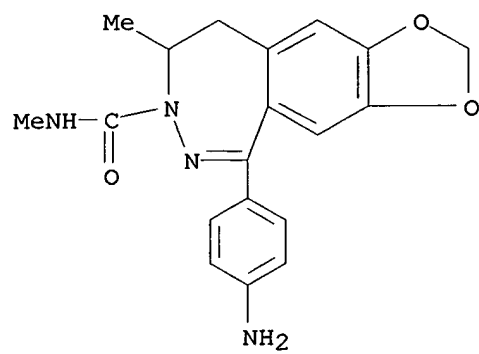
RN 164933-48-6 CAPLUS
 CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



123 ANSWER 52 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1995:469736 CAPLUS
 DN 122:230664
 TI Differential antagonism of .alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid-preferring and kainate-preferring receptors by 2,3-benzodiazepines
 AU Wilding, Timothy J.; Huettner, James E.
 CS Dep. Cell Biology Physiology, Washington Univ. School Medicine, St. Louis, MO, 63110, USA
 SO Mol. Pharmacol. (1995), 47(3), 582-7
 CODEN: MOPMA3; ISSN: 0026-895X
 DT Journal
 LA English
 AB Whole-cell recordings were used to study the antagonism of .alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA)-preferring and kainate-preferring receptors by 2,3-benzodiazepines.
 Current through kainate-preferring receptors was recorded in rat dorsal root ganglion (DRG) neurons, whereas AMPA receptor current was measured in cultured neurons from rat cerebral cortex. In both cell types 2,3-benzodiazepines produced noncompetitive inhibition; however, antagonist potency was much higher against AMPA-preferring receptors than against kainate receptors. The most potent compd., 1-(4-aminophenyl)-3-methylcarbamy-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine (GYKI 53655), blocked AMPA receptor currents with an IC50 of approx. 1 .mu.M. A second benzodiazepine, 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-5H-2,3-benzodiazepine (GYKI 52466), was about 20-fold less potent at AMPA receptors (IC50 = 18 .mu.M). Both drugs were markedly weaker against kainate currents in DRG neurons. At 200 .mu.M, the highest concn. tested, GYKI 53655 and GYKI 52466 produced only 30-40% inhibition in DRG cells, suggesting that for both compds. the IC50 against kainate receptors is >200 .mu.M. Our study suggests that GYKI 53655, at a concn. of approx. 10 .mu.M, should produce >90% block of AMPA-preferring receptors but <5% inhibition of kainate-preferring receptors. Because the antagonism by this drug is noncompetitive, its effectiveness should not be influenced by phasic changes in transmitter concn., making it an ideal compd. for functional studies of the role of kainate and AMPA receptors in synaptic transmission.
 IT **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (differential antagonism of AMPA-preferring and kainate-preferring receptors by benzodiazepines)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

see 61 of 62



● HCl

29962
 23 ANSWER 53 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1995:446748 CAPLUS
 DN 122:214111
 TI Preparation of optically active 1-(4-nitrophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine
 IN Ling, Istvan; Hamori, Tamas; Botka, Peter; Solyom, Sandor; Simay, Antal; Moravcsik, Imre
 PA Gyogyszerkutato Intezet, Hung.
 SO PCT Int. Appl., 19 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9501357	A1	19950112	WO 1994-HU24	19940630
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	HU 67611	A2	19950428	HU 1993-1922	19930702
	AU 9472363	A1	19950124	AU 1994-72363	19940630
PRAI	HU 1993-1922		19930702		
	WO 1994-HU24		19940630		

OS MARPAT 122:214111

AB (+)- And (-)-enantiomers of the title compd. (I) were prepd. by redn. of 1-(4-nitrophenyl)-4-methyl-7,8-methylenedioxy-5H-2,3-benzodiazepine (II) by an adduct formed from (R)- or (S)-H₂NCR₁R₂CPh₂OH (R₁, R₂ = alkyl, Ph, PhCH₂, etc.; R₁ noteq. R₂) with 1 mol equiv. of borane or a borane complex. Thus, II was treated with BH₃-THF complex in CH₂Cl₂ contg. (S)-(-)-H₂NCR₁R₂CPh₂OH to give 88.6% I comprising (-)-I and (+)-I in 90:10 mol ratio.

IT **161832-64-0P 161832-66-2P 161832-68-4P**

161832-70-8P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of optically active 1-(4-nitrophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine)

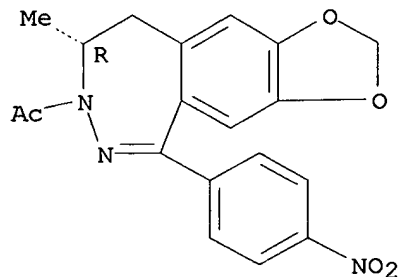
RN 161832-64-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,

7-acetyl-8,9-dihydro-8-methyl-5-

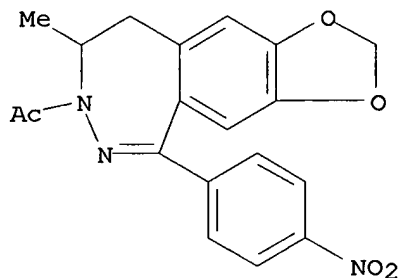
(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



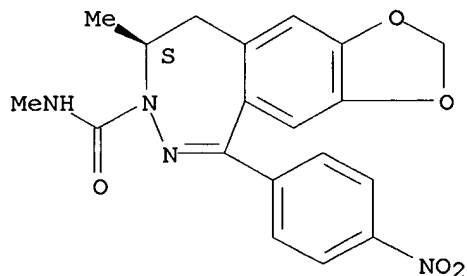
RN 161832-66-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



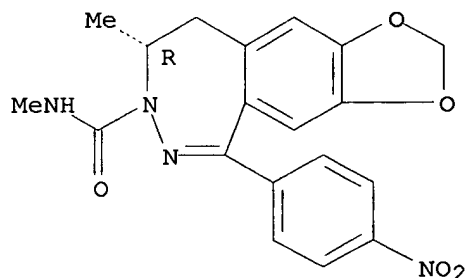
RN 161832-68-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161832-70-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 8,9-dihydro-N,8-dimethyl-5-(4-nitrophenyl)-, (R)-(9CI) (CA INDEX NAME)

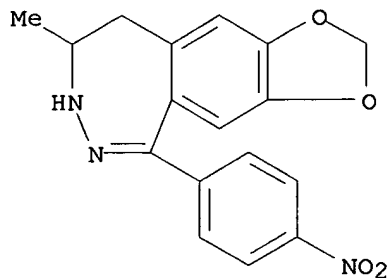
Absolute stereochemistry.



IT **161832-62-8P 161832-63-9P**
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
 (Preparation).
 (prepn. of optically active 1-(4-nitrophenyl)-4-methyl-7,8-

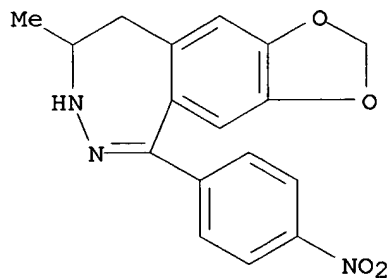
methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine)
 RN 161832-62-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 161832-63-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

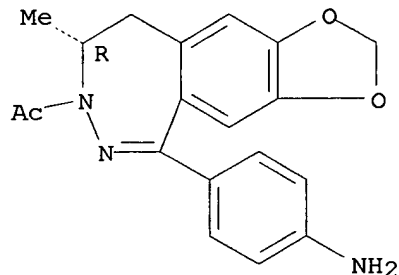


IT **161832-65-1P 161832-67-3P 161832-69-5P**
161832-71-9P 161832-72-0P 161832-73-1P

RL: PNU (Preparation, unclassified); PREP (Preparation)
 (prepn. of optically active 1-(4-nitrophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine)

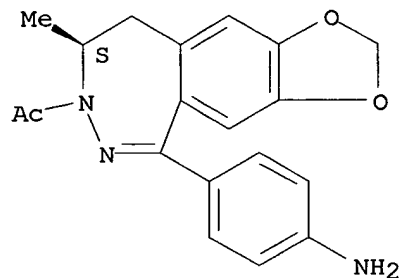
RN 161832-65-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



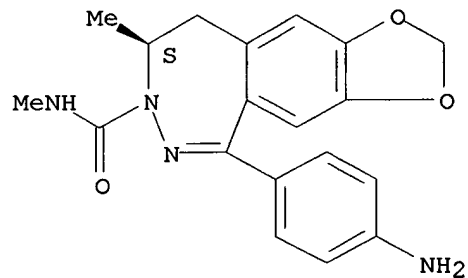
RN 161832-67-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



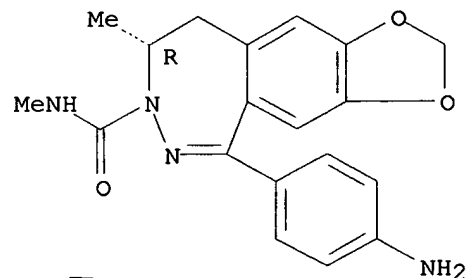
RN 161832-69-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



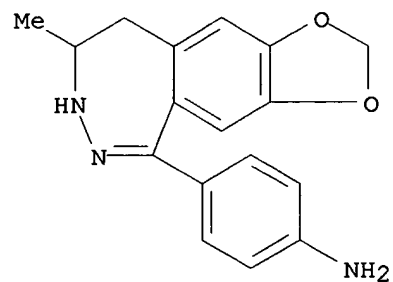
RN 161832-71-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 161832-72-0 CAPLUS
 CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, (-)- (9CI) (CA INDEX NAME)

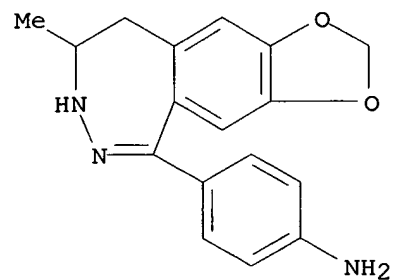
Rotation (-).



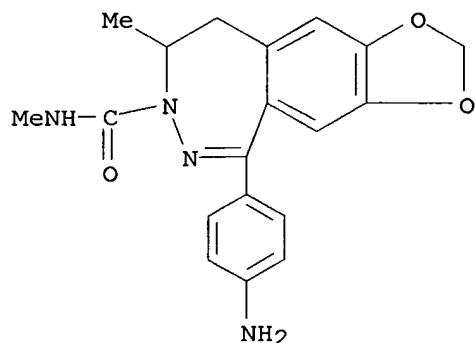
RN 161832-73-1 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



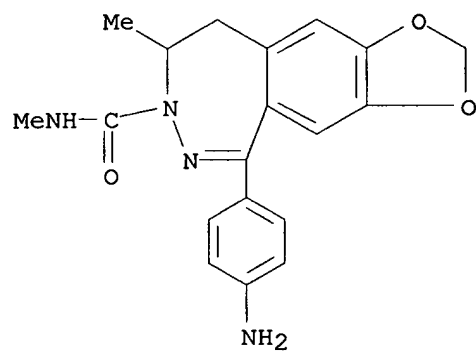
L~~23~~ ANSWER 54 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1995:327008 CAPLUS
 DN 122:97039
 TI Selective antagonism of AMPA receptors unmasks kainate receptor-mediated responses in hippocampal neurons
 AU Paternain, Ana V.; Morales, Miguel; Lerma, Juan
 CS Departamento de Plasticidad Neural, Instituto Cajal, Madrid, 28002, Spain
 SO Neuron (1995), 14(1), 185-9
 CODEN: NERNET; ISSN: 0896-6273
 DT Journal
 LA English
 AB Although both protein and mRNAs for kainate receptor subunits are abundant in several brain regions, the responsiveness of AMPA receptors to kainate has made it difficult to demonstrate the presence of functional kainate-type receptors in native cells. Recently, however, the authors have shown that many hippocampal neurons in culture express glutamate receptors of the kainate type. The large nondesensitizing response that kainate induces at AMPA receptors precludes detection and anal. of smaller, rapidly desensitizing currents induced by kainate at kainate receptors. Consequently, the functional significance of these strongly desensitizing glutamate receptors remains enigmatic. The authors report here that the family of new noncompetitive antagonists of AMPA receptors (GYKI 52466 and 53655) minimally affects kainate-induced responses at kainate receptors while completely blocking AMPA receptor-mediated currents, making it possible to sep. the responses mediated by each receptor. These compds. will allow detn. of the role played by kainate receptors in synaptic transmission and plasticity in the mammalian brain, as well as evaluation of their involvement in neurotoxicity.
 IT 143692-48-2, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (selective antagonism of AMPA receptors unmasks kainate receptor-mediated responses in hippocampal neurons)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



○ HCl

see 61962

~~LA~~ ANSWER 55 OF 62 CAPLUS COPYRIGHT 2001 ACS
~~AN~~ 1995:310928 CAPLUS
 DN 122:96281
 TI Cyclothiazide acts at a site on the .alpha.-amino-3-hydroxy-5-methyl-4-isoxazole propionic acid receptor complex that does not recognize competitive or noncompetitive AMPA receptor antagonists
 AU Desai, Manisha A.; Burnett, J. Paul; Ornstein, Paul L.; Schoepp, Darryle D.
 CS Lilly Res. Lab., Eli Lilly and Company, Indianapolis, IN, USA
 SO J. Pharmacol. Exp. Ther. (1995), 272(1), 38-43
 CODEN: JPETAB; ISSN: 0022-3565
 DT Journal
 LA English
 AB Activation of the .alpha.-amino-3-hydroxy-5-methyl-4-isoxazole propionic acid (AMPA) subtype of ionotropic glutamate receptors by certain agonists, including AMPA and glutamate, has been shown to result in a rapid desensitization of the receptor. This desensitization is profoundly inhibited by the benzothiadiazide diuretic, cyclothiazide. The authors previously reported that cyclothiazide potentiates AMPA-induced [3H]norepinephrine ([3H]NE) release from rat hippocampal slices. The authors used this system to investigate the possible interaction of cyclothiazide with various AMPA receptor antagonists, including the competitive antagonist LY293558 and the 2,3-benzodiazepine noncompetitive antagonist GYKI 53655. Cyclothiazide significantly potentiated both AMPA- and kainic acid (KA)-induced [3H]NE release from slices of the rat hippocampus. LY293558 and GYKI 53655 inhibited the potentiated and nonpotentiated AMPA- and KA-induced [3H]NE release in a concn.-dependent manner. The IC50 values for inhibition of AMPA- or KA-induced [3H]NE release by either antagonist were not affected by the presence of cyclothiazide. Thus, cyclothiazide seems to interact at a site on the AMPA receptor complex which differs from either the glutamate recognition site or the 2,3-benzodiazepine allosteric site.
 IT **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
 (cyclothiazide acts at site on aminohydroxymethylisoxazole propionic acid (AMPA) receptor complex that does not recognize competitive or noncompetitive AMPA receptor antagonists)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

see 29962

ANSWER 56 OF 62 CAPLUS COPYRIGHT 2001 ACS
 1995:188938 CAPLUS
 122:896

TI Non-N-methyl-D-aspartate receptor antagonism by 3-N-substituted
 2,3-benzodiazepines: relationship to anticonvulsant activity

AU Donevan, Sean D.; Yamaguchi, Shun-ichi; Rogawski, Michael A.

CS Natl. Inst. Neurol. Disorders Stroke, Natl. Inst. Health, Bethesda, MD,
 USA

SO J. Pharmacol. Exp. Ther. (1994), 271(1), 25-9
 CODEN: JPETAB; ISSN: 0022-3565

DT Journal

LA English

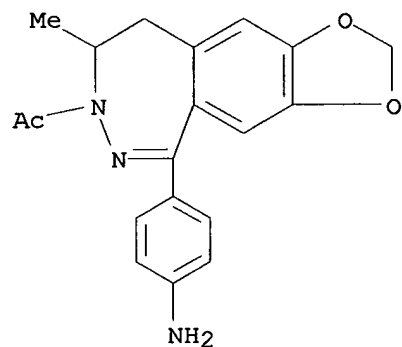
AB Block of AMPA (.alpha.-amino-3-hydroxy-5-methyl-4-isoxazolepropionate)
 and
 kainate currents by GYKI 52466 [1-(4-aminophenyl)-4-methyl-7,8-
 methylenedioxy-5H-2,3-benzodiazepine], a noncompetitive
 non-N-methyl-D-aspartate (AMPA/kainate) receptor antagonist, and two
 3-N-substituted 3,4-reduced GYKI 52466 analogs was assessed in whole cell
 voltage-clamp recordings from cultured rat hippocampal neurons. In
 addn.,
 the activity of the analogs was detd. in the maximal electroshock seizure
 test and for protection against kainate-induced seizures in mice. The
 analogs of GYKI 52466 tested were the 3-N-methylcarbamyl [GYKI 53655;
 1-(4-aminophenyl)-3-methylcarbamyl-4-methyl-3,4-dihydro-7,8-methylenedioxy-
 5H-2,3-benzodiazepine] and the 3-N-acetyl [GYKI 53405;
 1-(4-aminophenyl)-3-acetyl-4-methyl-3,4-dihydro-7,8-methylenedioxy-5H-2,3-
 benzodiazepine]. GYKI 53655 produced a concn.-dependent inhibition of
 AMPA- and kainate-induced currents with IC50 values of 1.1 and 1.5 .mu.M,
 resp.; the corresponding values for GYKI 53405 were 3.8 and 5.0 .mu.M.

As
 blockers of AMPA currents, the analogs were 8- and 2.3-fold, resp., more
 potent than the parent GYKI 52466. Kinetic analyses indicated increased
 assocn. rates for the two 3-N-substituted analogs (2.5-2.6 .times. 105
 M-1
 sec-1) compared with GYKI 52466 (1.6 .times. 105 M-1 sec-1). The
 dissocn.
 rates of GYKI 52466, GYKI 53405 and GYKI 53655 were inversely correlated
 with increasing blocking potency (2.9, 1.7 and 0.6 s-1, resp.). Thus,
 the
 increased affinity of the 3-N-substituted analogs relates to their
 increased binding and decreased unbinding rates. In anticonvulsant
 testing in vivo, GYKI 53655 and GYKI 53405 had ED50 values against
 kainate
 (32 mg/kg s.c.) seizures of 4.6 and 7.5 mg/kg i.p., compared with 8.4
 mg/kg for GYKI 52466. The corresponding values in the maximal
 electroshock seizure test were 4.6 and 5.9 mg/kg, compared with 11.8
 mg/kg
 for GYKI 52466. The rank order of potencies of the three compds. in vivo
 corresponds with their in vitro potencies, supporting the view that the
 anticonvulsant activity is related to blockade of
 non-N-methyl-D-aspartate
 receptors.

IT **143691-37-6**, GYKI 53405 **143692-48-2**, GYKI 53655
 RL: BAC (Biological activity or effector, except adverse); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (non-NMDA receptor antagonism by 3-N-substituted 2,3-benzodiazepines:
 relationship to anticonvulsant activity)

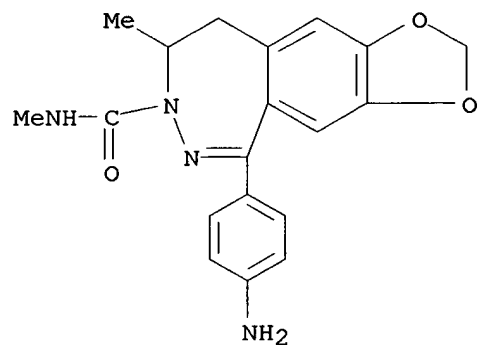
RN 143691-37-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143692-48-2 CAPLUS

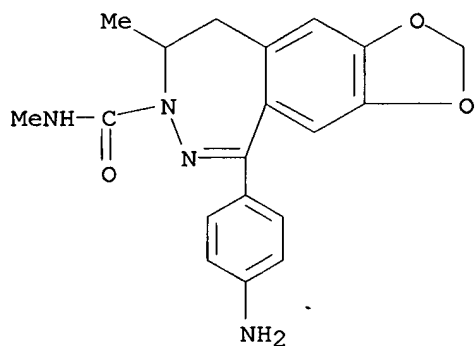
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

see 61 of 62

LF3 ANSWER 57 OF 62 CAPLUS COPYRIGHT 2001 ACS
 AN 1994:525058 CAPLUS
 DN 121:125058
 TI A comparison of intravenous NBQX and GYKI 53655 as AMPA antagonists in
 the rat spinal cord
 AU Chizh, Boris A.; Cumberbatch, Michael J.; Headley, P. Max
 CS School of Medical Sciences, University of Bristol, Bristol, BS8 1TD, UK
 SO Br. J. Pharmacol. (1994), 112(3), 843-6
 CODEN: BJPCBM; ISSN: 0007-1188
 DT Journal
 LA English
 AB The effects of i.v. administration of two .alpha.-amino-3-hydroxy-5-methylisoxazole-4-propionic acid (AMPA) antagonists were studied on responses of single neurons to iontophoretically applied excitatory amino acids. The tests were performed on spinal neurons in .alpha.-chloralose anesthetized, spinalized rats. Both the quinoxaline, NBQX (2-16 mg kg⁻¹) and the 2,3-benzodiazepine, GYKI 53655 (2-8 mg kg⁻¹) dose-dependently decreased responses to AMPA. Both compds. were short acting, with half-recovery times of 15 min for NBQX and 7 min for GYKI 53655. The selectivity for responses to AMPA over those to N-methyl-D-aspartate (NMDA) was significantly poorer for systemic NBQX than for either systemic GYKI 53655 or iontophoretic NBQX, suggesting that systemic NBQX may be converted to a less selective metabolite. GYKI 53655 is therefore likely to be a more valuable tool than NBQX for the study of AMPA receptor-mediated processes in vivo.
 IT **143692-48-2**, GYKI 53655
 RL: BIOL (Biological study)
 (AMPA antagonist, in spinal cord, NBQX comparison with)
 RN 143692-48-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



⊙ HCl

~~123~~ ANSWER 58 OF 62 CAPLUS COPYRIGHT 2001 ACS
AN 1993:183232 CAPLUS
DN 118:183232
TI Cyclothiazide reverses AMPA receptor antagonism of the
2,3-benzodiazepine,
GYKI 53655
AU Palmer, Andrew J.; Lodge, David
CS Dep. Vet. Basic Sci., R. Vet. Coll., London, NW1 0TU, UK
SO Eur. J. Pharmacol., Mol. Pharmacol. Sect. (1993), 244(2), 193-4
CODEN: EJPPET; ISSN: 0922-4106
DT Journal
LA English
AB On rat cortical slices, cyclothiazide, 1-100 .mu.M, (ED50 = 7.1 .mu.M)
enhanced the depolarizing action of .alpha.-amino-3-hydroxy-5-
methylisoxazole-4-propionate (AMPA) but not that of N-methyl-D-aspartate
(NMDA). Cyclothiazide 10 .mu.M also reversed the action of a
2,3-benzodiazepine, GYKI 53655, which is a non-competitive AMPA receptor
antagonist, but not that of the quinoxalinedione, NBQX, which is a
competitive AMPA receptor antagonist.
IT **146908-67-0**, GYKI 53655
RL: BIOL (Biological study)
(AMPA receptor antagonism of, cyclothiazide reversal of)
RN 146908-67-0 CAPLUS

L23 ANSWER 59 OF 62 CAPLUS COPYRIGHT 2001 ACS

AN 1993:139236 CAPLUS

DN 118:139236

TI Structure-activity relationships of 2,3-benzodiazepine compounds with glutamate antagonistic action

AU Tarnawa, Istvan; Berzsenyi, Pal; Andrasi, Ferenc; Botka, Peter; Hamori, Tamas; Ling, Istvan; Korosi, Jeno

CS Inst. Drug Res., Budapest, H-1325, Hung.

SO Bioorg. Med. Chem. Lett. (1993), 3(1), 99-104

CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

AB A series of N-substituted 1-(4'-aminophenyl)-4-methyl-3,4-dihydro-7,8-methylenedioxy-5H-2,3-benzodiazepines, structural analogs of the selective

non-NMDA antagonist GYKI 52466, have been synthesized and tested for biol.

activity, in vivo and in vitro.

IT ~~143691-37-6~~ ~~143691-38-7~~ ~~143691-39-8~~

~~143691-40-1~~ ~~143691-41-2~~ ~~143691-42-3~~

~~143691-43-4~~ ~~143691-44-5~~ ~~143691-45-6~~

~~143691-57-0~~ ~~143691-88-7~~ ~~143691-90-1~~

~~143692-18-6~~ ~~143692-20-0~~ ~~143692-36-8~~

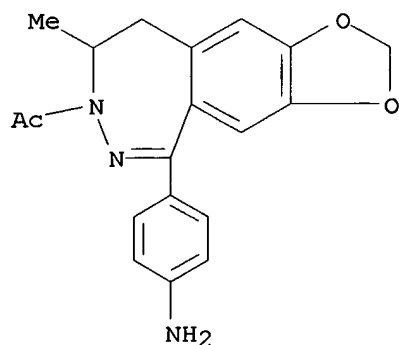
~~143692-38-0~~ ~~143715-44-0~~ ~~146608-11-9~~

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(as GYKI 52466 benzodiazepine analogs, glutamate antagonist activity of, structure in relation to)

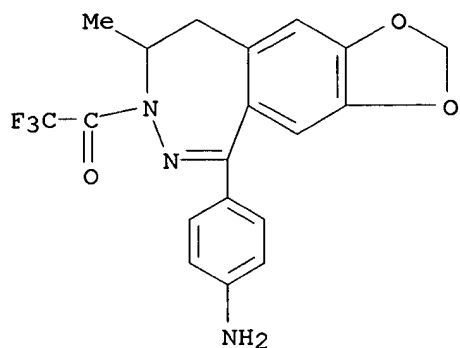
RN 143691-37-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

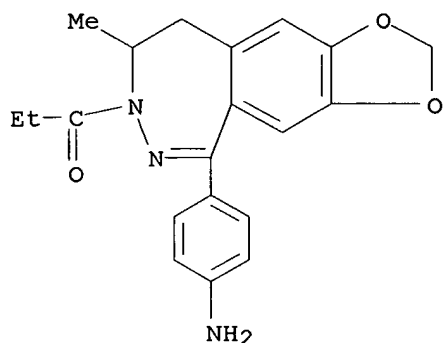


RN 143691-38-7 CAPLUS

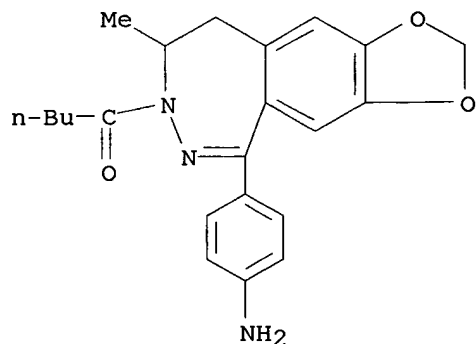
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



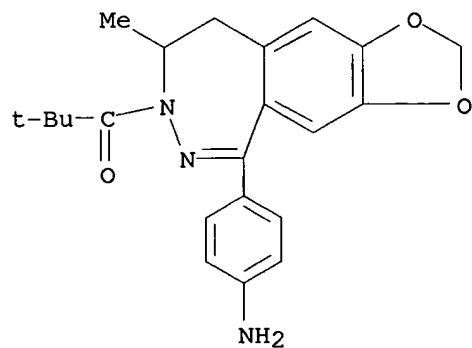
RN 143691-39-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



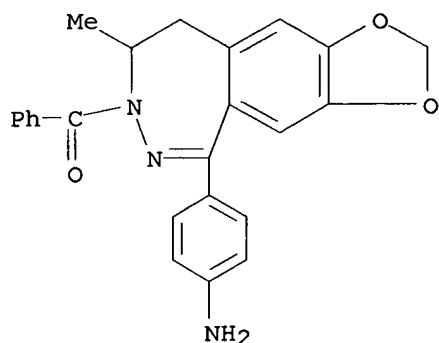
RN 143691-40-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



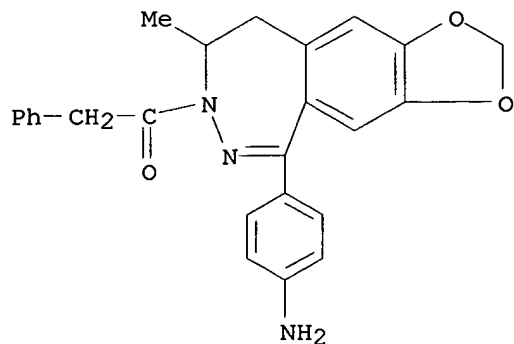
RN 143691-41-2 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(2,2-
 dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



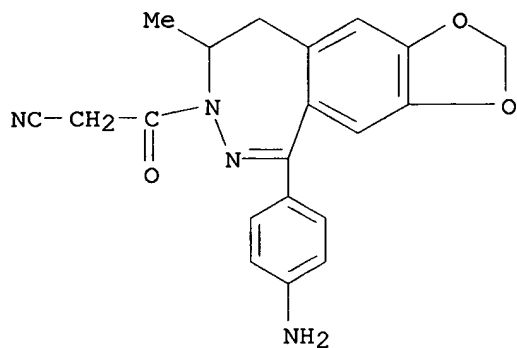
RN 143691-42-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-7-benzoyl-8,9-
 dihydro-8-methyl- (9CI) (CA INDEX NAME)



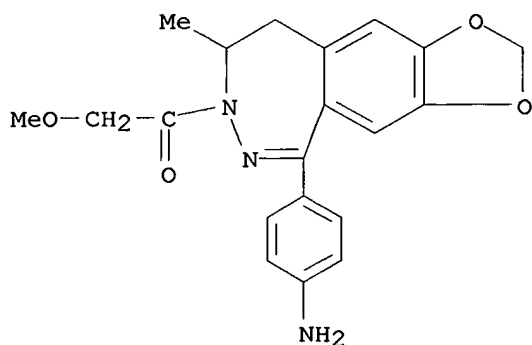
RN 143691-43-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



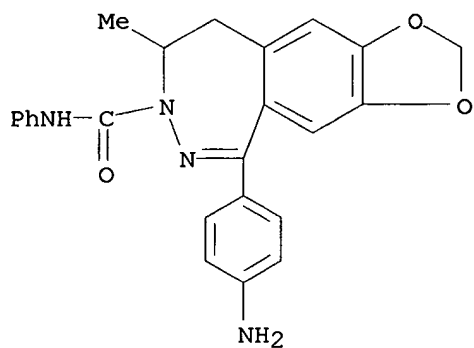
RN 143691-44-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
 (cyanoacetyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



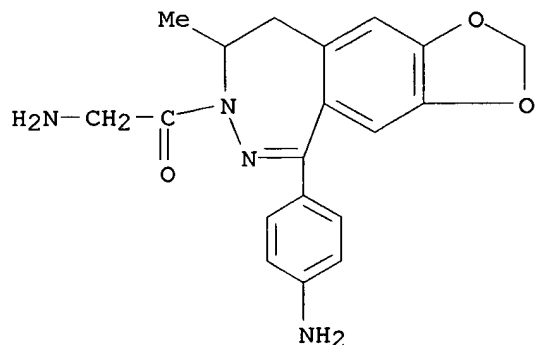
RN 143691-45-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-7-
 (methoxyacetyl)-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-57-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-N-phenyl- (9CI) (CA INDEX NAME)

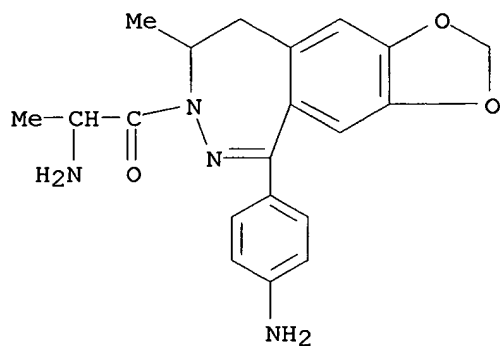


RN 143691-88-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



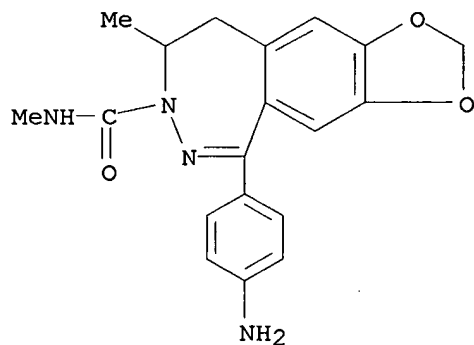
RN 143691-90-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



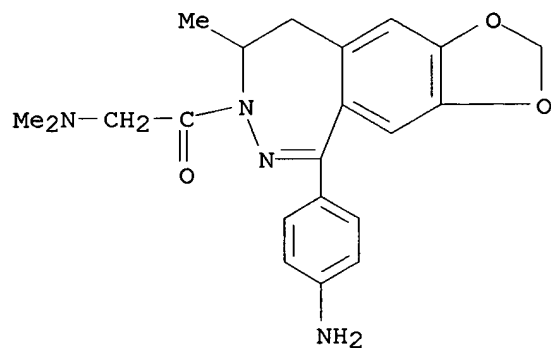
RN 143692-18-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)

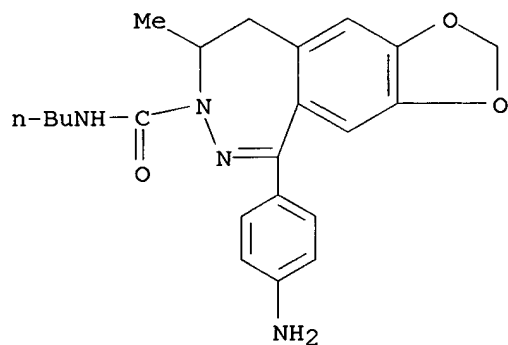


RN 143692-20-0 CAPLUS

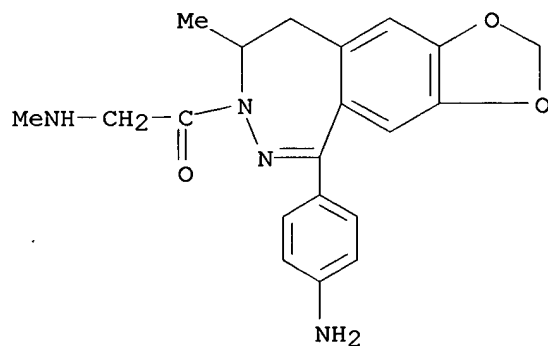
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-[(dimethylamino)acetyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



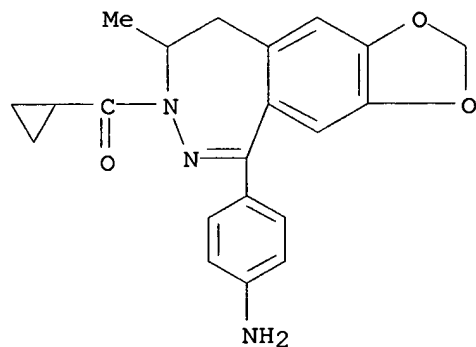
RN 143692-36-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-N-butyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 143692-38-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-[(methylamino)acetyl]- (9CI) (CA INDEX NAME)

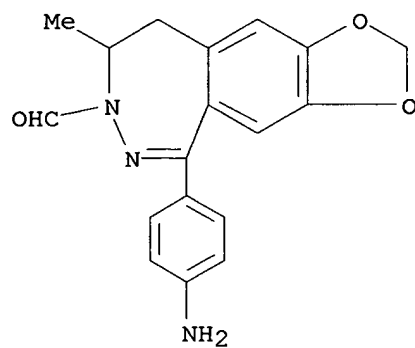


RN 143715-44-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



RN 146608-11-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxaldehyde,
5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



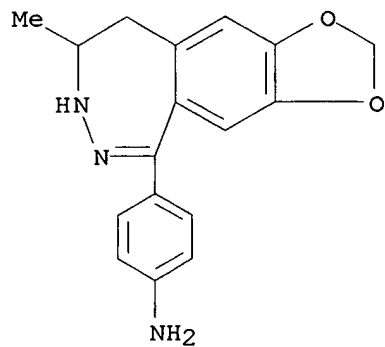
IT **114460-08-1D**, derivs.

RL: BIOL (Biological study)

(as glutamate antagonists, structure in relation to)

RN 114460-08-1 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)



123 ANSWER 60 OF 62 CAPLUS COPYRIGHT 2001 ACS

1993:38960 CAPLUS

118:38960

TI Process for producing 1-(4-acylamino-phenyl)-7,8-methylenedioxy-5H-2,3-benzodiazepine derivatives and their acid addition salts and pharmaceutical compositions

IN Andrasi, Ferenc; Berzsenyi, Pal; Botka, Peter; Goldschmidt Horvath, Katalin; Hamori, Tamas; Korosi, Jenő; Moravcsik, Imre; Sziraki, Istvan

PA Gyogyszerkutató Intézet Kozos Vallalat, Hung.

SO Hung. Teljes, 29 pp.

CODEN: HUXXB

DT Patent

LA Hungarian

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	HU 59683	A2	19920629	HU 1990-8397	19901221
	HU 206719	B	19921228		
	CA 2098291	AA	19920622	CA 1991-2098291	19911220
	WO 9211262	A1	19920709	WO 1991-HU53	19911220
	W: AU, CA, CS, FI, JP, KR, NO, PL, SU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 9191226	A1	19920722	AU 1991-91226	19911220
	EP 565557	A1	19931020	EP 1992-901588	19911220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	JP 06506442	T2	19940721	JP 1992-502171	19911220
PRAI	HU 1990-8397		19901221		
	WO 1991-HU53		19911220		
OS	CASREACT 118:38960; MARPAT 118:38960				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title central nervous system stimulants I (R = H, C1-4 alkyl group unsubstituted or substituted with a carboxyl or C2-5 alkoxy-carbonyl group;

R1 = C1-6 aliph. acyl, or benzoyl or phenylacetyl group) were prep'd. by: (i) acylation of the org. or inorg. acid addn. salt II (R as above; X = e.g., halo, methanesulfonate; without or without isolation) of benzodiazepine III; (ii) (for R = H) regioselective redn. of benzodiazepine IV with inorg. or org.-inorg. metal hydride; (iii) alkylation of I (R = H) prep'd. via (i) or (ii) with an appropriate (un)substituted alkyl halide. Thus, to 15.0 g IV (R1 = Ac) in 150 mL pyridine were added 10.2 g NaBH₄; workup via the resulting 15.2 g of HCl salt, followed by neutralization, afforded 57.2% I (R = H, R1 = Ac). The antidepressant and anti-Parkinsonism activity of I (R = H, R1 = Ac) was attributed to a selective dopamine-uptake inhibiting mechanism.

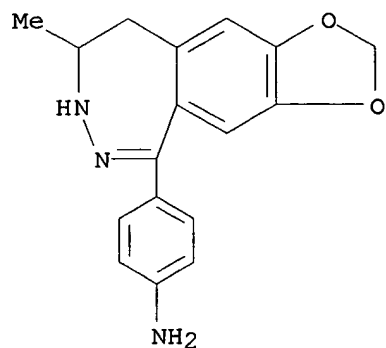
IT 114460-08-1

RL: RCT (Reactant)

(acylation of, as free base and via methanesulfonate salt)

RN 114460-08-1 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)



IT 114460-09-2P

RL: RCT (Reactant); PREP (Preparation)
(formation and acylation of)

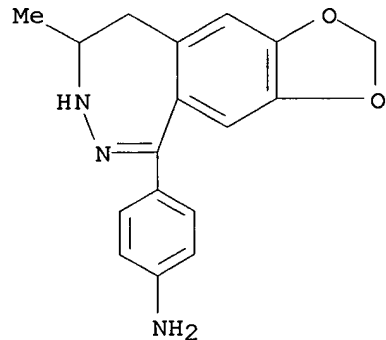
RN 114460-09-2 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 114460-08-1

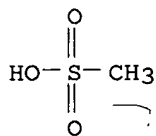
CMF C17 H17 N3 O2



CM 2

CRN 75-75-2

CMF C H4 O3 S



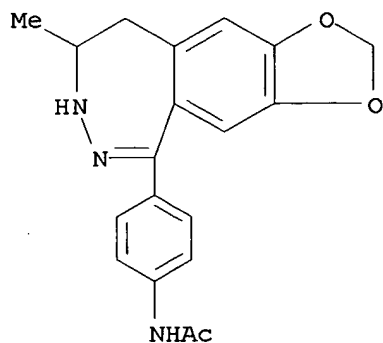
IT 143692-42-6P

RL: RCT (Reactant); PREP (Preparation)
(formation and neutralization of)

RN 143692-42-6 CAPLUS
 CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

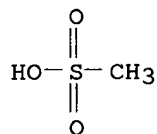
CM 1

CRN 143692-41-5
 CMF C19 H19 N3 O3

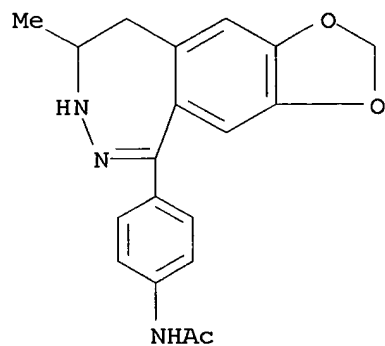


CM 2

CRN 75-75-2
 CMF C H4 O3 S



IT **143692-43-7P 144096-37-7P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and neutralization of)
 RN 143692-43-7 CAPLUS
 CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

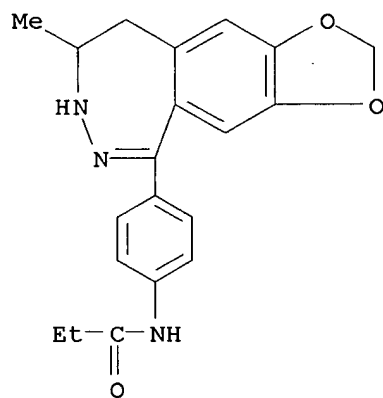
RN 144096-37-7 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 143692-44-8

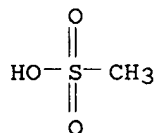
CMF C20 H21 N3 O3



CM 2

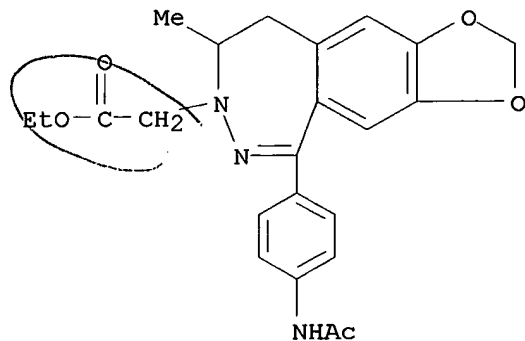
CRN 75-75-2

CMF C H4 O3 S

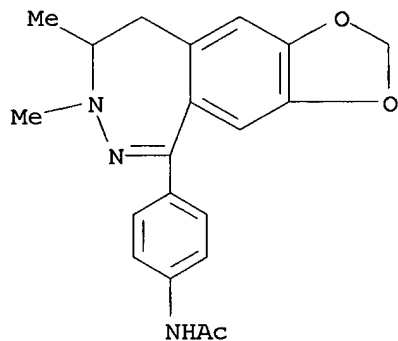


IT **143918-14-3P**RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and regioselective hydrolysis of)

RN 143918-14-3 CAPLUS

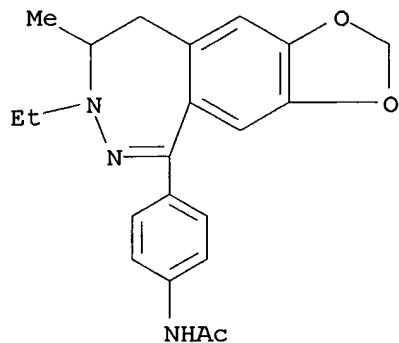
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-acetic acid,
5-[4-(acetylamino)phenyl]-8,9-dihydro-8-methyl-, ethyl ester (9CI) (CA
INDEX NAME)IT **143918-15-4P 143918-16-5P 143918-17-6P**RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 143918-15-4 CAPLUS

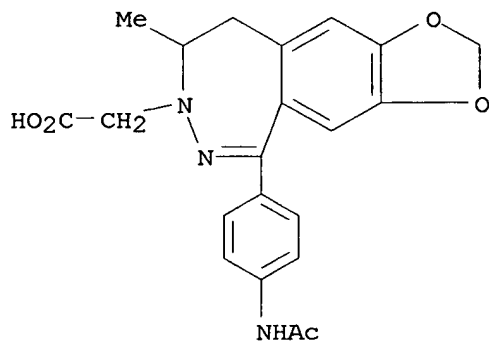
CN Acetamide, N-[4-(8,9-dihydro-7,8-dimethyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 143918-16-5 CAPLUS

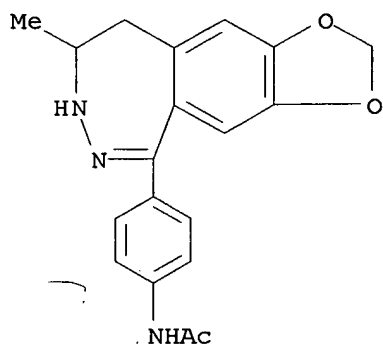
CN Acetamide, N-[4-(7-ethyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143918-17-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-acetic acid,
 5-[4-(acetylamino)phenyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

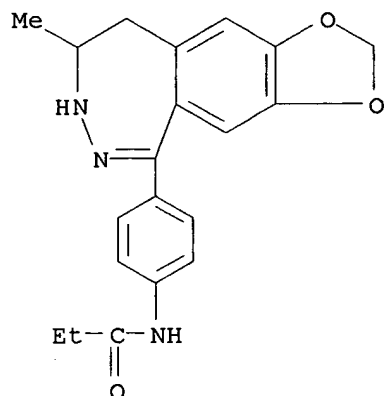


IT **143692-41-5P 143692-44-8P 143692-45-9P**
143692-46-0P 143692-47-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antidepressant and for treatment of Parkinsonism)
 RN 143692-41-5 CAPLUS
 CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



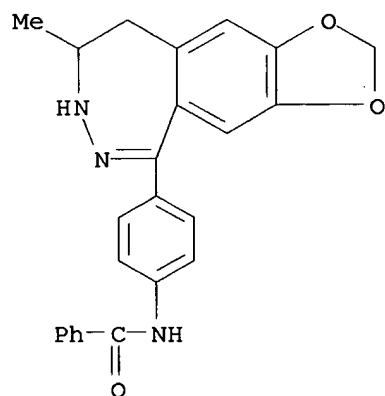
RN 143692-44-8 CAPLUS
 CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-

h) [2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



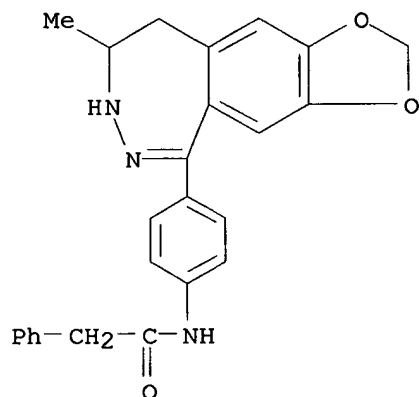
RN 143692-45-9 CAPLUS

CN Benzamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



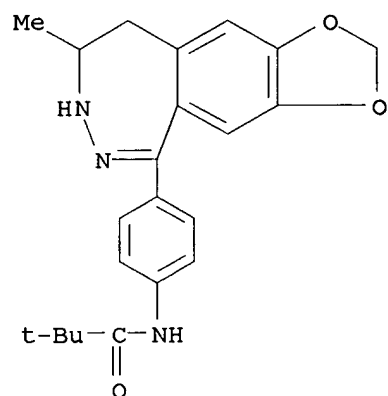
RN 143692-46-0 CAPLUS

CN Benzeneacetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143692-47-1 CAPLUS

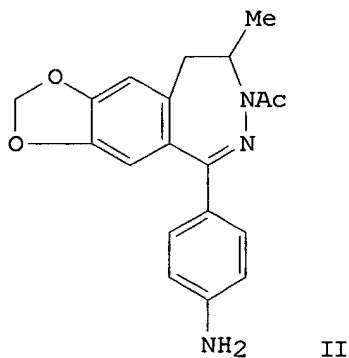
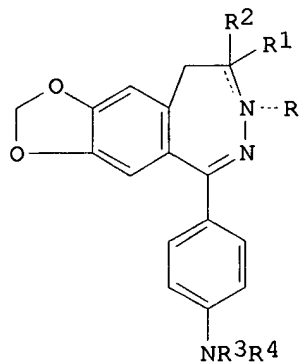
CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



29 of 62
US equiv.

ANSWER 61 OF 62 CAPLUS COPYRIGHT 2001 ACS
 1992:571479 CAPLUS
 117:171479
 Preparation of 1-(4-aminophenyl)-7,8-methylenedioxy-2,3-benzodiazepines
 muscle relaxants, anticonvulsants, and cerebral antiischemics
 Andrasi, Ferenc; Berzsenyi, Pal; Botka, Peter; Farkas, Sandor;
 Goldschmidt, Katalin; Hamori, Tamas; Korosi, Jenő; Moravcsik, Imre;
 Tarnawa, Istvan
 Gyogyszerkutató Intézet, Hung.
 Eur. Pat. Appl., 47 pp.
 CODEN: EPXXDW
 Patent
 English
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 492485	A1	19920701	EP 1991-121882	19911223
	EP 492485	B1	19971119		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	HU 59684	A2	19920629	HU 1990-8398	19901221
	CA 2057504	AA	19920622	CA 1991-2057504	19911212
	BR 9105517	A	19920901	BR 1991-5517	19911219
	RU 2102387	C1	19980120	RU 1991-5010635	19911219
	FI 9106032	A	19920622	FI 1991-6032	19911220
	NO 9105060	A	19920622	NO 1991-5060	19911220
	AU 9189963	A1	19920625	AU 1991-89963	19911220
	AU 641578	B2	19930923		
	CN 1062730	A	19920715	CN 1991-111088	19911220
	CN 1041420	B	19981230		
	ZA 9110064	A	19921028	ZA 1991-10064	19911220
	JP 05070463	A2	19930323	JP 1991-354972	19911220
	JP 2756742	B2	19980525		
	IL 100449	A1	19951231	IL 1991-100449	19911220
	AT 160350	E	19971215	AT 1991-121882	19911223
	ES 2112848	T3	19980416	ES 1991-121882	19911223
	CN 1191111	A	19980826	CN 1998-103976	19980110
PRAI	HU 1990-8398	A	19901221		
OS	MARPAT 117:171479				
GI					



AB Title compds. [I; R = null, (substituted) aliph. acyl, PhCO, cyclopropanecarbonyl, alkylcarbamoyl, phenylcarbamoyl; R1 = H, null; R2 = C1-3 alkyl; R1R2 = CH2; R3 = H, aliph. acyl; R4 = H, (substituted) aliph. acyl, PhCO, palmitoyl, cyclopropanecarbonyl, alkylcarbamoyl, phenylcarbamoyl; dotted lines = optional double bonds] were prepd. Thus, 1-(4-aminophenyl)-4-methyl-7,8-methylenedioxy-3,4-dihydro-5H-2,3-benzodiazepine in CHCl3 was treated with Et3N and then Ac2O under ice cooling; the mixt. was stirred 2 h to give 85.7% title compd. II. II potentiated Na hexobarbital narcosis in mice with ED50 = 3-6 mg/kg

orally,

and inhibited electroshock-induced convulsion in mice with ED50 = 12.5 mg/kg orally. Tablets were prepd. contg. II.

IT 114460-09-2P 143691-46-7P 143691-47-8P
 143691-48-9P 143691-49-0P 143691-50-3P
 143691-51-4P 143691-52-5P 143691-53-6P
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 143692-02-8P 143692-03-9P 143692-04-0P
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 143692-14-2P 143692-49-3P 143692-51-7P
 143692-52-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for muscle relaxant and anticonvulsant)

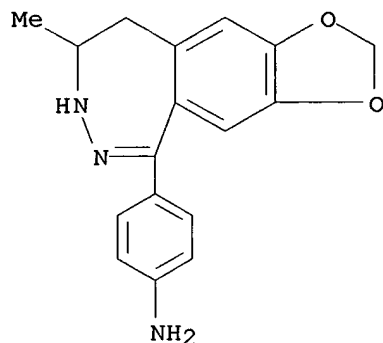
RN 114460-09-2 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 114460-08-1

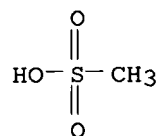
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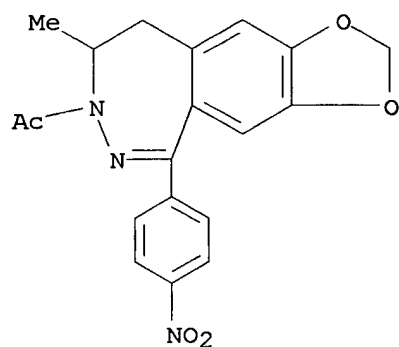
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CRN 75-75-2

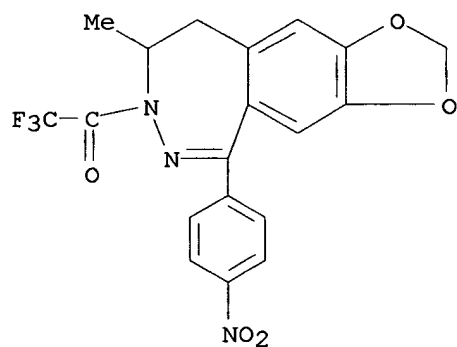
CMF C H4 O3 S



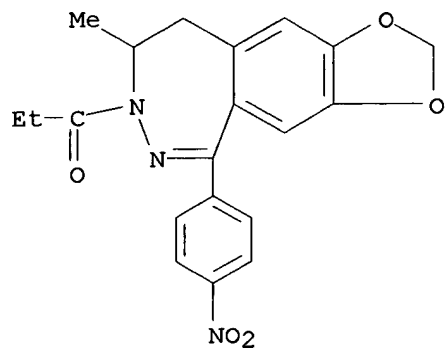
RN 143691-46-7 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 7-acetyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-47-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

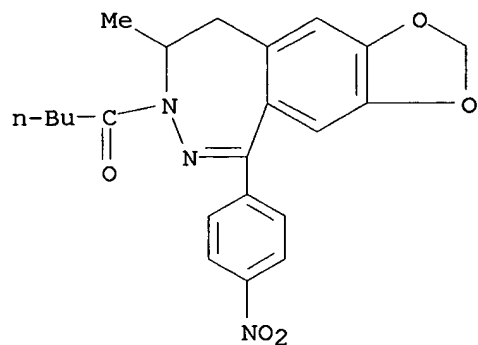


RN 143691-48-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



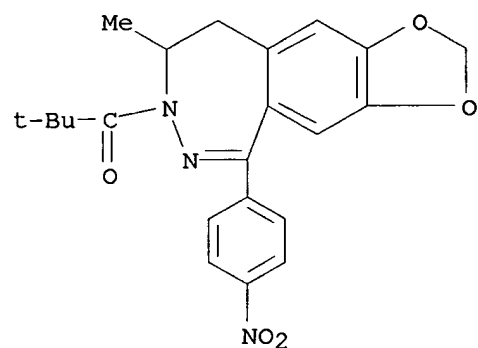
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CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



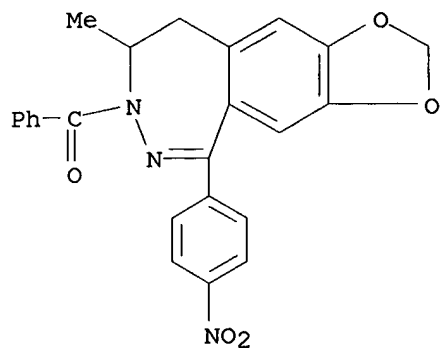
RN 143691-50-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



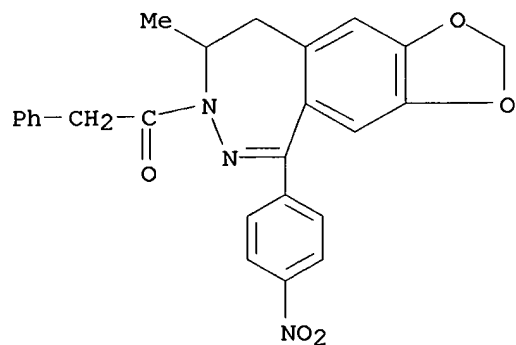
RN 143691-51-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-benzoyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



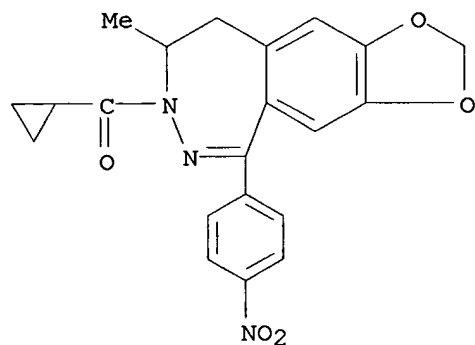
RN 143691-52-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



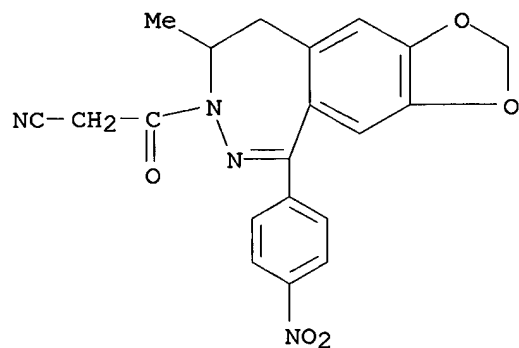
RN 143691-53-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

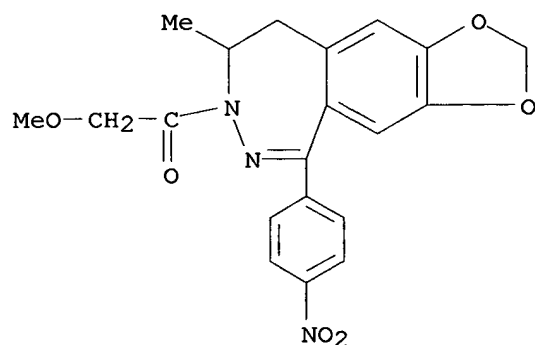


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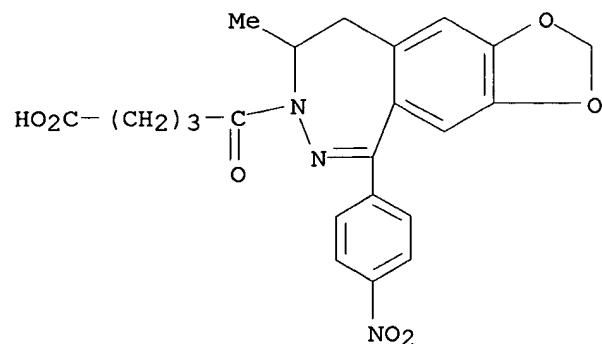
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(cyanoacetyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



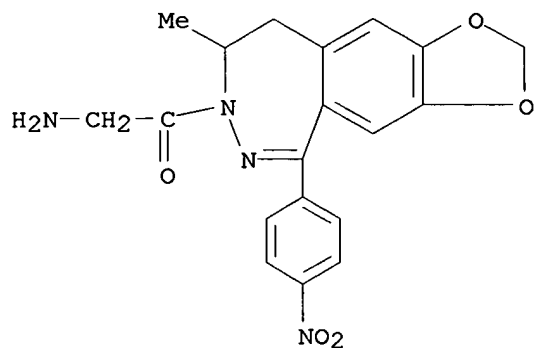
RN 143691-55-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 8,9-dihydro-7-(methoxyacetyl)-8-
 methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143691-56-9 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-.delta.-oxo- (9CI) (CA INDEX
 NAME)

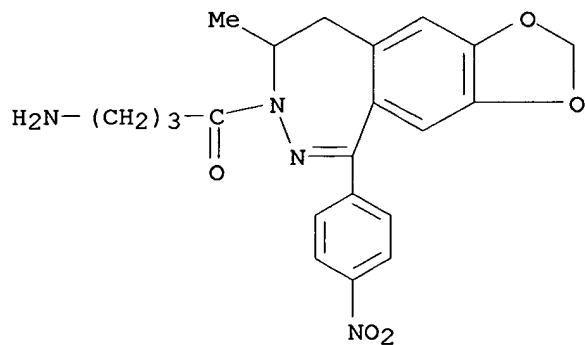


RN 143692-02-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-8,9-dihydro-8-
 methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



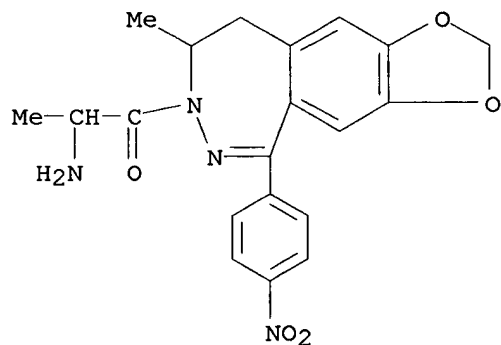
RN 143692-03-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



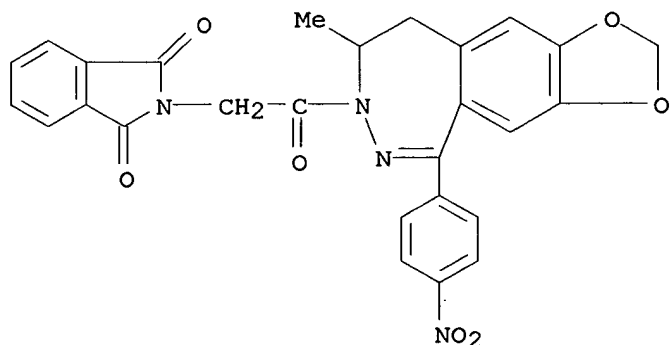
RN 143692-04-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



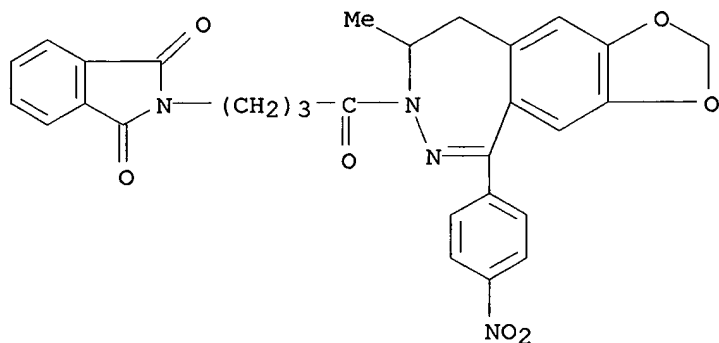
RN 143692-05-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



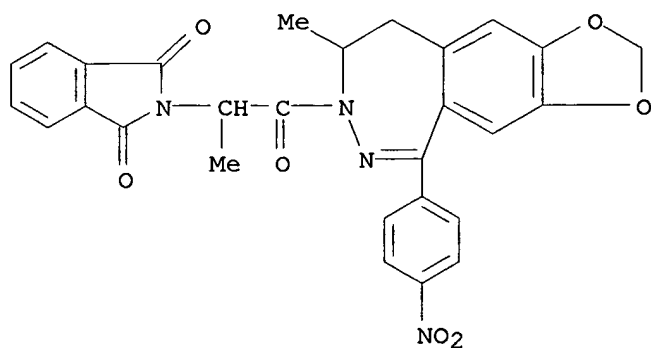
RN 143692-06-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



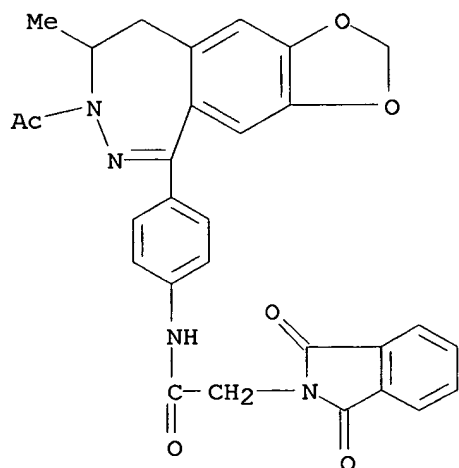
RN 143692-07-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-8,9-dihydro-8-methyl-1-(4-nitrophenyl)- (9CI)
(CA INDEX NAME)



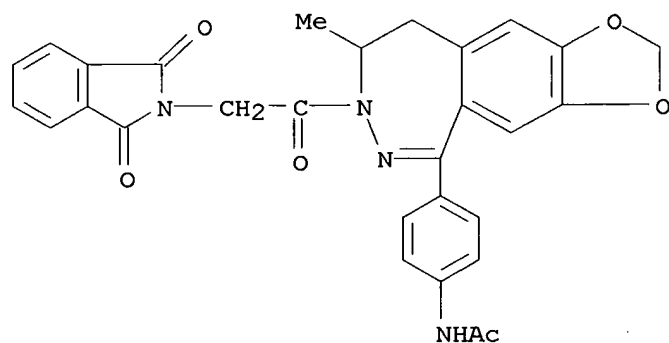
RN 143692-08-4 CAPLUS

CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo- (9CI)
(CA INDEX NAME)



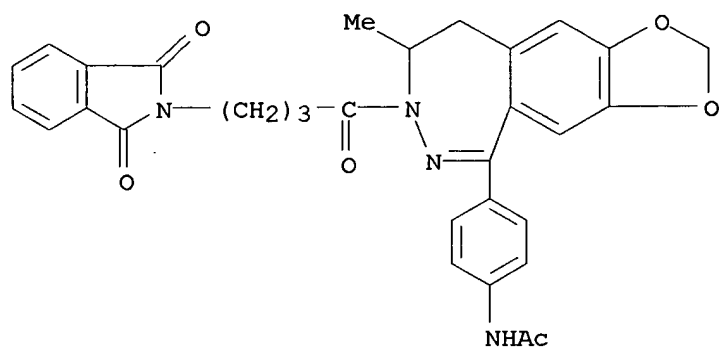
RN 143692-09-5 CAPLUS

CN Acetamide, N-[4-[7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-
(9CI) (CA INDEX NAME)



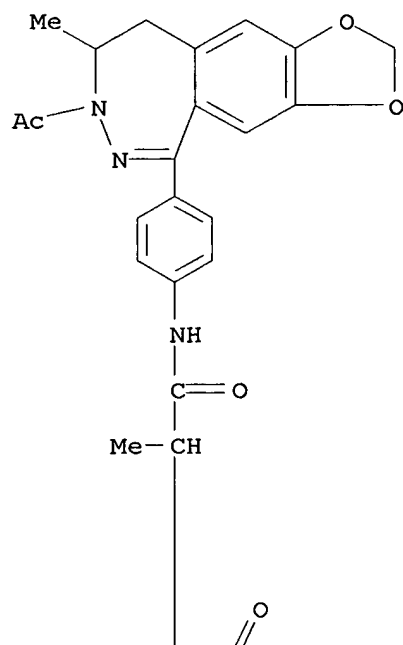
RN 143692-10-8 CAPLUS

CN Acetamide,
N-[4-[7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxobutyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-
(9CI) (CA INDEX NAME)

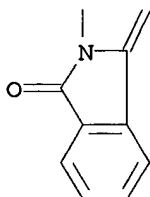


RN 143692-11-9 CAPLUS
 CN 2H-Isoindole-2-acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-.alpha.-methyl-1,3-dioxo- (9CI) (CA INDEX NAME)

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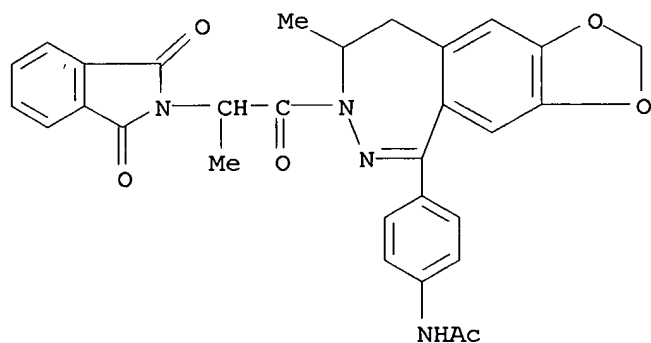
PAGE 2-A



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CN Acetamide, N-[4-[7-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-

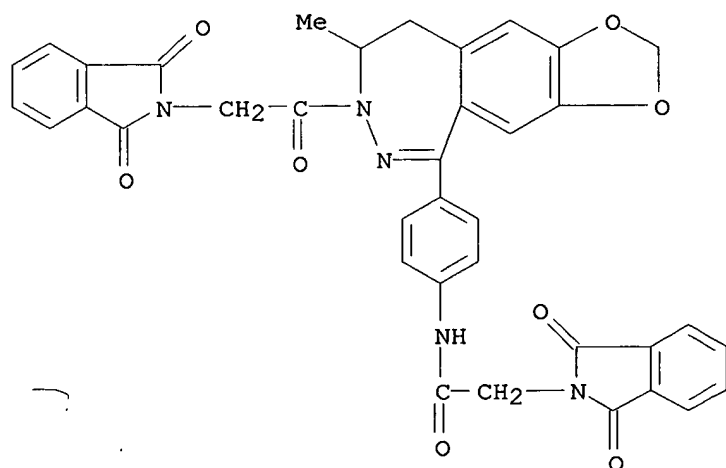
oxopropyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



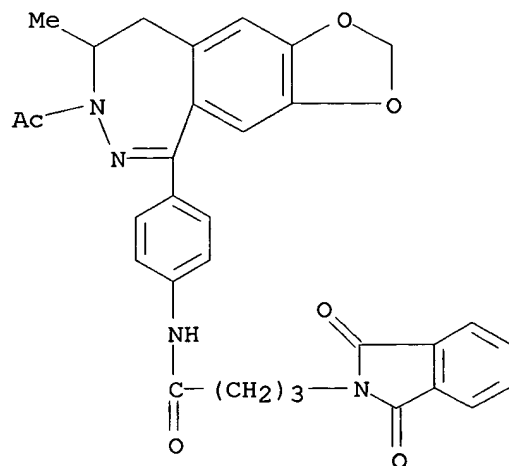
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CN 2H-Isoindole-2-acetamide, N-[4-[7-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-

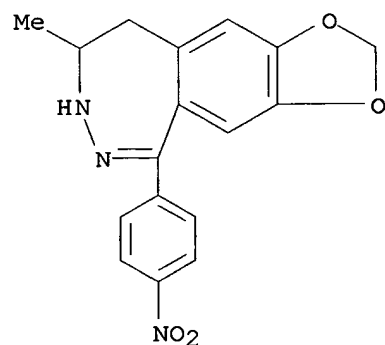
yl)acetyl]-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)

RN ~~143692~~-14-2 CAPLUS

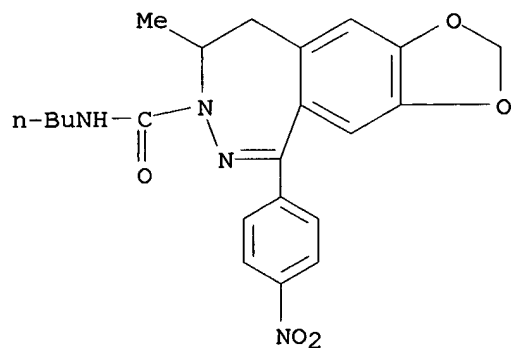
CN 2H-Isoindole-2-butanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-1,3-dihydro-1,3-dioxo-
(9CI)
(CA INDEX NAME)



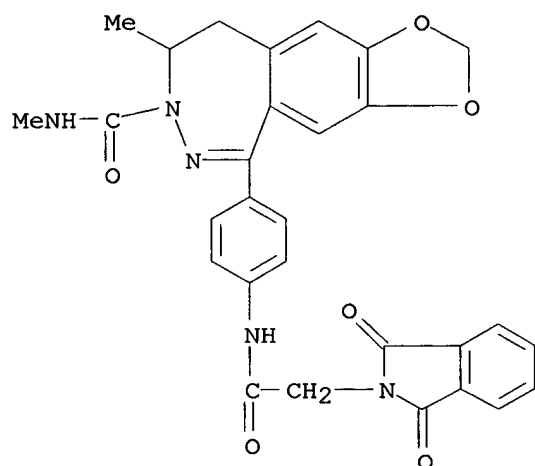
RN 143692-49-3 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-51-7 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
N-butyl-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 143692-52-8 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl) acetyl] amino]phenyl]-8,9-
 dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



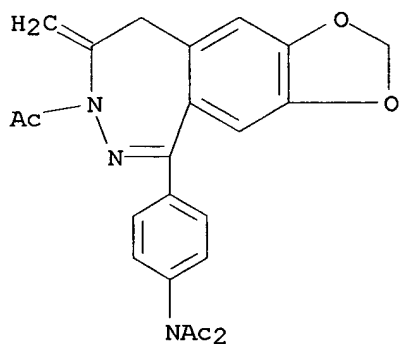
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RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as muscle relaxant and anticonvulsant)

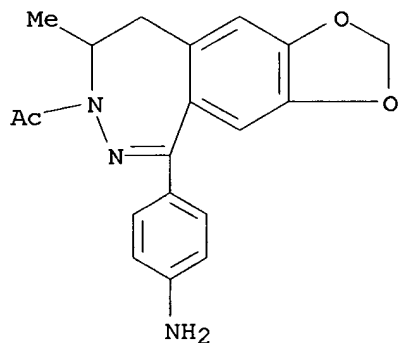
RN 143691-23-0 CAPLUS

CN Acetamide, N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methylene-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



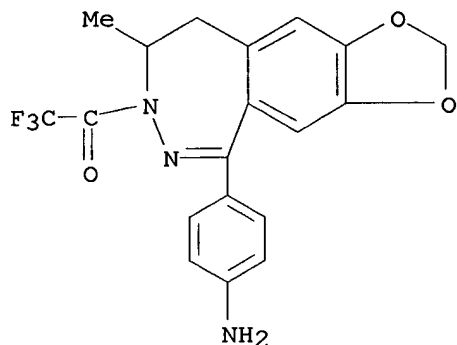
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CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

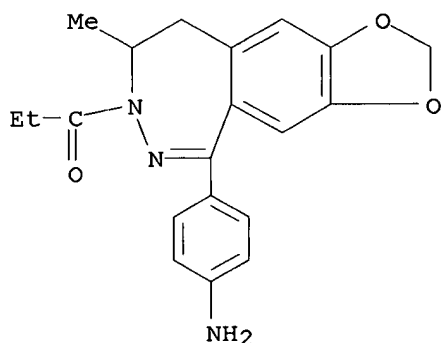


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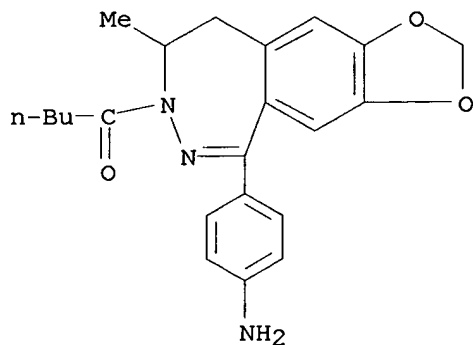
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 5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(trifluoroacetyl)- (9CI) (CA INDEX NAME)



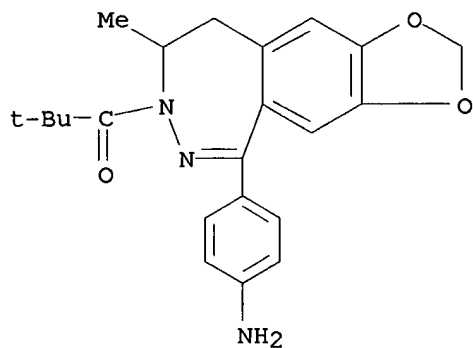
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 methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



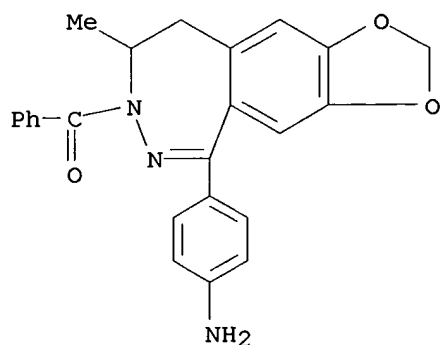
RN 143691-40-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(1-oxopentyl)- (9CI) (CA INDEX NAME)



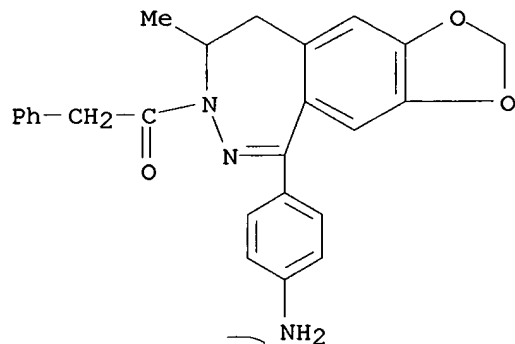
RN 143691-41-2 CAPLUS
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 dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



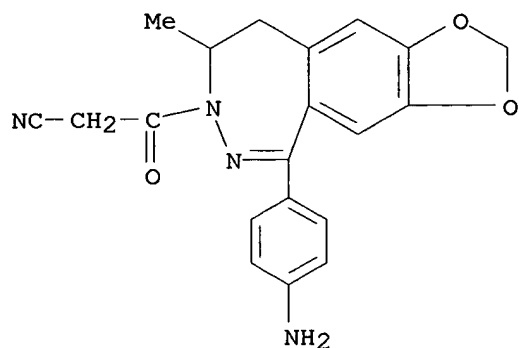
RN 143691-42-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-7-benzoyl-8,9-
 dihydro-8-methyl- (9CI) (CA INDEX NAME)



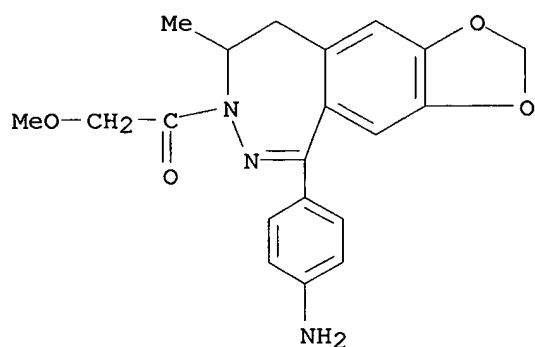
RN 143691-43-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-8-
 methyl-7-(phenylacetyl)- (9CI) (CA INDEX NAME)



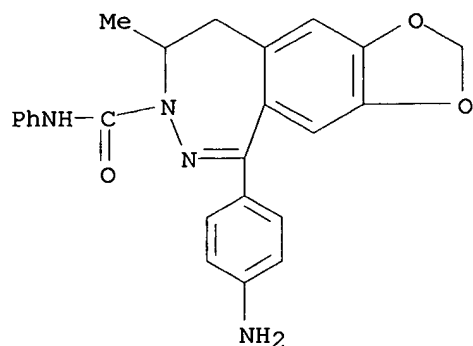
RN 143691-44-5 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
 (cyanoacetyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



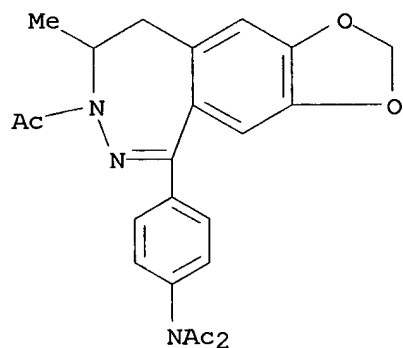
RN 143691-45-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
 5-(4-aminophenyl)-8,9-dihydro-7-
 (methoxyacetyl)-8-methyl- (9CI) (CA INDEX NAME)



RN 143691-57-0 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-N-phenyl- (9CI) (CA INDEX NAME)



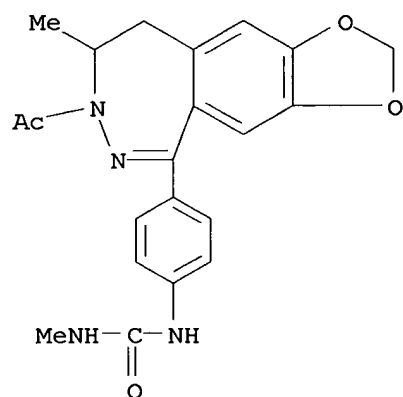
RN 143691-58-1 CAPLUS
 CN Acetamide,
 N-acetyl-N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143691-59-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-

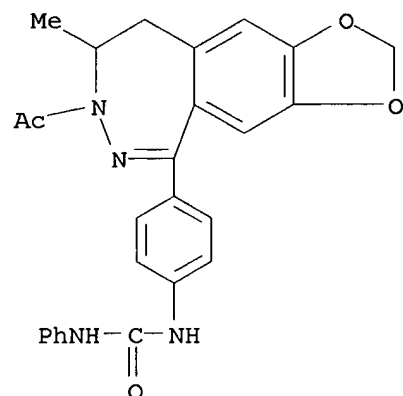
[4-[[dimethylamino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-60-5 CAPLUS

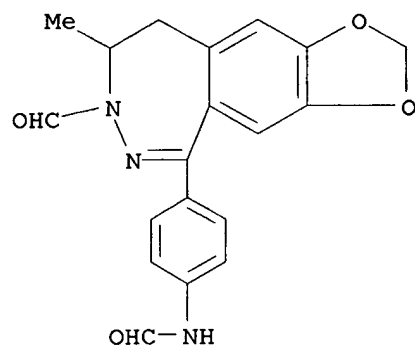
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
7-acetyl-8,9-dihydro-8-methyl-5-

[4-[[methylamino]carbonyl]amino]phenyl]- (9CI) (CA INDEX NAME)



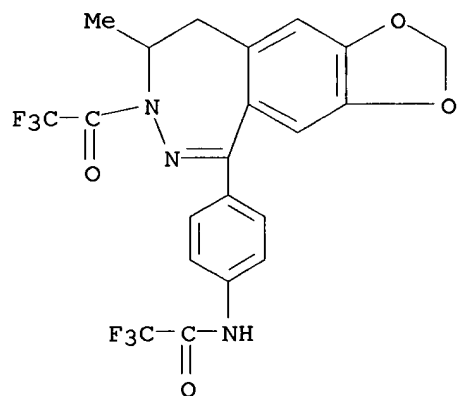
RN 143691-61-6 CAPLUS

CN Formamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



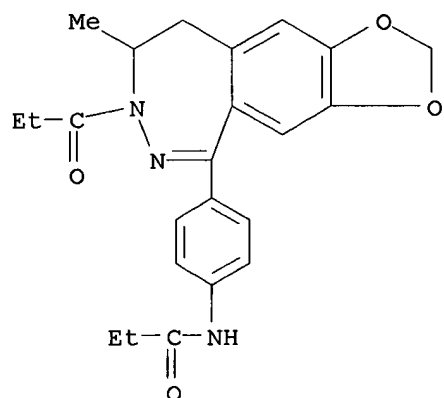
RN 143691-62-7 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



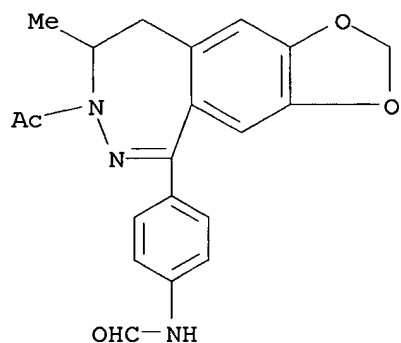
RN 143691-63-8 CAPLUS

CN Propanamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



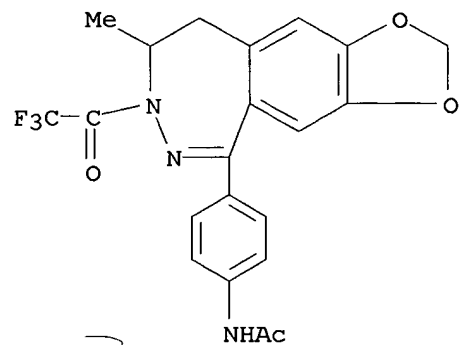
RN 143691-64-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



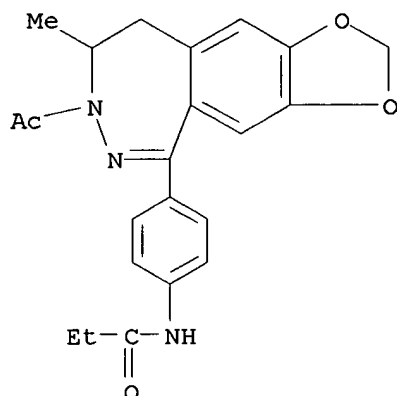
RN 143691-65-0 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(trifluoroacetyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



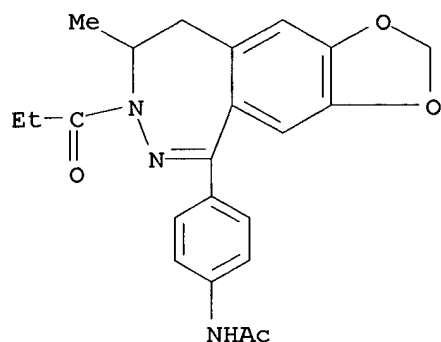
RN 143691-66-1 CAPLUS

CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



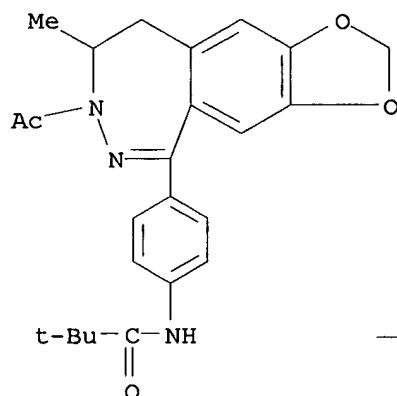
RN 143691-67-2 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(1-oxopropyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143691-68-3 CAPLUS

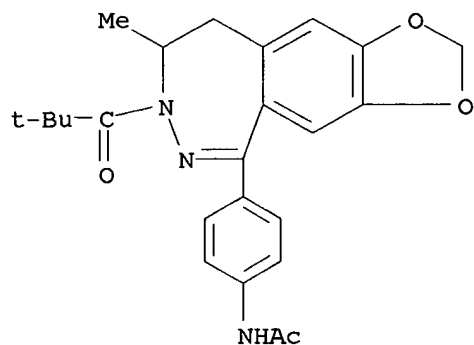
CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 143691-69-4 CAPLUS

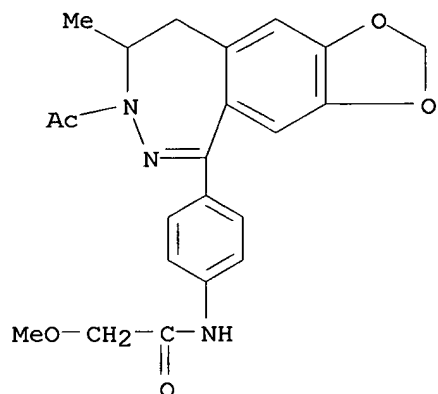
CN Acetamide, N-[4-[7-(2,2-dimethyl-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-

dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



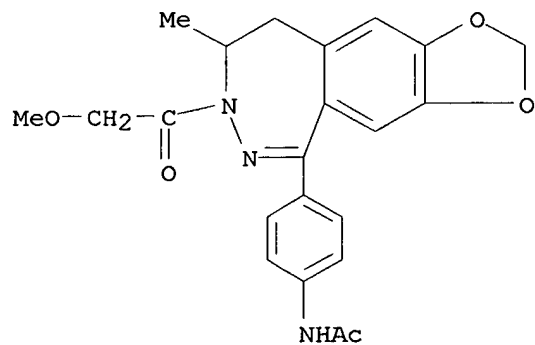
RN 143691-70-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



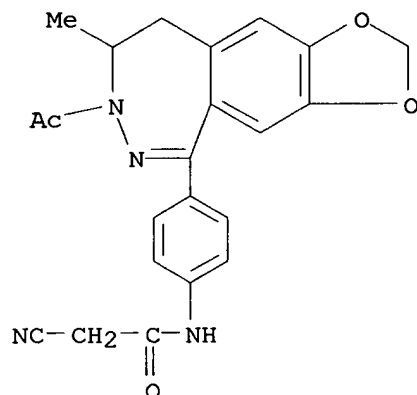
RN 143691-71-8 CAPLUS

CN Acetamide,
N-[4-[8,9-dihydro-7-(methoxyacetyl)-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



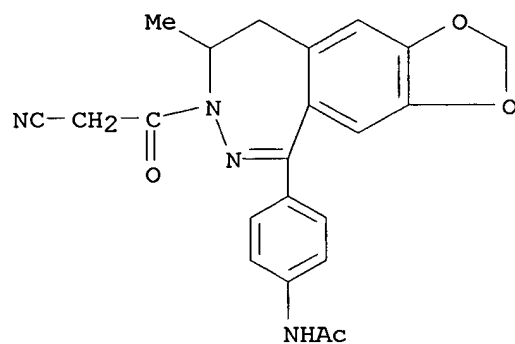
RN 143691-72-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-cyano- (9CI) (CA INDEX NAME)



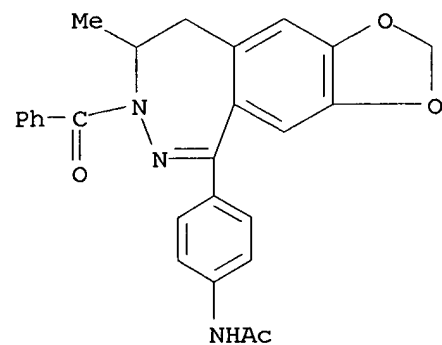
RN 143691-73-0 CAPLUS

CN Acetamide, N-[4-[7-(cyanoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



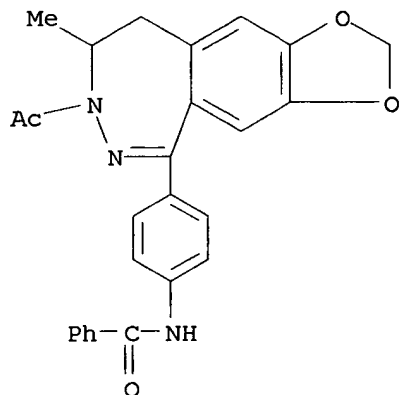
RN 143691-74-1 CAPLUS

CN Acetamide, N-[4-(7-benzoyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

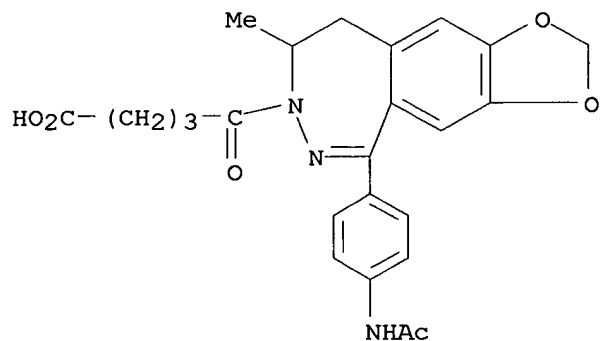


RN 143691-75-2 CAPLUS

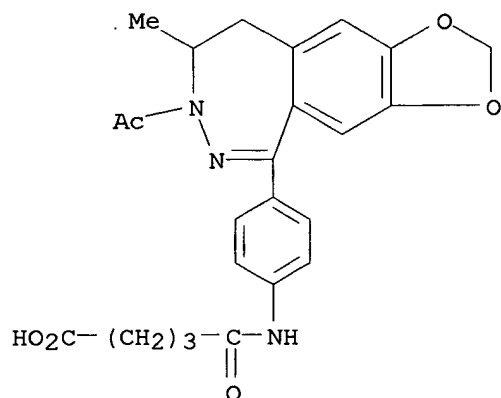
CN Benzamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 143691-76-3 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid, 5-[4-(acetylamino)phenyl]-8,9-dihydro-8-methyl-.delta.-oxo- (9CI) (CA INDEX NAME)

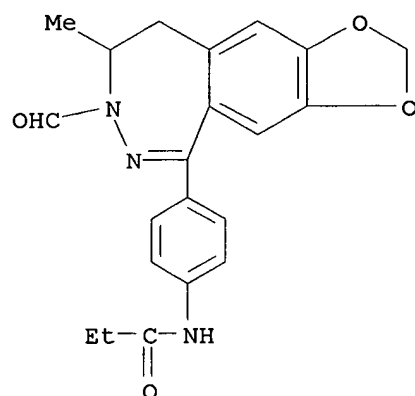


RN 143691-77-4 CAPLUS
CN Pentanoic acid, 5-[[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]amino]-5-oxo- (9CI) (CA INDEX NAME)



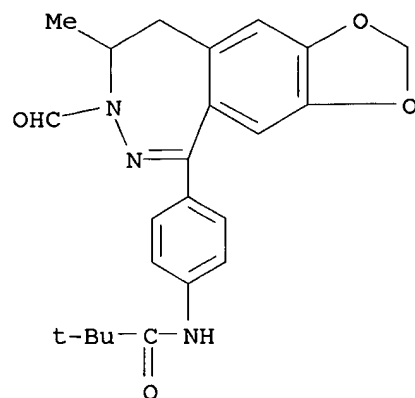
RN 143691-78-5 CAPLUS

CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



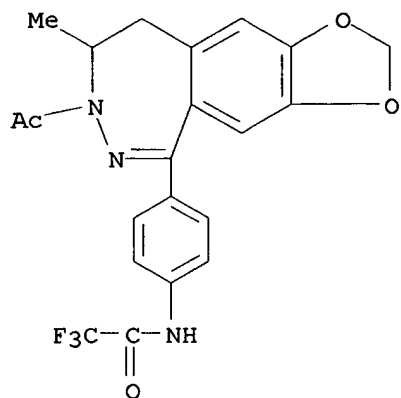
RN 143691-79-6 CAPLUS

CN Propanamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



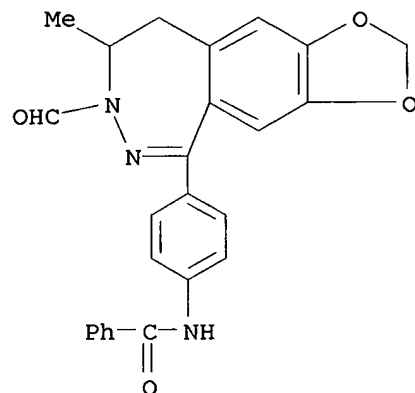
RN 143691-80-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



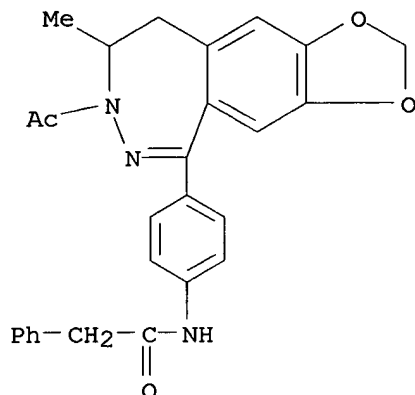
RN 143691-81-0 CAPLUS

CN Benzamide, N-[4-(7-formyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



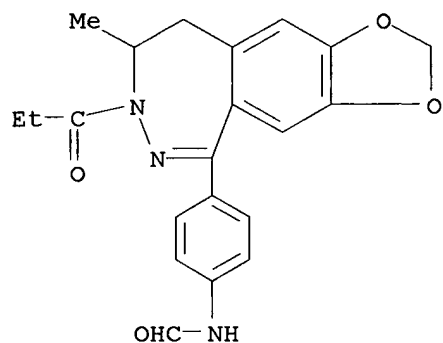
RN 143691-82-1 CAPLUS

CN Benzeneacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



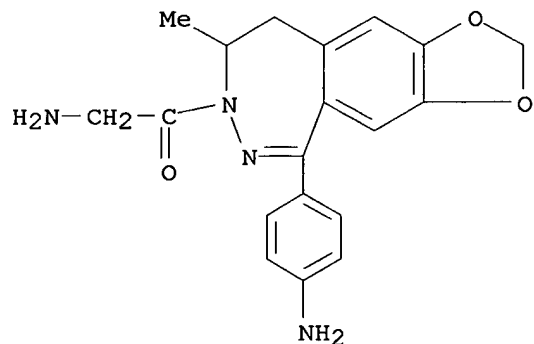
RN 143691-83-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-[4-(formylamino)phenyl]-8,9-dihydro-8-methyl-7-(1-oxopropyl)- (9CI) (CA INDEX NAME)



RN 143691-88-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)



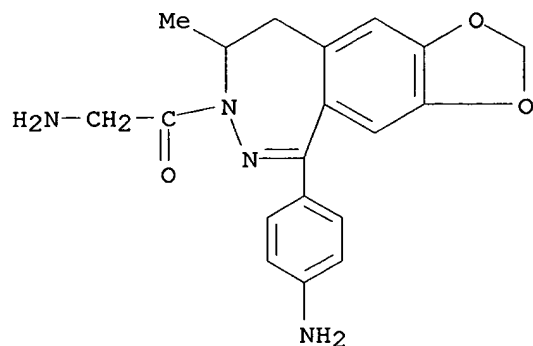
RN 143691-89-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(aminoacetyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143691-88-7

CMF C19 H20 N4 O3



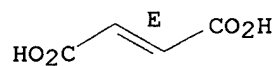
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



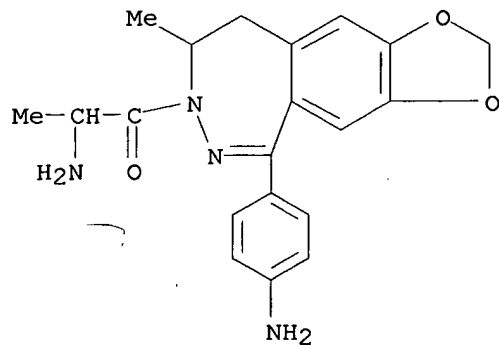
RN 143691-91-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-amino-1-oxopropyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143691-90-1

CMF C20 H22 N4 O3



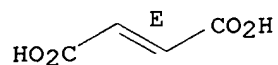
CM 2

CRN 110-17-8

CMF C4 H4 O4

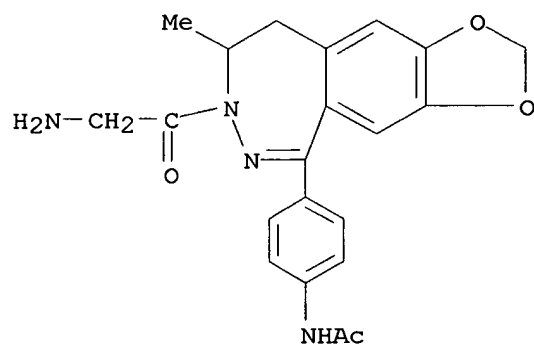
CDES 2:E

Double bond geometry as shown.



RN 143691-93-4 CAPLUS

CN Acetamide, N-[4-[7-(aminoacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



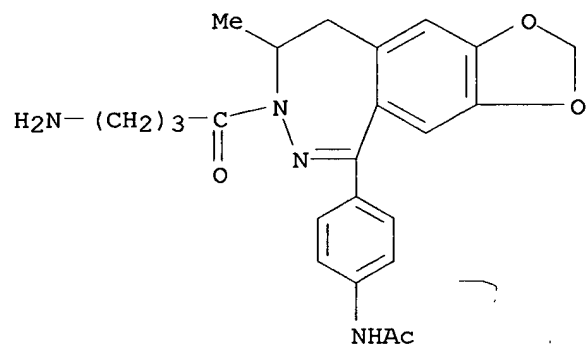
RN 143691-95-6 CAPLUS

CN Acetamide, N-[4-[7-(4-amino-1-oxobutyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143691-94-5

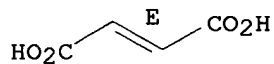
CMF C23 H26 N4 O4



CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

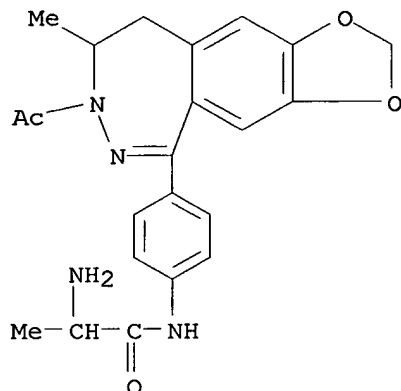
Double bond geometry as shown.



RN 143691-97-8 CAPLUS
 CN Propanamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

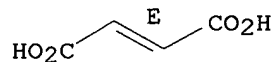
CRN 143691-96-7
 CMF C22 H24 N4 O4



CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.

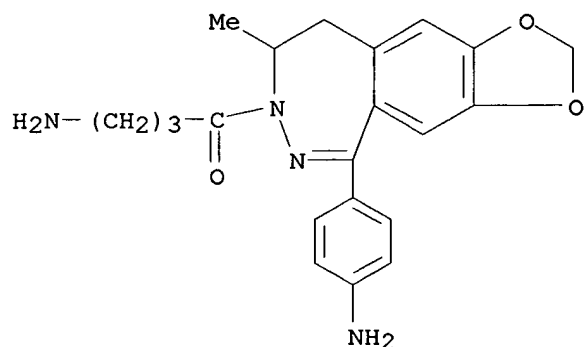


RN 143692-16-4 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4-amino-1-oxobutyl)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-15-3

CMF C21 H24 N4 O3



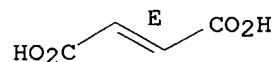
CM 2

CRN 110-17-8

CMF C4 H4 O4

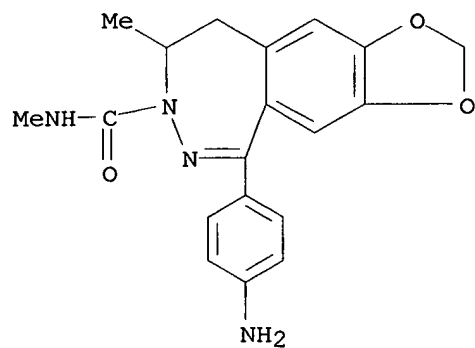
CDES 2:E

Double bond geometry as shown.



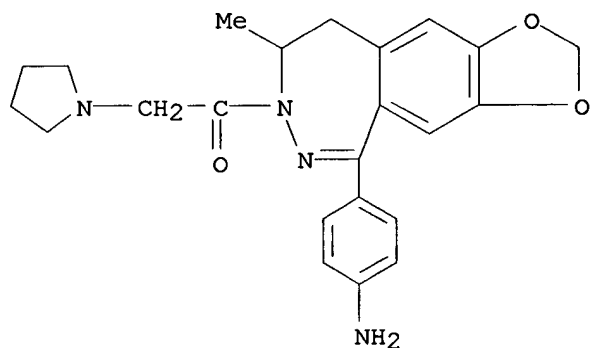
RN 143692-18-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX NAME)



RN 143692-19-7 CAPLUS

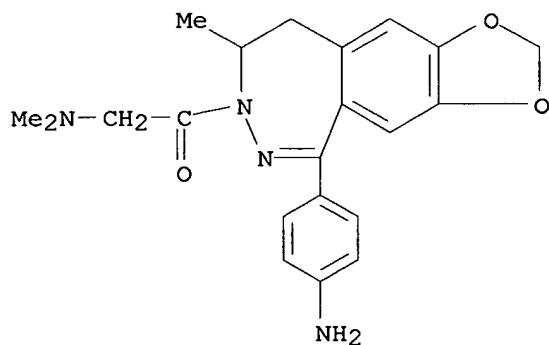
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
5-(4-aminophenyl)-8,9-dihydro-8-methyl-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



RN 143692-21-1 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-
 [(dimethylamino)acetyl]-8,9-dihydro-8-methyl-, (2E)-2-butenedioate (1:1)
 (9CI) (CA INDEX NAME)

CM 1

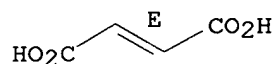
CRN 143692-20-0
 CMF C21 H24 N4 O3



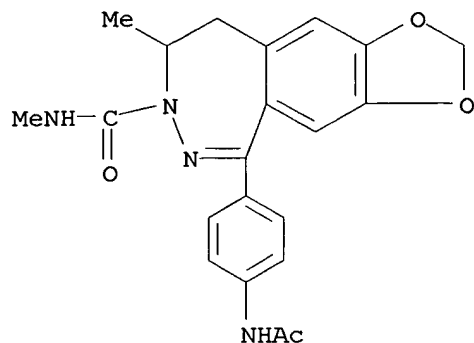
CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.

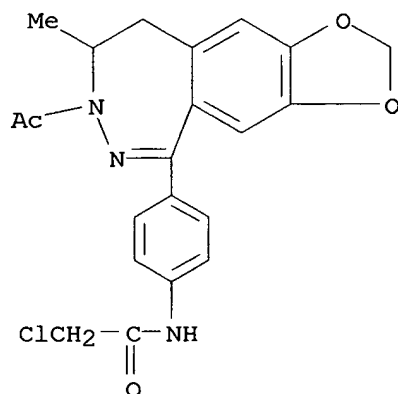


RN 143692-26-6 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
 5-[4-(acetlamino)phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA INDEX
 NAME)



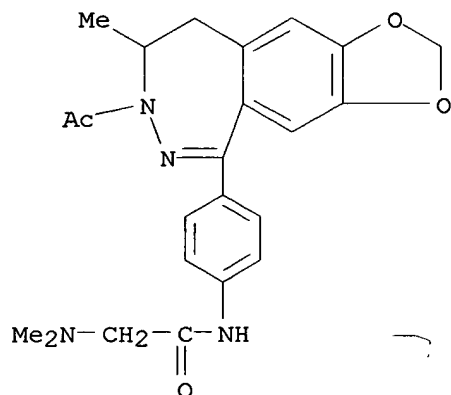
RN 143692-27-7 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-chloro- (9CI) (CA INDEX NAME)



RN 143692-28-8 CAPLUS

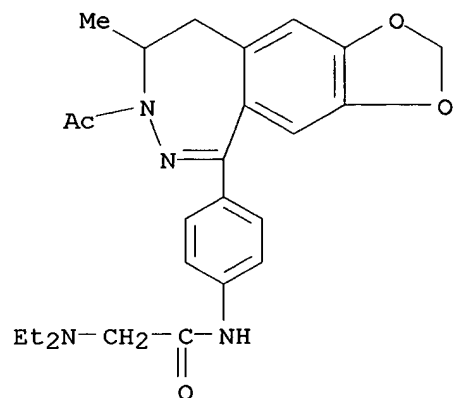
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-(dimethylamino)- (9CI) (CA INDEX NAME)



RN 143692-29-9 CAPLUS

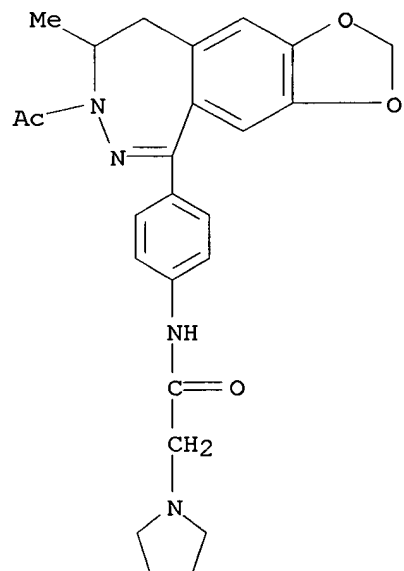
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-

h] [2,3]benzodiazepin-5-yl)phenyl]-2-(diethylamino)- (9CI) (CA INDEX NAME)



RN 143692-30-2 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



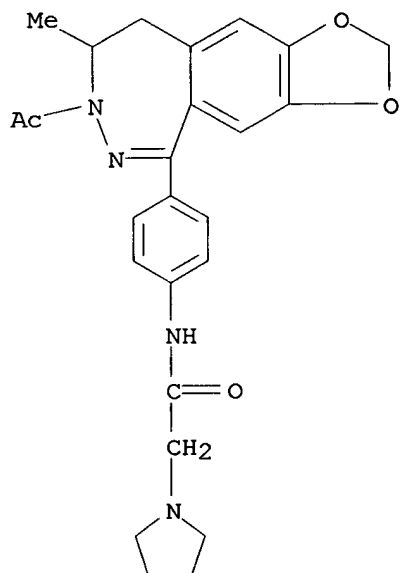
RN 143692-31-3 CAPLUS

CN 1-Pyrrolidineacetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143692-30-2

CMF C25 H28 N4 O4



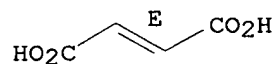
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

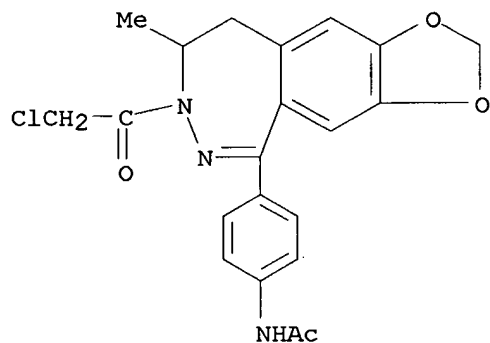
Double bond geometry as shown.



RN 143692-32-4 CAPLUS

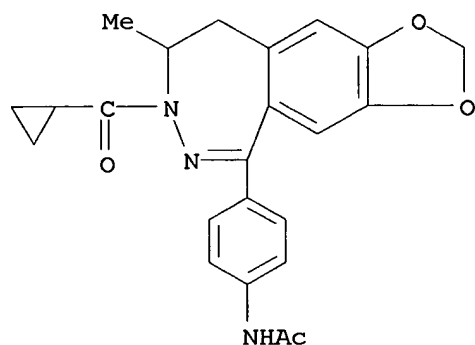
CN Acetamide,

N-[4-[7-(chloroacetyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143692-34-6 CAPLUS

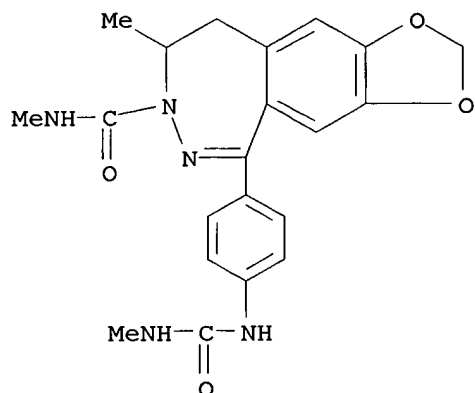
CN Acetamide, N-[4-[7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 143692-35-7 CAPLUS

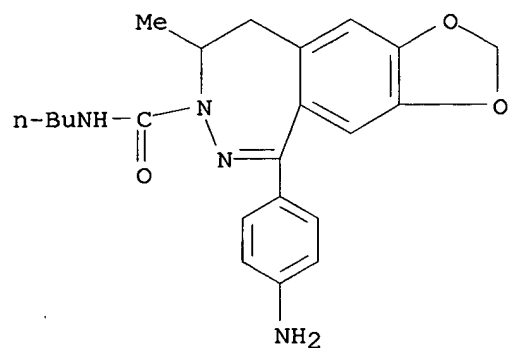
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
8,9-dihydro-N,8-dimethyl-5-[4-[(methylamino)carbonyl]amino]phenyl]-
(9CI)

(CA INDEX NAME)



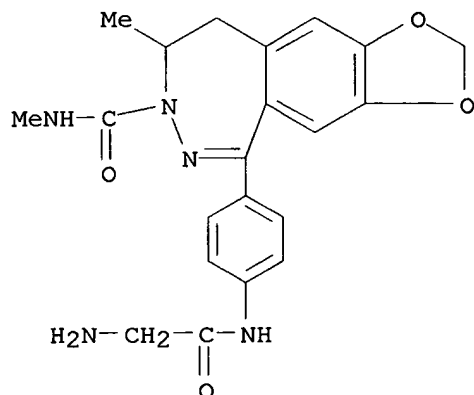
RN 143692-36-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-(4-aminophenyl)-N-butyl-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

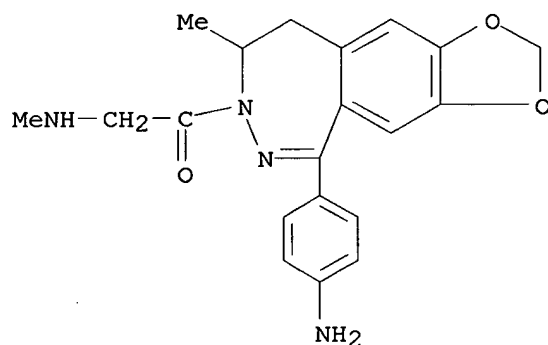


RN 143692-37-9 CAPLUS

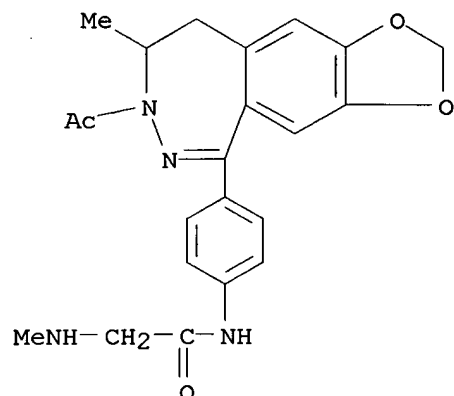
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide,
5-[4-[(aminoacetyl)amino]phenyl]-8,9-dihydro-N,8-dimethyl- (9CI) (CA
INDEX NAME)



RN 143692-38-0 CAPLUS
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine,
5-(4-aminophenyl)-8,9-dihydro-8-
methyl-7-[(methylamino)acetyl]- (9CI) (CA INDEX NAME)

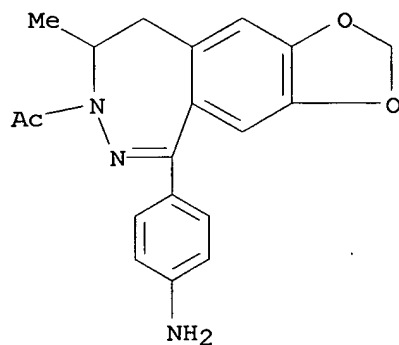


RN 143692-39-1 CAPLUS
CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
h][2,3]benzodiazepin-5-yl)phenyl]-2-(methylamino)- (9CI) (CA INDEX NAME)



RN 143692-40-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-acetyl-5-(4-aminophenyl)-8,9-dihydro-8-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

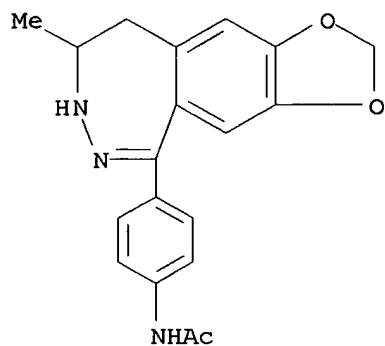
RN 143692-42-6 CAPLUS

CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 143692-41-5

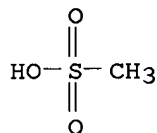
CMF C19 H19 N3 O3



CM 2

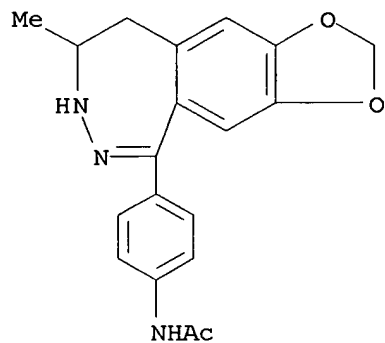
CRN 75-75-2

CMF C H4 O3 S



RN 143692-43-7 CAPLUS

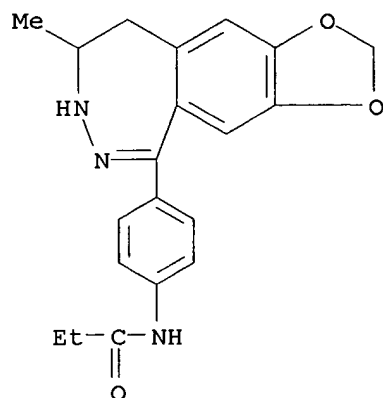
CN Acetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

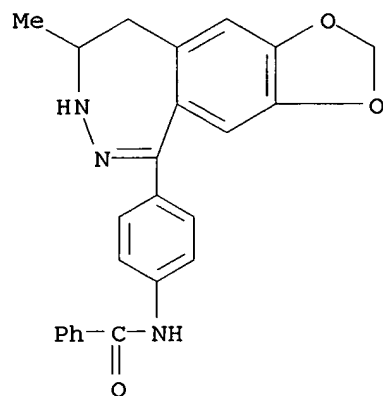
RN 143692-44-8 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



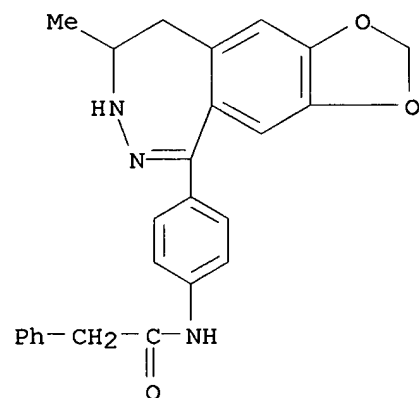
RN 143692-45-9 CAPLUS

CN Benzamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



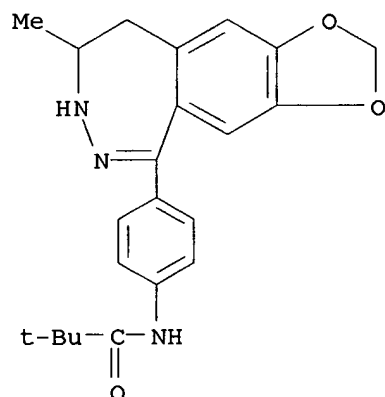
RN 143692-46-0 CAPLUS

CN Benzeneacetamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



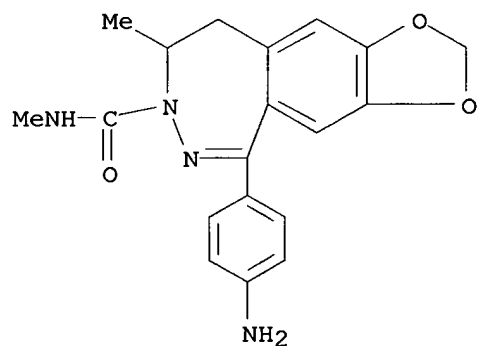
RN 143692-47-1 CAPLUS

CN Propanamide, N-[4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 143692-48-2 CAPLUS

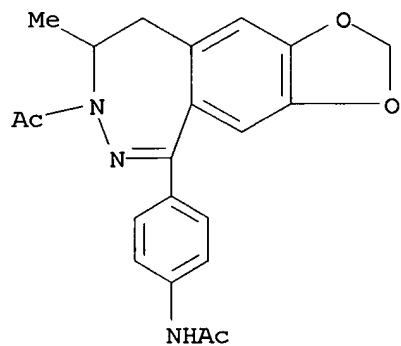
CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-carboxamide, 5-(4-aminophenyl)-8,9-dihydro-N,8-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

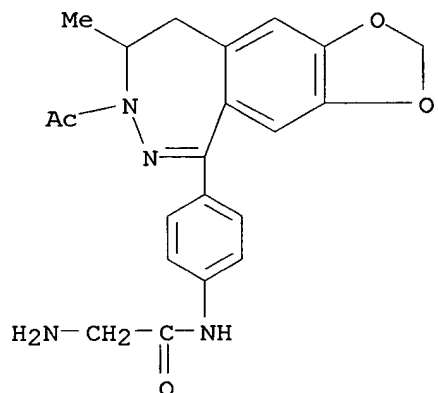
RN 143692-53-9 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]- (9CI) (CA INDEX NAME)



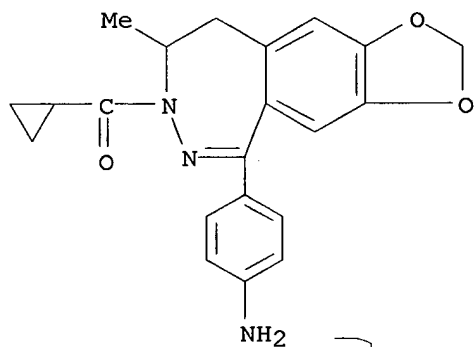
RN 143692-54-0 CAPLUS

CN Acetamide, N-[4-(7-acetyl-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)phenyl]-2-amino- (9CI) (CA INDEX NAME)



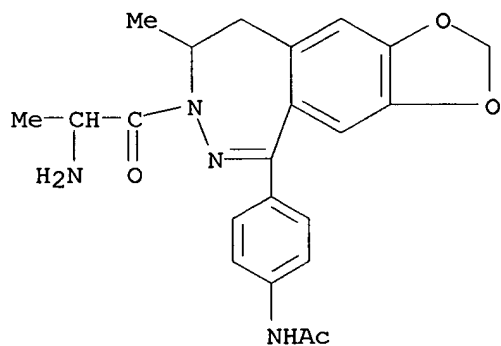
RN 143715-44-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-aminophenyl)-7-(cyclopropylcarbonyl)-8,9-dihydro-8-methyl- (9CI) (CA INDEX NAME)

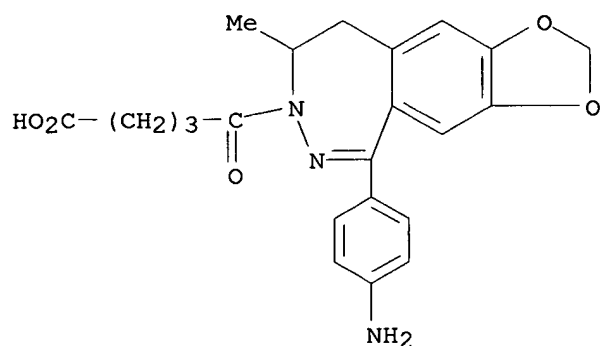


RN 143715-46-2 CAPLUS

CN Acetamide, N-[4-[7-(2-amino-1-oxopropyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

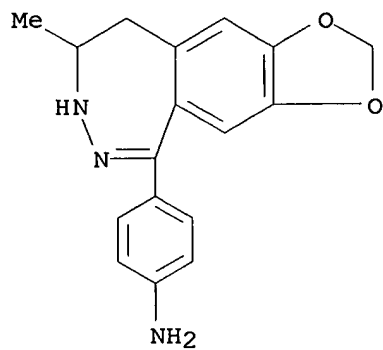


RN 143715-47-3 CAPLUS
 CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine-7-pentanoic acid,
 5-(4-aminophenyl)-8,9-dihydro-8-methyl-.delta.-oxo-, monohydrochloride
 (9CI) (CA INDEX NAME)



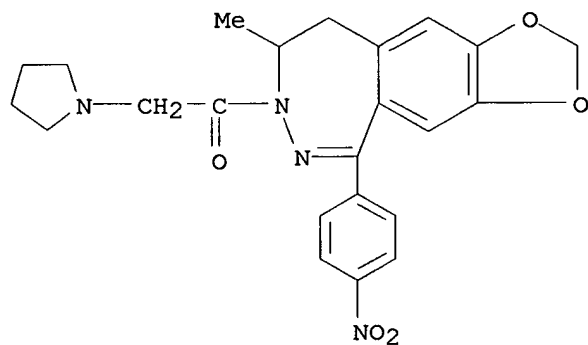
● HCl

IT 114460-08-1 143692-50-6
 RL: RCT (Reactant)
 (reaction of, in prepn. of muscle relaxant and anticonvulsant)
 RN 114460-08-1 CAPLUS
 CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-
 h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)



RN 143692-50-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-pyrrolidinylacetyl)- (9CI) (CA INDEX NAME)



~~123~~ ANSWER 62 OF 62 CAPLUS COPYRIGHT 2001 ACS

~~AN~~ 1988:422985 CAPLUS

DN 109:22985

TI Preparation of

1-(4-aminophenyl)-4,5-dihydro-4-methyl-7,8-(methylenedioxy)-
3H-2,3-benzodiazepine and its acid salts as central nervous system
stimulants

IN Korosi, Jenő; Lang, Tibor; Andrasi, Ferenc; Berzsenyi, Pal; Botka, Peter;
Hamori, Tamas; Goldschmidt, Katalin; Borsy, Jozsef; Elekes, Istvan; Lang,
Zsuzsa

PA Biogal Gyógyszergyár, Hung.

SO Ger. Offen., 8 pp.

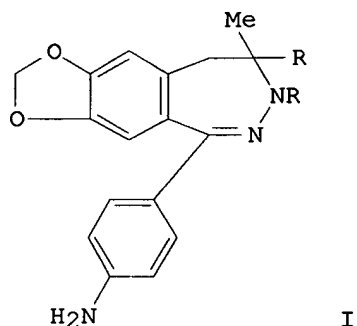
CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3727226	A1	19880218	DE 1987-3727226	19870814
	HU 47287	A2	19890228	HU 1986-3594	19860815
	HU 198494	B	19891030		
	AT 8702021	A	19930615	AT 1987-2021	19870811
	CH 673652	A	19900330	CH 1987-3115	19870812
	DK 8704248	A	19880216	DK 1987-4248	19870814
	DK 164555	B	19920713		
	DK 164555	C	19921130		
	FI 8703525	A	19880216	FI 1987-3525	19870814
	FI 85706	B	19920214		
	FI 85706	C	19920525		
	SE 8703169	A	19880216	SE 1987-3169	19870814
	SE 467357	B	19920706		
	SE 467357	C	19921029		
	NO 8703426	A	19880216	NO 1987-3426	19870814
	NO 164656	B	19900723		
	NO 164656	C	19901031		
	AU 8776880	A1	19880218	AU 1987-76880	19870814
	AU 596206	B2	19900426		
	FR 2602773	A1	19880219	FR 1987-11578	19870814
	FR 2602773	B1	19901102		
	NL 8701909	A	19880301	NL 1987-1909	19870814
	GB 2194236	A1	19880302	GB 1987-19265	19870814
	GB 2194236	B2	19900321		
	JP 63099073	A2	19880430	JP 1987-201967	19870814
	ES 2004985	A6	19890216	ES 1987-2415	19870814
	DD 265627	A5	19890308	DD 1987-306060	19870814
	CS 264150	B2	19890613	CS 1987-6007	19870814
	PL 148535	B1	19891031	PL 1987-267326	19870814
	BE 1002415	A4	19910205	BE 1987-909	19870814
	CA 1284322	A1	19910521	CA 1987-544626	19870814
	SU 1779251	A3	19921130	SU 1987-4203196	19870814
	US 4835152	A	19890530	US 1987-87341	19870820
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GI					



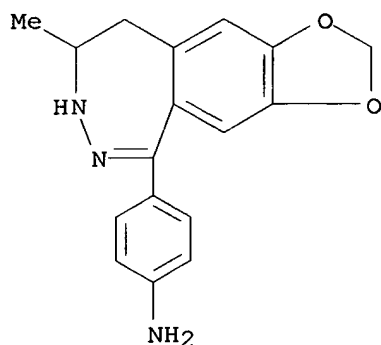
AB The title compd. [I, R = H (II)], its racemates or optical isomers, and their acid addn. salts were prepd. as nervous system stimulants by redn. of I (R2 = bond) with org., inorg., or complex metal hydrides in a solvent or solvent mixt. that is inert toward, or reacts slowly with, the reducing agent. I (R2 = bond) and NaBH4 were heated 5 h at 100.degree. in pyridine to give 71.1% II. In rats and mice 30 mg II/kg i.p. increased spontaneous motor activity 462% and 473%, resp. Tablets were prepd. each contg. II 25.0, Mg stearate 0.5, stearin 0.5, talc 1.0, gelatin 1.7, microcryst. cellulose 5.0, cornstarch 10.3, and lactose 46.0 mg.

IT **114460-08-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 114460-08-1 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)- (9CI) (CA INDEX NAME)

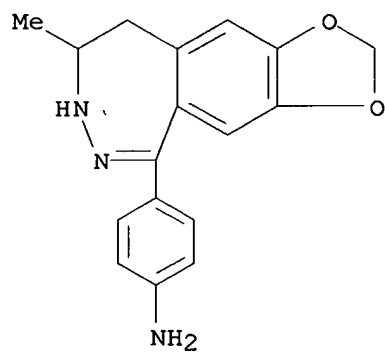


IT **114460-07-0P 114460-09-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nervous system stimulant)

RN 114460-07-0 CAPLUS

CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

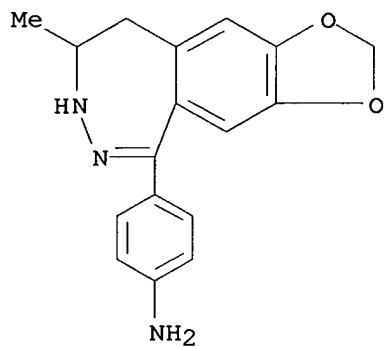


● 2 HCl

RN 114460-09-2 CAPLUS
 CN Benzenamine, 4-(8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 114460-08-1
 CMF C17 H17 N3 O2



CM 2

CRN 75-75-2
 CMF C H4 O3 S

